ACCESS DB # _____

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Scientific and Technical Information Center

SEARCH REQUEST FORM

Requester's Full Name: _____ Examiner #: _____ Date: 7/23/08

Art Unit: _____ Phone Number: _____ Serial Number: 10527941

Location (Bldg/Room#: _____ (Mailbox #): _____ Results Format Preferred (circle): PAPER DISK

****

To ensure an efficient and quality search, please attach a copy of the cover sheet, claims, and abstract or fill out the following:

Title of Invention: _____

Inventors (please provide full names): Mathew Prouns, Martha Warpehoski, James P Beck

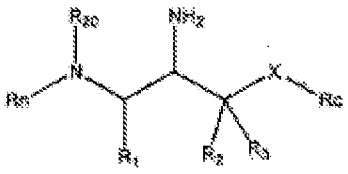
Earliest Priority Date: 9/10/02

Search Topic:

Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc., if known.

For Sequence Searches Only *Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.*

Claim 1. (original) A compound of the formula:

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FILE 'HCAPLUS' ENTERED AT 12:20:37 ON 25 JUL 2008
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PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
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FILE COVERS 1907 - 25 Jul 2008 VOL 149 ISS 5
FILE LAST UPDATED: 24 Jul 2008 (20080724/ED)

HCAplus now includes complete International Patent Classification (IPC)
reclassification data for the second quarter of 2008.

New CAS Information Use Policies, enter HELP USAGETERMS for details.

 This file contains CAS Registry Numbers for easy and accurate
 substance identification.

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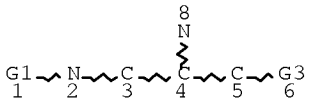

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DEFAULT ECLEVEL IS LIMITED

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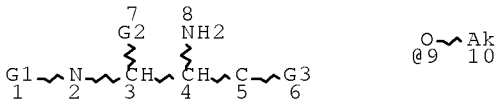

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L10  ANSWER 1 OF 31  HCAPLUS  COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER:        2004:368872  HCAPLUS  Full-text
DOCUMENT NUMBER:         140:386046
TITLE:                   Substituted peptides useful in the treatment of
                         Alzheimer's disease, and preparation thereof
INVENTOR(S):             Beck, James T.
PATENT ASSIGNEE(S):      Pharmacia & Upjohn Company, USA
SOURCE:                  PCT Int. Appl., 126 pp.
                         CODEN: PIXXD2
DOCUMENT TYPE:           Patent
LANGUAGE:                English
FAMILY ACC. NUM. COUNT:  1
PATENT INFORMATION:

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     WO 2004037179        A2    20040506    WO 2003-US33312        20031021 <--
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AU 2003286530	A1	20040513	AU 2003-286530		20031021 <--
US 20060148803	A1	20060706	US 2005-532285		20051122
PRIORITY APPLN. INFO.:			US 2002-420062P	P	20021021
			WO 2003-US33312	W	20031021

OTHER SOURCE(S): MARPAT 140:386046

AB Disclosed are methods for treating Alzheimer's disease, and other diseases, and/or inhibiting β-secretase enzyme, and/or inhibiting deposition of Aβ peptide in a mammal, by use of substituted peptide compds. (Markush included). Preparation of the substituted peptides is also described.

IT 162240-00-8P

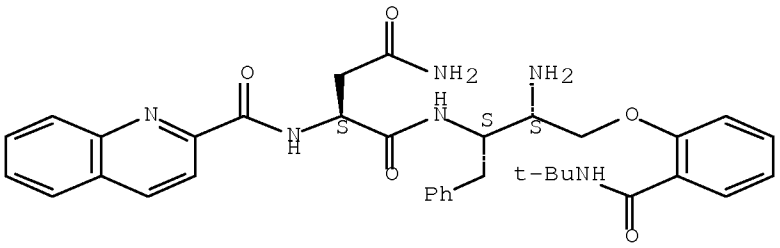
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(peptide derivs. for treatment of Alzheimer's disease, and preparation)

RN 162240-00-8 HCAPLUS

CN Butanediamide, N1-[(1S,2S)-2-amino-3-[2-[[(1,1-dimethylethyl)amino]carbonyl]phenoxy]-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



IT 162128-16-7 162128-18-9 162128-20-3 162128-22-5 162128-24-7 162128-26-9 162128-28-1 162128-31-6 162128-34-9 684212-03-1

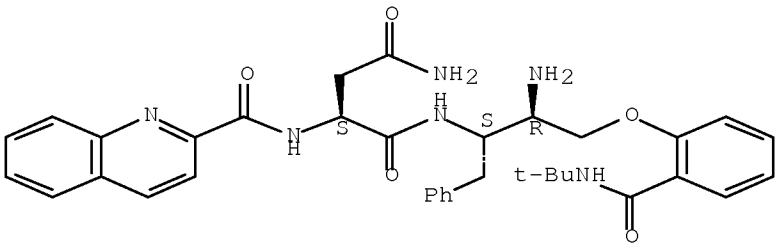
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(peptide derivs. for treatment of Alzheimer's disease, and preparation)

RN 162128-16-7 HCAPLUS

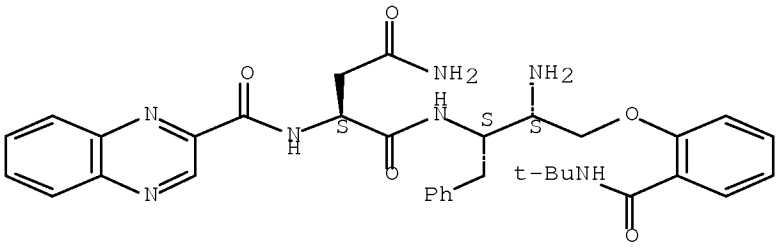
CN Butanediamide, N1-[(1S,2R)-2-amino-3-[2-[[(1,1-dimethylethyl)amino]carbonyl]phenoxy]-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



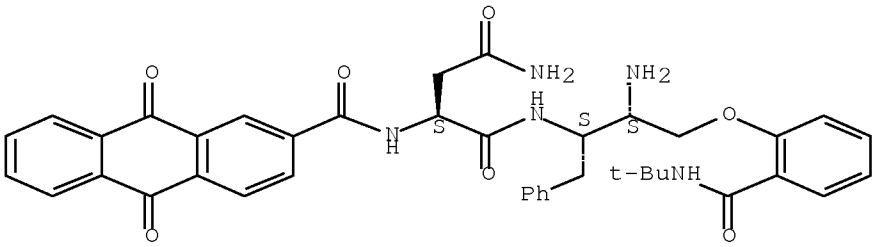
RN 162128-18-9 HCAPLUS
CN Butanediamide, N1-[(1S,2S)-2-amino-3-[2-[[(1,1-dimethylethyl)amino]carbonyl]phenoxy]-1-(phenylmethyl)propyl]-2-[(2-quinoxalinylcarbonyl)amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



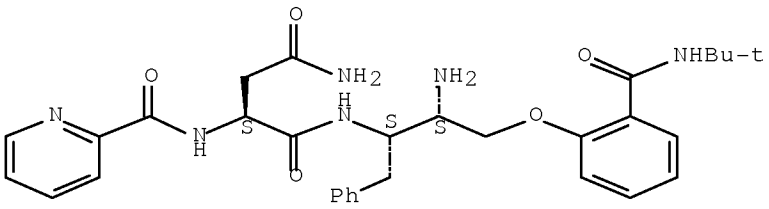
RN 162128-20-3 HCAPLUS
CN Butanediamide, N1-[(1S,2S)-2-amino-3-[2-[[(1,1-dimethylethyl)amino]carbonyl]phenoxy]-1-(phenylmethyl)propyl]-2-[[(9,10-dihydro-9,10-dioxo-2-anthracenyl)carbonyl]amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 162128-22-5 HCAPLUS
CN Butanediamide, N1-[(1S,2S)-2-amino-3-[2-[[(1,1-dimethylethyl)amino]carbonyl]phenoxy]-1-(phenylmethyl)propyl]-2-[(2-pyridinylcarbonyl)amino]-, (2S)- (CA INDEX NAME)

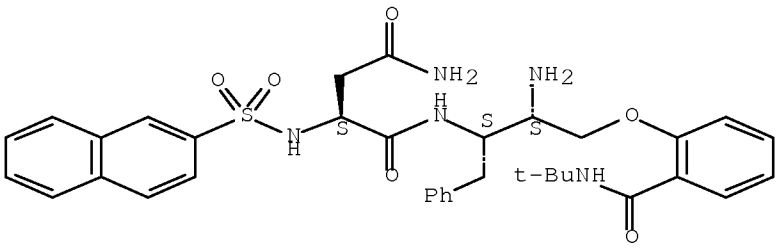
Absolute stereochemistry.



RN 162128-24-7 HCAPLUS
CN Butanediamide, N1-[(1S,2S)-2-amino-3-[2-[[(1,1-

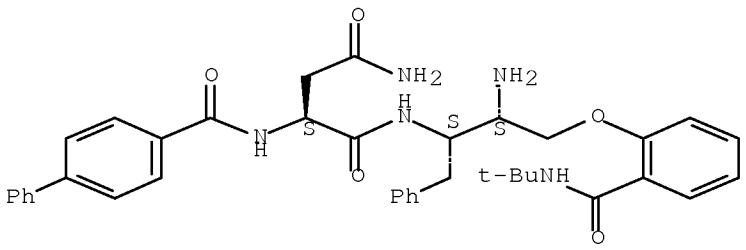
dimethylethyl)amino]carbonyl]phenoxy]-1-(phenylmethyl)propyl]-2-[(2-naphthalenylsulfonyl)amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



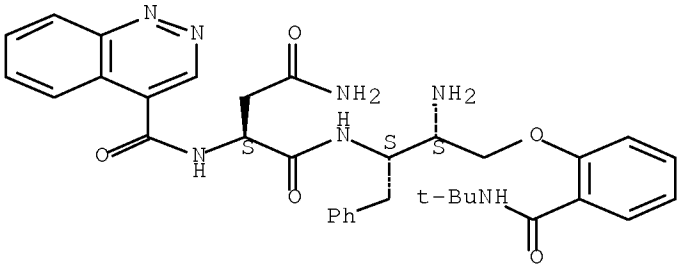
RN 162128-26-9 HCAPLUS
CN Butanediamide, N1-[(1S,2S)-2-amino-3-[2-[[(1,1-dimethylethyl)amino]carbonyl]phenoxy]-1-(phenylmethyl)propyl]-2-[([1,1'-biphenyl]-4-ylcarbonyl)amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



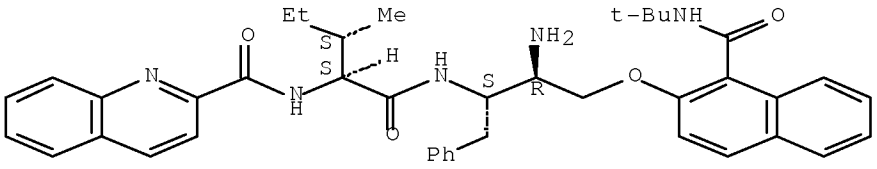
RN 162128-28-1 HCAPLUS
CN Butanediamide, N1-[(1S,2S)-2-amino-3-[2-[[(1,1-dimethylethyl)amino]carbonyl]phenoxy]-1-(phenylmethyl)propyl]-2-[(4-cinnolinylcarbonyl)amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



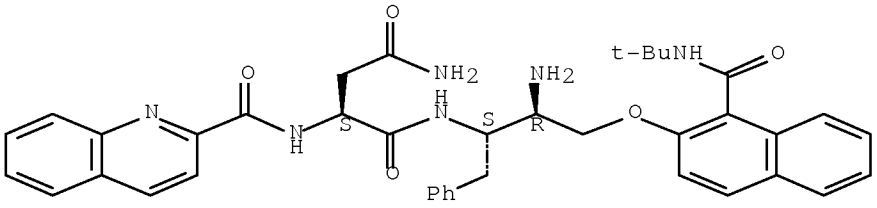
RN 162128-31-6 HCAPLUS
CN 2-Quinolinecarboxamide, N-[(1S,2S)-1-[[[(1S,2R)-2-amino-3-[[1-[[(1,1-dimethylethyl)amino]carbonyl]-2-naphthalenyl]oxy]-1-(phenylmethyl)propyl]amino]carbonyl]-2-methylbutyl]- (CA INDEX NAME)

Absolute stereochemistry.



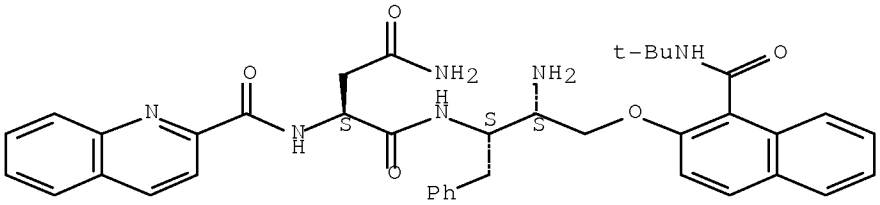
RN 162128-34-9 HCAPLUS
CN Butanediamide, N1-[(1S,2R)-2-amino-3-[[1-[[(1,1-dimethylethyl)amino]carbonyl]-2-naphthalenyl]oxy]-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



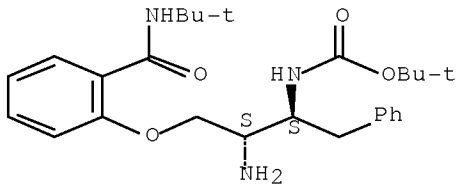
RN 684212-03-1 HCAPLUS
CN Butanediamide, N1-[(1S,2S)-2-amino-3-[[1-[[(1,1-dimethylethyl)amino]carbonyl]-2-naphthalenyl]oxy]-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



IT 162128-39-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(peptide derivs. for treatment of Alzheimer's disease, and preparation)
RN 162128-39-4 HCAPLUS
CN Carbamic acid, [(1S,2S)-2-amino-3-[2-[[(1,1-dimethylethyl)amino]carbonyl]phenoxy]-1-(phenylmethyl)propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L10 ANSWER 2 OF 31 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:252474 HCAPLUS Full-text
DOCUMENT NUMBER: 140:270632
TITLE: Preparation of ring-containing aminoether carboxamides as β-secretase inhibitors for treating Alzheimer's disease and other diseases characterized by deposition of Aβ-peptide
INVENTOR(S): Beck, James P.; Drowns, Matthew; Warpehoski, Martha A.
PATENT ASSIGNEE(S): Pharmacia & Upjohn, USA
SOURCE: PCT Int. Appl., 122 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

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EP 1537072	A1	20050608	EP 2003-755809		20030910
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OTHER SOURCE(S): MARPAT 140:270632
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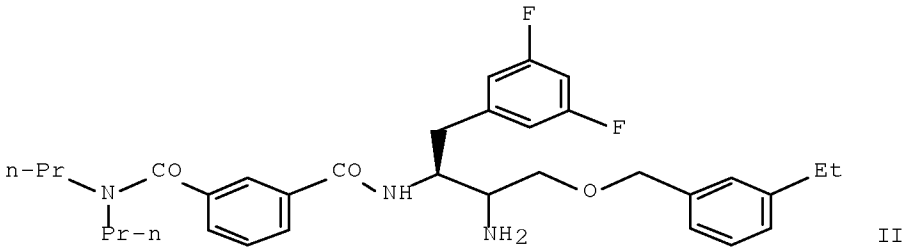


II

AB Disclosed are RnR20NCH(R1)CH(NH2)C(R2)(R3)-X-Rc (I; variables defined below; e.g. II). Compds. disclosed herein are inhibitors of the beta-secretase enzyme (no data) and are therefore useful in the treatment of Alzheimer's disease and other diseases characterized by deposition of A beta peptide in a mammal (no data). An unspecified method of preparation is claimed, a general method is disclosed and no example prepns. are included. For I: X is O, S, NR20, or NR20NR20; R20 is H, C1-6 alkyl or alkenyl, C1-6 haloalkyl or C4-7 cycloalkyl; R1 is -(CH2)1-2-S(O)0-2-(C1-C6 alkyl), C1-C10 alkyl, etc.;. Rc is H, -(CR245R250)0-4-aryl, -(CR245R250)0-4-heteroaryl, etc.; Rn is R'100, -SO2R'100, -(CRR')1-6R'100, -C(O)(CRR')0-6R100, etc.; R2, R3 = H, (un)substituted C1-C6 alkyl or R2, R3 and the C to which they are attached form a carbocycle of 3-7 C atoms, wherein one C atom is optionally replaced by a -O-, -S-, -SO2-, or -NRN-2; addnl. details are given in the claims.

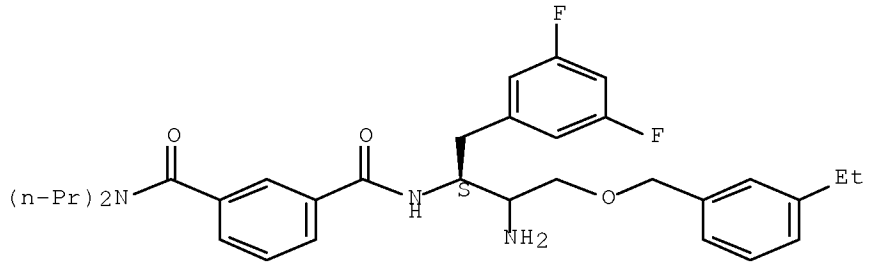
IT 674809-33-7P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)oxy]propyl]-N,N-dipropylisophthalamide 674809-34-8P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)oxy]propyl]-5-methyl-N,N-dipropylisophthalamide 674809-35-9P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)oxy]propyl]-5-bromo-N,N-dipropylisophthalamide 674809-37-1P, N'-[(S)-2-Amino-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)oxy]propyl]-5-cyano-N,N-dipropylisophthalamide 674809-39-3P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)oxy]propyl]-5-(1,3-oxazol-2-yl)-N,N-dipropylisophthalamide 674809-40-6P, N'-[(S)-2-Amino-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)oxy]propyl]-N,N-dipropyl-5-(1,3-thiazol-2-yl)isophthalamide 674809-41-7P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)oxy]propyl]-5-ethynyl-N,N-dipropylisophthalamide 674809-43-9P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)oxy]propyl]-5-ethyl-N,N-dipropylisophthalamide 674809-45-1P, N'-[(S)-2-Amino-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)oxy]propyl]-N,N-dipropylbenzene-1,3,5-tricarboxamide 674809-47-3P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)oxy]propyl]-5-[(dimethylamino)methyl]-N,N-dipropylisophthalamide 674809-48-4P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[(3-ethynylbenzyl)oxy]propyl]-5-(1,3-oxazol-2-yl)-N,N-dipropylisophthalamide 674809-50-8P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[(3-ethynylbenzyl)oxy]propyl]-5-methyl-N,N-dipropylisophthalamide 674809-51-9P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[[3-(trifluoromethyl)benzyl]oxy]propyl]-5-(1,3-oxazol-2-yl)-N,N-dipropylisophthalamide 674809-52-0P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[[3-(trifluoromethyl)benzyl]oxy]propyl]-5-methyl-N,N-dipropylisophthalamide 674809-54-2P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[(3-isopropylbenzyl)oxy]propyl]-5-(1,3-oxazol-2-yl)-N,N-dipropylisophthalamide 674809-56-4P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[(3-isopropylbenzyl)oxy]propyl]-5-methyl-N,N-dipropylisophthalamide 674809-58-6P, N'-[(1S)-2-Amino-1-(3,5-

difluorobenzyl)-3-[(3-methoxybenzyl)oxy]propyl]-5-(1,3-oxazol-2-yl)-N,N-dipropylisophthalamide 674809-59-7P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[(3-methoxybenzyl)oxy]propyl]-5-methyl-N,N-dipropylisophthalamide 674809-60-0P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[[1-(3-ethynylphenyl)cyclopropyl]oxy]propyl]-5-(1,3-oxazol-2-yl)-N,N-dipropylisophthalamide 674809-61-1P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[[1-(3-ethynylphenyl)cyclopropyl]oxy]propyl]-5-methyl-N,N-dipropylisophthalamide 674809-63-3P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[[1-[3-(trifluoromethyl)phenyl]cyclopropyl]oxy]propyl]-5-(1,3-oxazol-2-yl)-N,N-dipropylisophthalamide 674809-64-4P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[[1-[3-(trifluoromethyl)phenyl]cyclopropyl]oxy]propyl]-5-methyl-N,N-dipropylisophthalamide 674809-65-5P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[[1-(3-isopropylphenyl)cyclopropyl]oxy]propyl]-5-(1,3-oxazol-2-yl)-N,N-dipropylisophthalamide 674809-67-7P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[[1-(3-isopropylphenyl)cyclopropyl]oxy]propyl]-5-methyl-N,N-dipropylisophthalamide 674809-69-9P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[[1-(3-methoxyphenyl)cyclopropyl]oxy]propyl]-5-(1,3-oxazol-2-yl)-N,N-dipropylisophthalamide 674809-71-3P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[[1-(3-methoxyphenyl)cyclopropyl]oxy]propyl]-5-methyl-N,N-dipropylisophthalamide 674809-72-4P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[[1-(3-ethylphenyl)cyclopropyl]oxy]propyl]-5-(1,3-oxazol-2-yl)-N,N-dipropylisophthalamide 674809-74-6P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[[1-(3-ethylphenyl)cyclopropyl]oxy]propyl]-5-methyl-N,N-dipropylisophthalamide 674809-75-7P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)oxy]propyl]-6-(1,3-oxazol-2-yl)-N,N-dipropylpyridine-2,4-dicarboxamide 674809-77-9P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)oxy]propyl]-6-methyl-N,N-dipropylpyridine-2,4-dicarboxamide 674809-79-1P, N-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)oxy]propyl]-6-(1,3-oxazol-2-yl)-N',N'-dipropylpyridine-2,4-dicarboxamide 674809-81-5P, N-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)oxy]propyl]-6-methyl-N',N'-dipropylpyridine-2,4-dicarboxamide 674809-82-6P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)oxy]propyl]-N-ethyl-5-(1,3-oxazol-2-yl)-N-propylisophthalamide 674809-84-8P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)oxy]propyl]-N-ethyl-5-methyl-N-propylisophthalamide 674809-85-9P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)oxy]propyl]-N-butyl-N-methyl-5-(1,3-oxazol-2-yl)isophthalamide 674809-87-1P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)oxy]propyl]-N-butyl-N,5-dimethylisophthalamide 674809-88-2P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[(6-ethylpyridin-2-yl)methoxy]propyl]-5-(1,3-oxazol-2-yl)-N,N-dipropylisophthalamide 674809-90-6P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[(6-ethylpyridin-2-yl)methoxy]propyl]-5-methyl-N,N-dipropylisophthalamide 674809-91-7P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[(4-ethylpyridin-2-yl)methoxy]propyl]-5-(1,3-oxazol-2-yl)-N,N-dipropylisophthalamide 674809-93-9P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[(4-ethylpyridin-2-yl)methoxy]propyl]-5-methyl-N,N-dipropylisophthalamide 674809-95-1P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[(4-ethylpyrimidin-2-yl)methoxy]propyl]-5-(1,3-oxazol-2-yl)-N,N-dipropylisophthalamide 674809-96-2P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[(4-ethylpyrimidin-2-yl)methoxy]propyl]-5-methyl-N,N-dipropylisophthalamide 674809-98-4P, N'-[(1S)-2-Amino-3-butoxy-1-

(3,5-difluorobenzyl)propyl]-5-(1,3-oxazol-2-yl)-N,N-dipropylisophthalamide 674809-99-5P, N'-[(1S)-2-Amino-3-butoxy-1-(3,5-difluorobenzyl)propyl]-5-methyl-N,N-dipropylisophthalamide 674810-01-6P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-(3-methylbutoxy)propyl]-5-(1,3-oxazol-2-yl)-N,N-dipropylisophthalamide 674810-03-8P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-(3-methylbutoxy)propyl]-5-methyl-N,N-dipropylisophthalamide 674810-04-9P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-propoxypropyl]-5-(1,3-oxazol-2-yl)-N,N-dipropylisophthalamide 674810-05-0P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-propoxypropyl]-5-methyl-N,N-dipropylisophthalamide 674810-07-2P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-isobutoxypropyl]-5-(1,3-oxazol-2-yl)-N,N-dipropylisophthalamide 674810-08-3P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-isobutoxypropyl]-5-methyl-N,N-dipropylisophthalamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of ring-containing aminoether carboxamides as β-secretase inhibitors for treating Alzheimer's disease and other diseases characterized by deposition of Aβ-peptide)

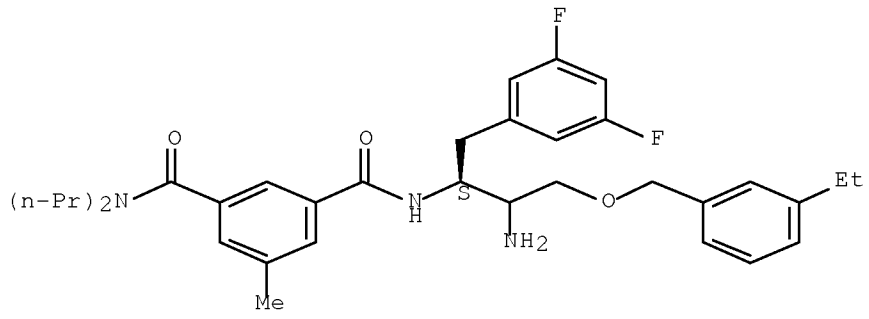
RN 674809-33-7 HCAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[(3-ethylphenyl)methoxy]propyl]-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



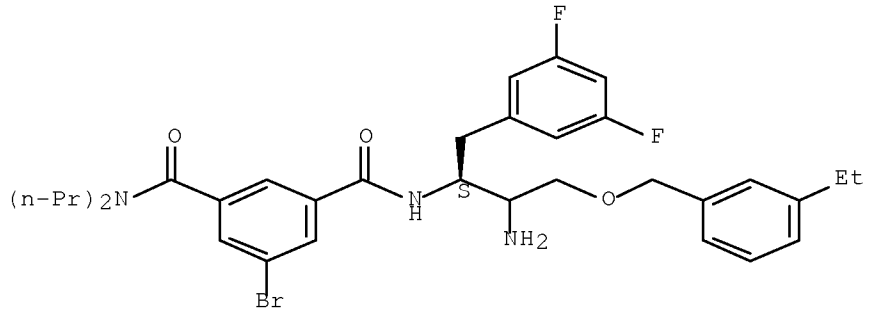
RN 674809-34-8 HCAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[(3-ethylphenyl)methoxy]propyl]-5-methyl-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



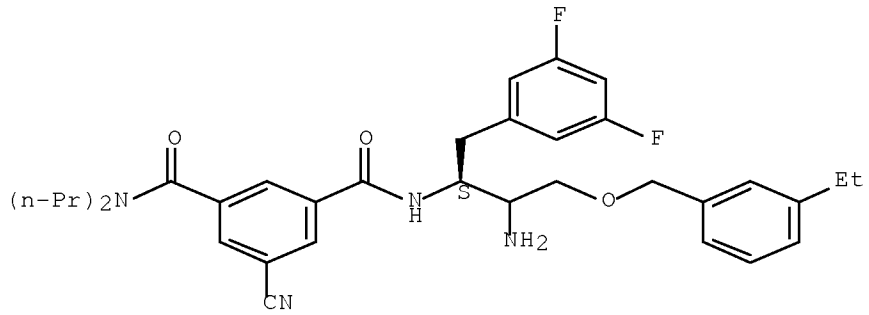
RN 674809-35-9 HCAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[(3-ethylphenyl)methoxy]propyl]-5-bromo-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



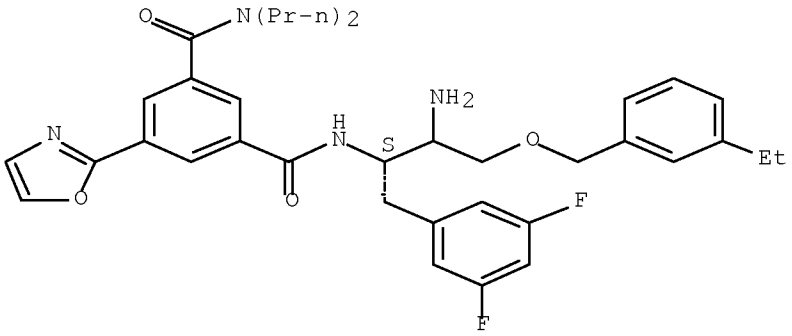
RN 674809-37-1 HCAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[(3-ethylphenyl)methoxy]propyl]-5-cyano-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



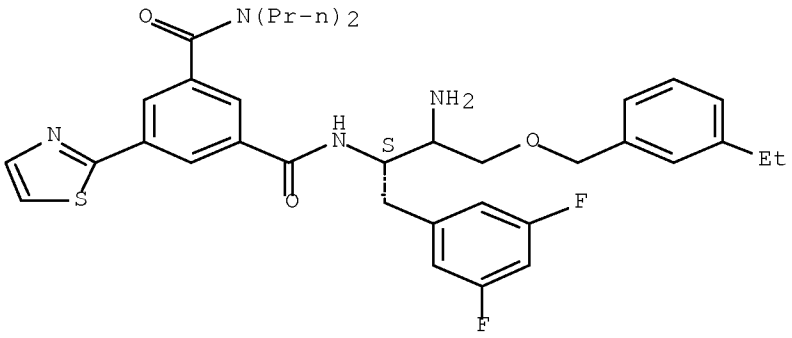
RN 674809-39-3 HCAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[(3-ethylphenyl)methoxy]propyl]-5-(2-oxazolyl)-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



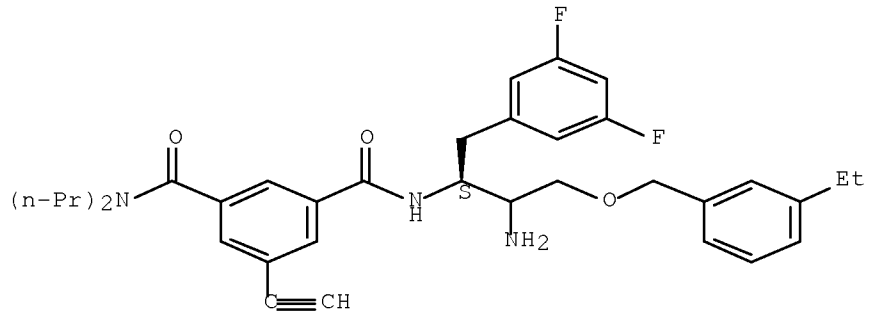
RN 674809-40-6 HCAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[(3-ethylphenyl)methoxy]propyl]-N1,N1-dipropyl-5-(2-thiazolyl)- (CA INDEX NAME)

Absolute stereochemistry.



RN 674809-41-7 HCAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[(3-ethylphenyl)methoxy]propyl]-5-ethynyl-N1,N1-dipropyl- (CA INDEX NAME)

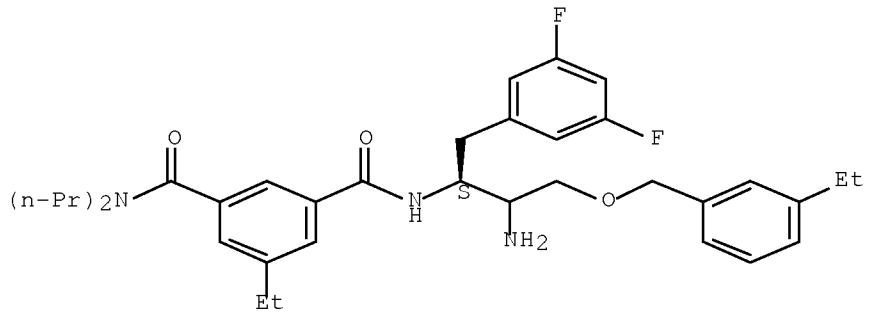
Absolute stereochemistry.



RN 674809-43-9 HCAPLUS

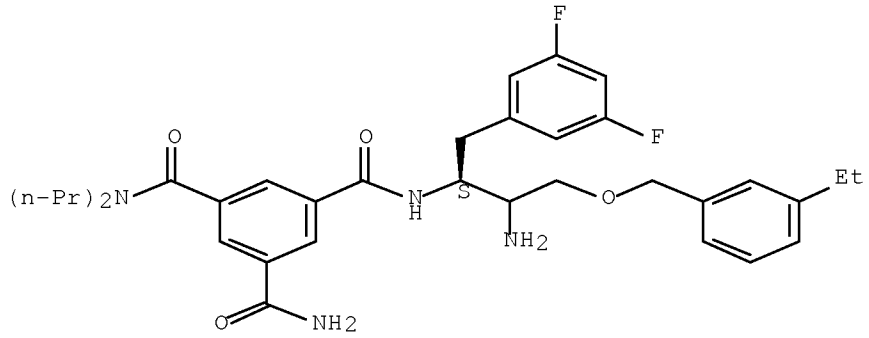
CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[(3-ethylphenyl)methoxy]propyl]-5-ethyl-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



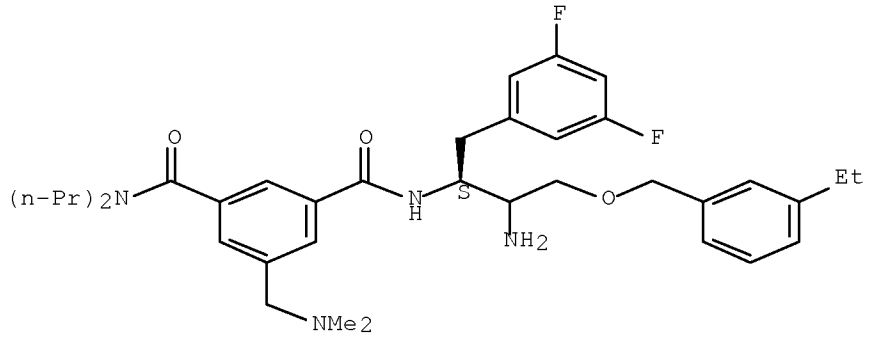
RN 674809-45-1 HCAPLUS
CN 1,3,5-Benzenetricarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[(3-ethylphenyl)methoxy]propyl]-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



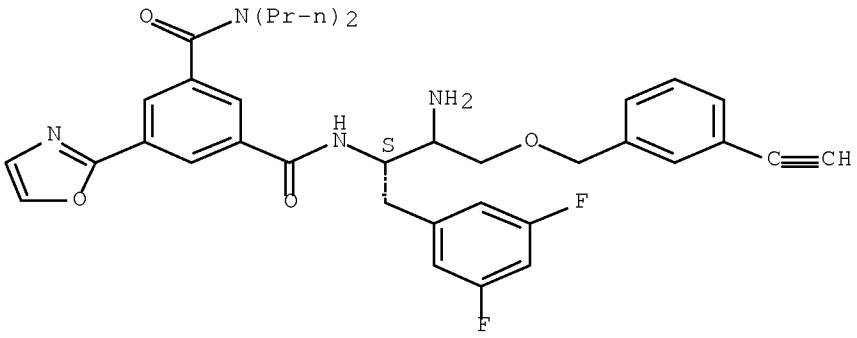
RN 674809-47-3 HCAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[(3-ethylphenyl)methoxy]propyl]-5-[(dimethylamino)methyl]-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



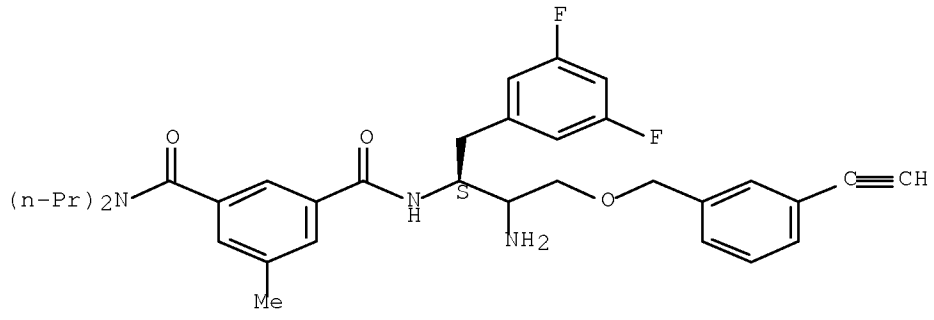
RN 674809-48-4 HCAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[(3-ethynylphenyl)methoxy]propyl]-5-(2-oxazolyl)-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



RN 674809-50-8 HCAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[(3-ethynylphenyl)methoxy]propyl]-5-methyl-N1,N1-dipropyl- (CA INDEX NAME)

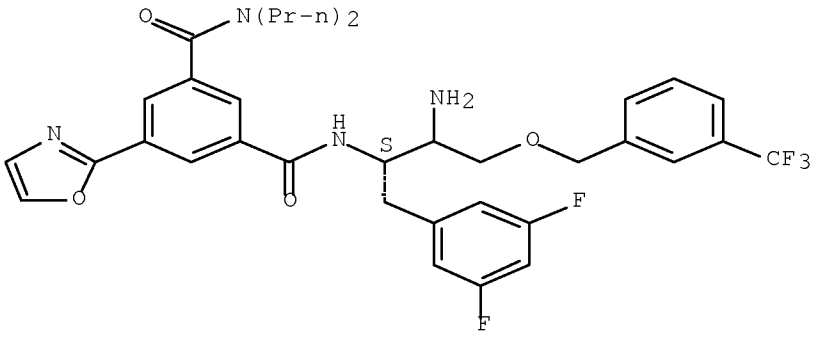
Absolute stereochemistry.



RN 674809-51-9 HCAPLUS

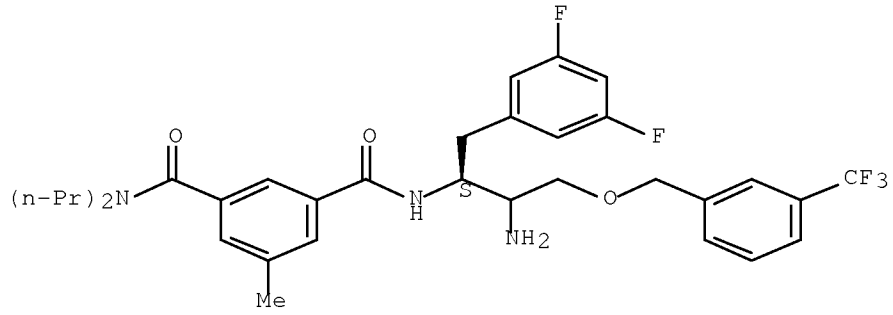
CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[[3-(trifluoromethyl)phenyl]methoxy]propyl]-5-(2-oxazolyl)-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



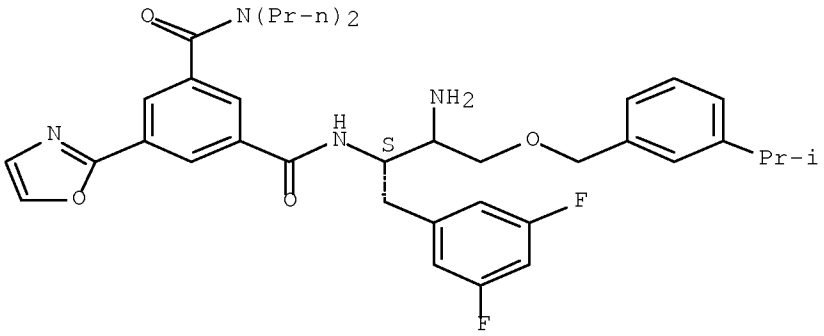
RN 674809-52-0 HCAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[[3-(trifluoromethyl)phenyl]methoxy]propyl]-5-methyl-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



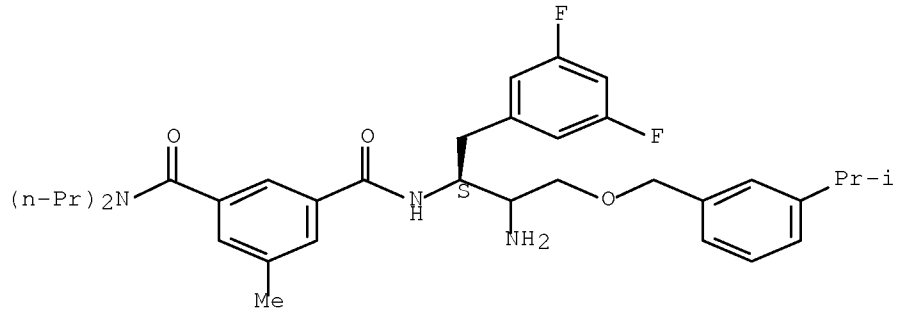
RN 674809-54-2 HCAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[[3-(1-methylethyl)phenyl]methoxy]propyl]-5-(2-oxazolyl)-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



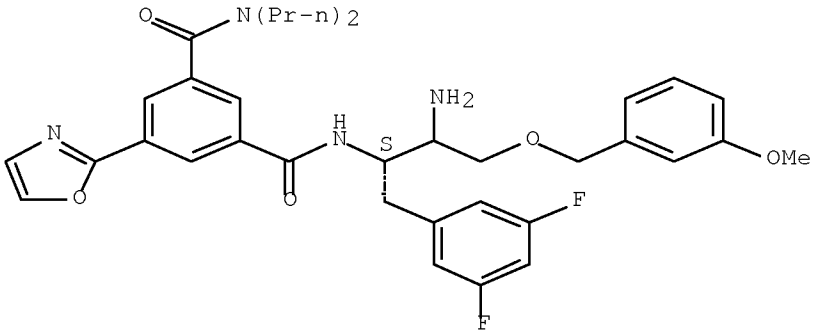
RN 674809-56-4 HCAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[[3-(1-methylethyl)phenyl]methoxy]propyl]-5-methyl-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



RN 674809-58-6 HCAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[(3-methoxyphenyl)methoxy]propyl]-5-(2-oxazolyl)-N1,N1-dipropyl- (CA INDEX NAME)

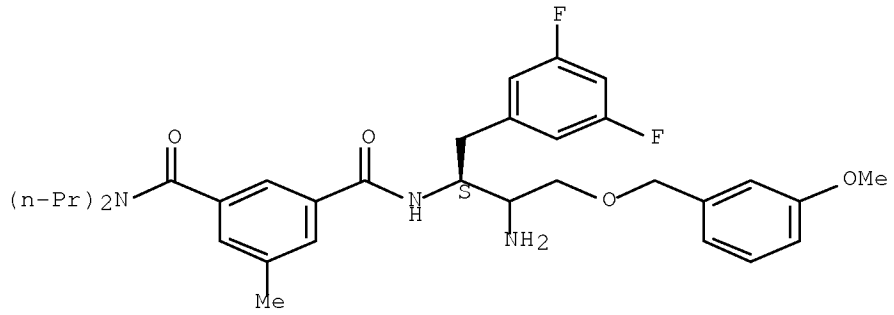
Absolute stereochemistry.



RN 674809-59-7 HCAPLUS

CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[(3-methoxyphenyl)methoxy]propyl]-5-methyl-N1,N1-dipropyl- (CA INDEX NAME)

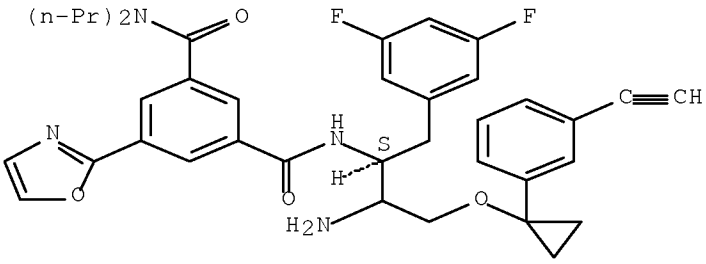
Absolute stereochemistry.



RN 674809-60-0 HCAPLUS

CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[[1-(3-ethynylphenyl)cyclopropyl]oxy]propyl]-5-(2-oxazolyl)-N1,N1-dipropyl- (CA INDEX NAME)

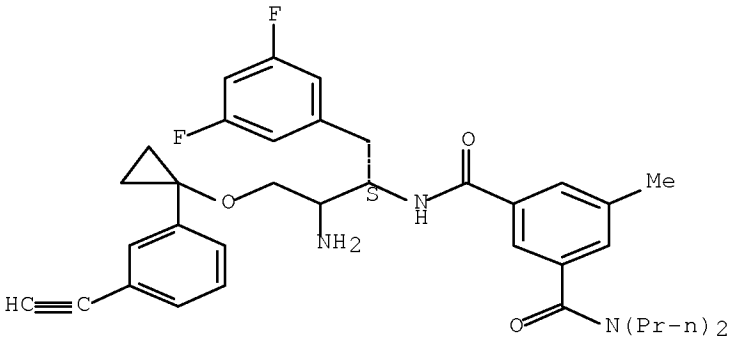
Absolute stereochemistry.



RN 674809-61-1 HCAPLUS

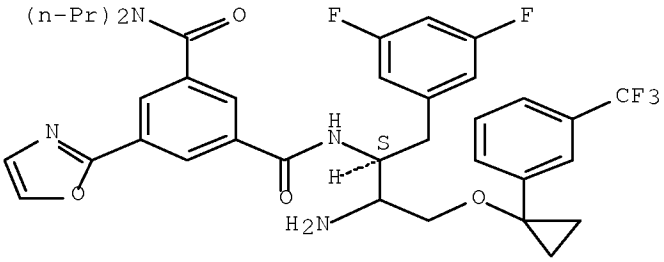
CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[[1-(3-ethynylphenyl)cyclopropyl]oxy]propyl]-5-methyl-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



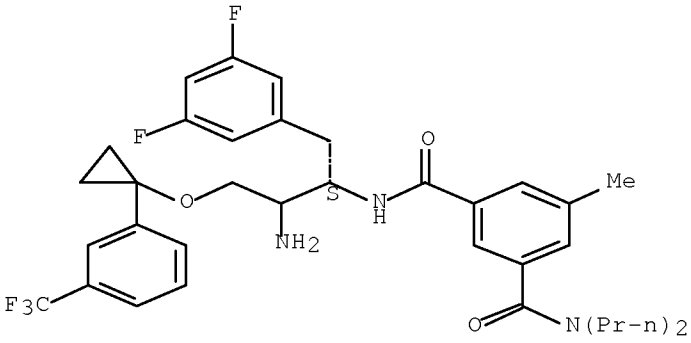
RN 674809-63-3 HCAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[[1-[3-(trifluoromethyl)phenyl]cyclopropyl]oxy]propyl]-5-(2-oxazolyl)-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



RN 674809-64-4 HCAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[[1-[3-(trifluoromethyl)phenyl]cyclopropyl]oxy]propyl]-5-methyl-N1,N1-dipropyl- (CA INDEX NAME)

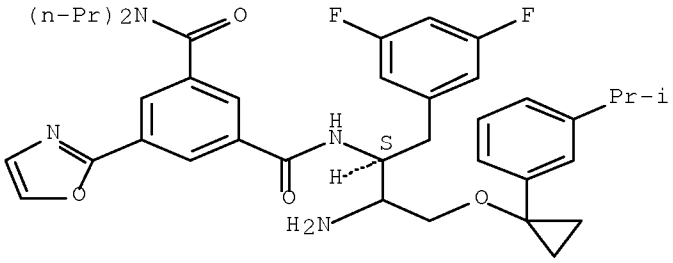
Absolute stereochemistry.



RN 674809-65-5 HCAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-

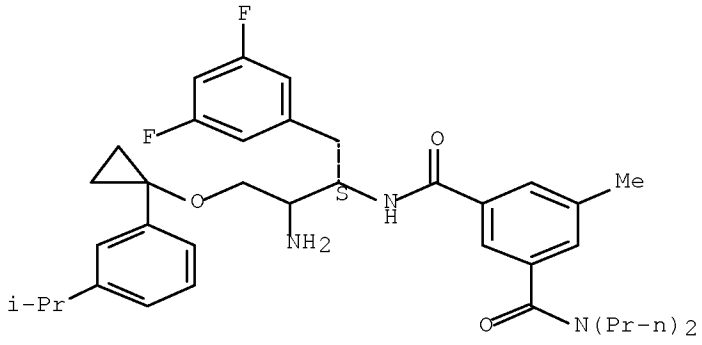
3-[[1-[3-(1-methylethyl)phenyl]cyclopropyl]oxy]propyl]-5-(2-oxazolyl)-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



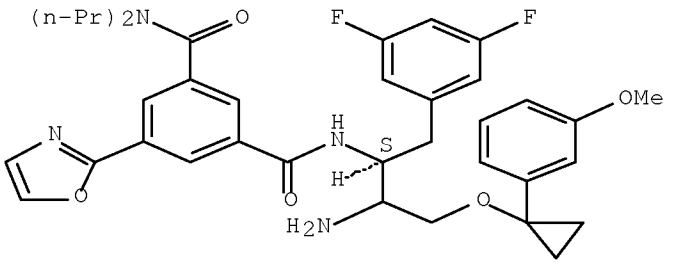
RN 674809-67-7 HCAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[[1-[3-(1-methylethyl)phenyl]cyclopropyl]oxy]propyl]-5-methyl-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



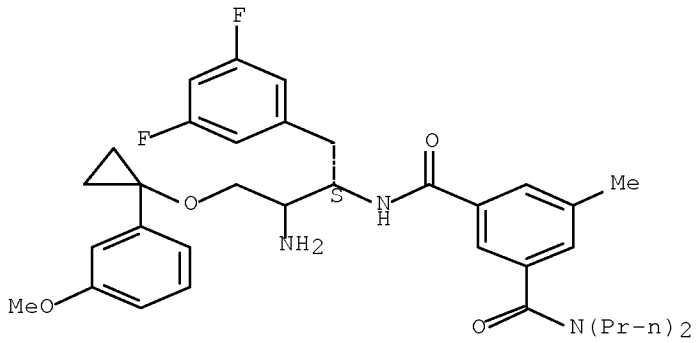
RN 674809-69-9 HCAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[[1-(3-methoxyphenyl)cyclopropyl]oxy]propyl]-5-(2-oxazolyl)-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



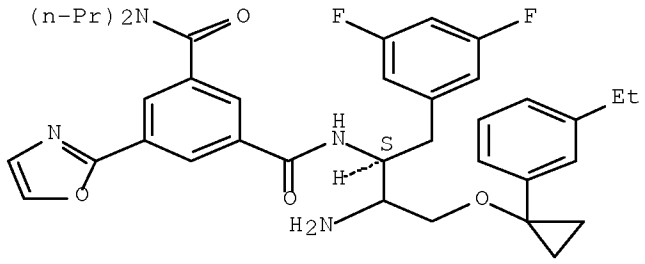
RN 674809-71-3 HCAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[[1-(3-methoxyphenyl)cyclopropyl]oxy]propyl]-5-methyl-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



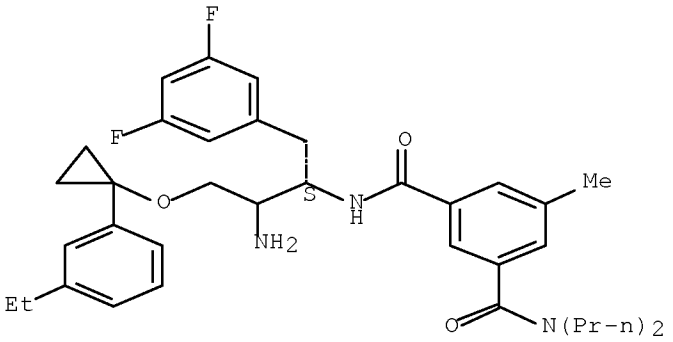
RN 674809-72-4 HCAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[[1-(3-ethylphenyl)cyclopropyl]oxy]propyl]-5-(2-oxazolyl)-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



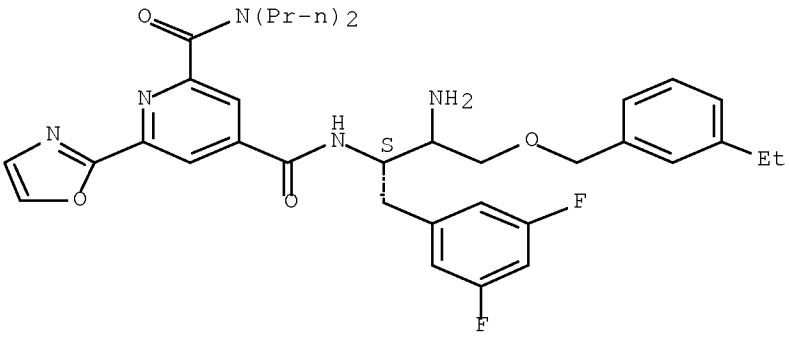
RN 674809-74-6 HCAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[[1-(3-ethylphenyl)cyclopropyl]oxy]propyl]-5-methyl-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



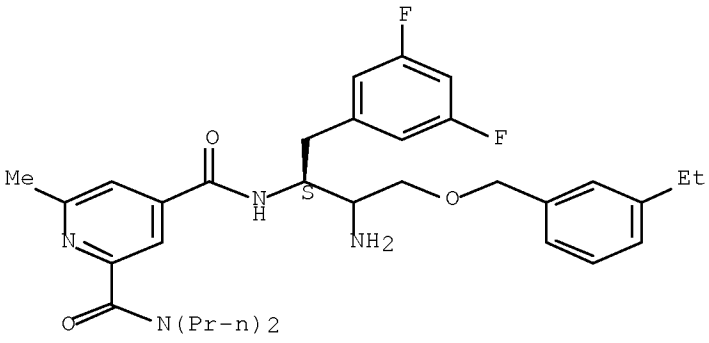
RN 674809-75-7 HCAPLUS
CN 2,4-Pyridinedicarboxamide, N4-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[(3-ethylphenyl)methoxy]propyl]-6-(2-oxazolyl)-N2,N2-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



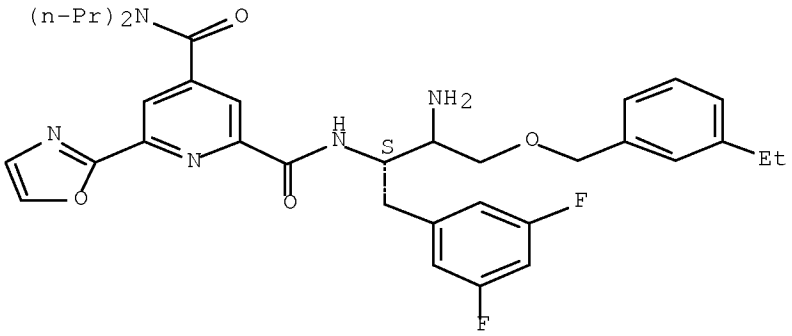
RN 674809-77-9 HCAPLUS
CN 2,4-Pyridinedicarboxamide, N4-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[(3-ethylphenyl)methoxy]propyl]-6-methyl-N2,N2-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



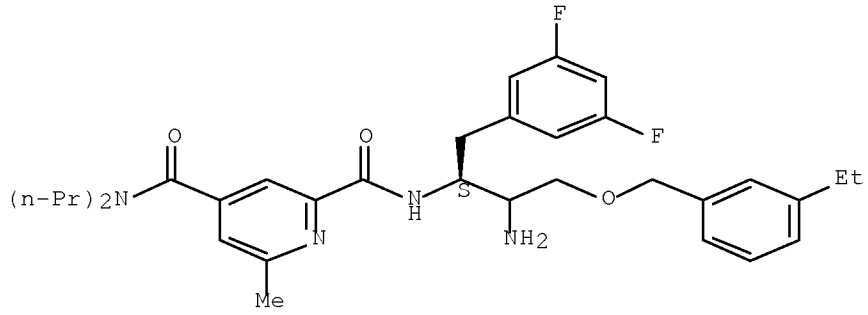
RN 674809-79-1 HCAPLUS
CN 2,4-Pyridinedicarboxamide, N2-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[(3-ethylphenyl)methoxy]propyl]-6-(2-oxazolyl)-N4,N4-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



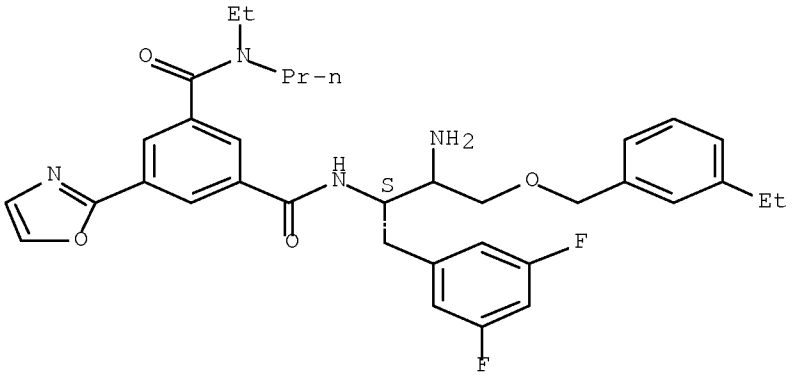
RN 674809-81-5 HCAPLUS
CN 2,4-Pyridinedicarboxamide, N2-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[(3-ethylphenyl)methoxy]propyl]-6-methyl-N4,N4-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



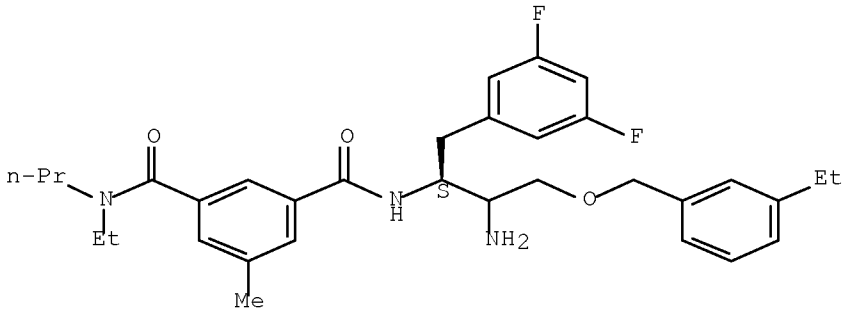
RN 674809-82-6 HCAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[(3-ethylphenyl)methoxy]propyl]-N1-ethyl-5-(2-oxazolyl)-N1-propyl- (CA INDEX NAME)

Absolute stereochemistry.



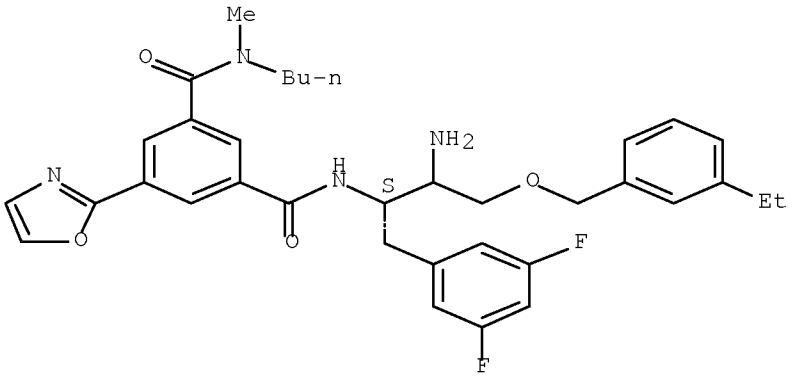
RN 674809-84-8 HCAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[(3-ethylphenyl)methoxy]propyl]-N1-ethyl-5-methyl-N1-propyl- (CA INDEX NAME)

Absolute stereochemistry.



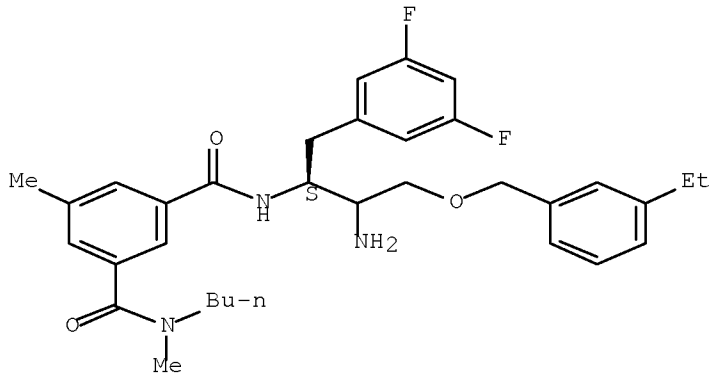
RN 674809-85-9 HCAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[(3-ethylphenyl)methoxy]propyl]-N1-butyl-N1-methyl-5-(2-oxazolyl)- (CA INDEX NAME)

Absolute stereochemistry.



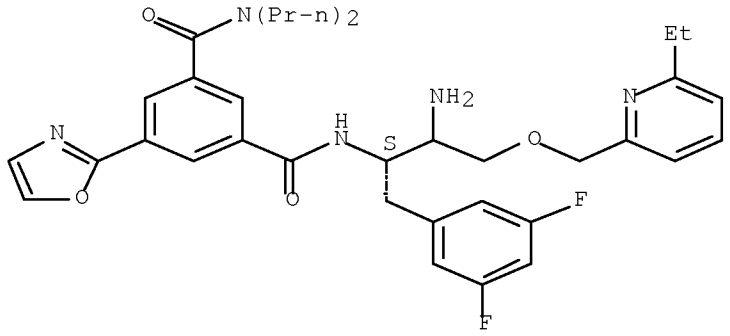
RN 674809-87-1 HCAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[(3-ethylphenyl)methoxy]propyl]-N1-butyl-N1,5-dimethyl- (CA INDEX NAME)

Absolute stereochemistry.



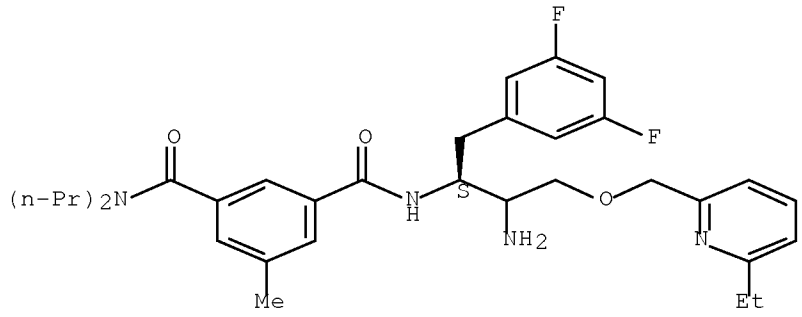
RN 674809-88-2 HCAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[(6-ethyl-2-pyridinyl)methoxy]propyl]-5-(2-oxazolyl)-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



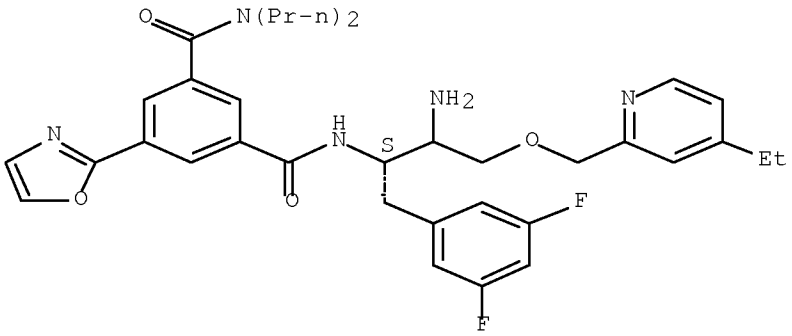
RN 674809-90-6 HCAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[(6-ethyl-2-pyridinyl)methoxy]propyl]-5-methyl-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



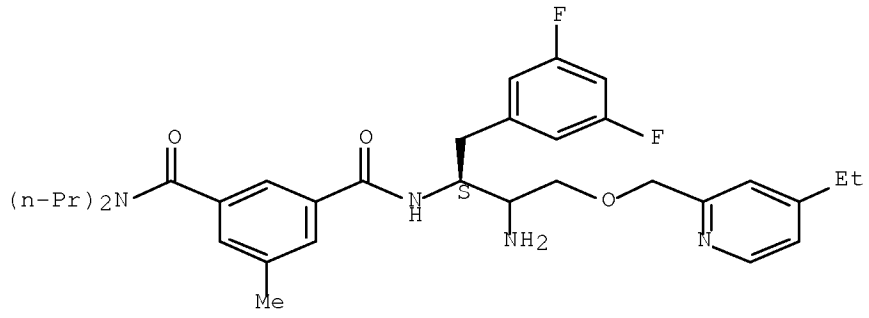
RN 674809-91-7 HCAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[(4-ethyl-2-pyridinyl)methoxy]propyl]-5-(2-oxazolyl)-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



RN 674809-93-9 HCAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[(4-ethyl-2-pyridinyl)methoxy]propyl]-5-methyl-N1,N1-dipropyl- (CA INDEX NAME)

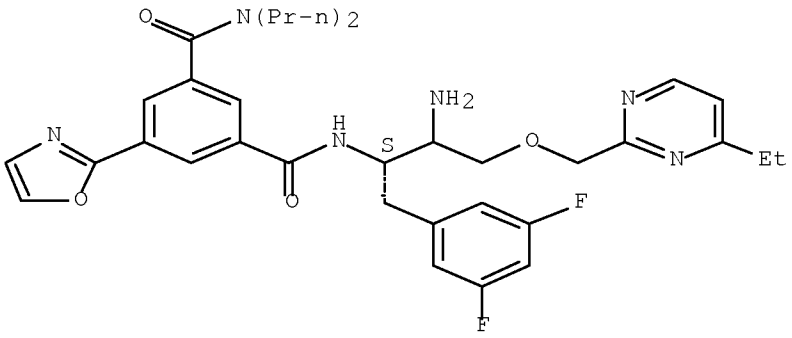
Absolute stereochemistry.



RN 674809-95-1 HCAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-

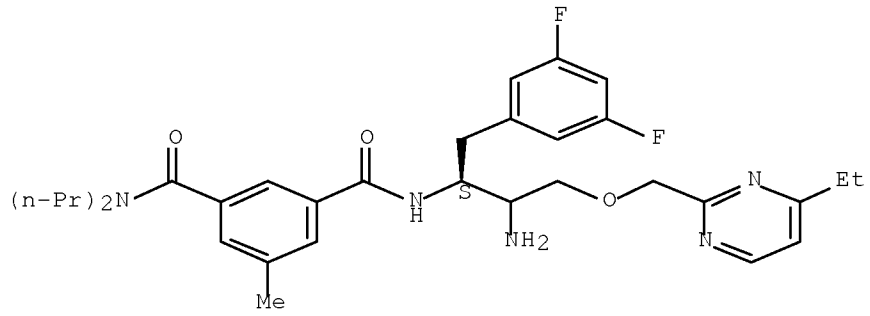
3-[(4-ethyl-2-pyrimidinyl)methoxy]propyl]-5-(2-oxazolyl)-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



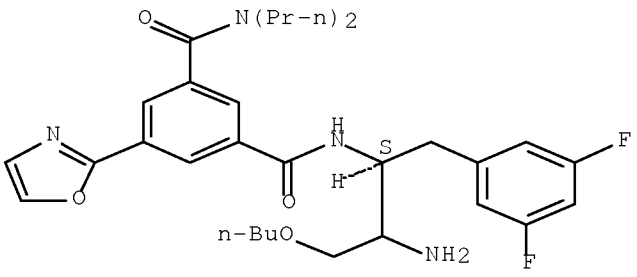
RN 674809-96-2 HCAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[(4-ethyl-2-pyrimidinyl)methoxy]propyl]-5-methyl-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



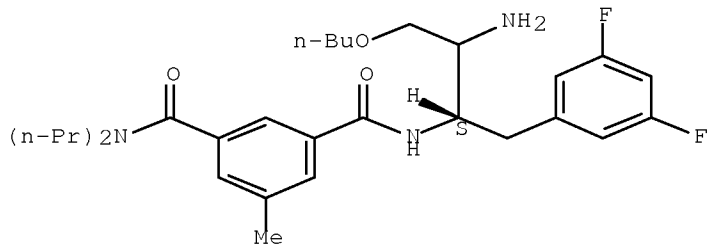
RN 674809-98-4 HCAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-3-butoxy-1-[(3,5-difluorophenyl)methyl]propyl]-5-(2-oxazolyl)-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



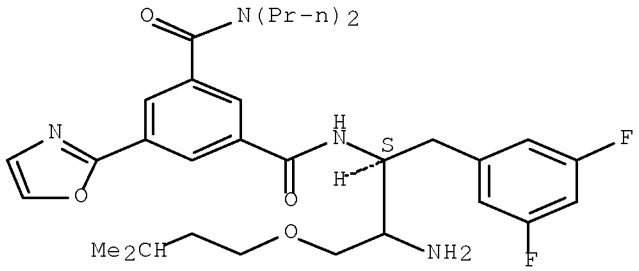
RN 674809-99-5 HCAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-3-butoxy-1-[(3,5-difluorophenyl)methyl]propyl]-5-methyl-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



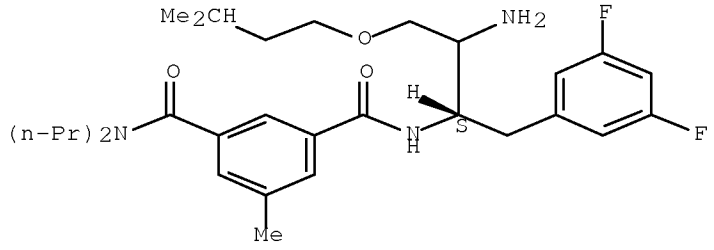
RN 674810-01-6 HCAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-(3-methylbutoxy)propyl]-5-(2-oxazolyl)-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



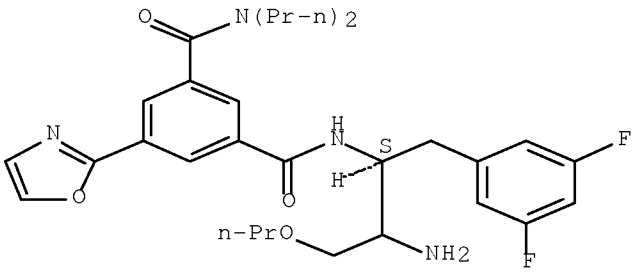
RN 674810-03-8 HCAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-(3-methylbutoxy)propyl]-5-methyl-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



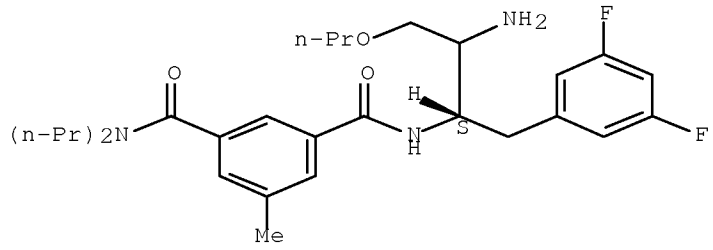
RN 674810-04-9 HCAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-propoxypropyl]-5-(2-oxazolyl)-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



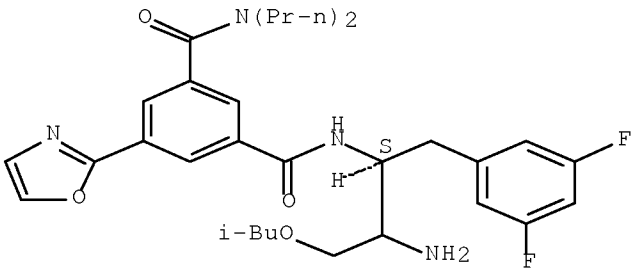
RN 674810-05-0 HCAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-propoxypropyl]-5-methyl-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



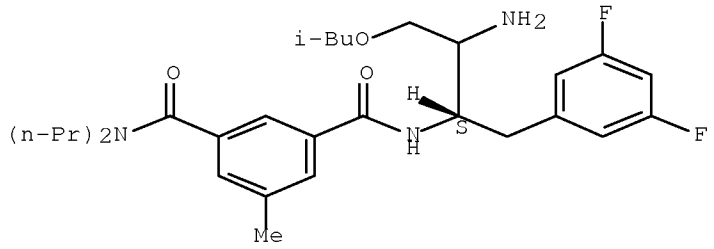
RN 674810-07-2 HCAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-(2-methylpropoxy)propyl]-5-(2-oxazolyl)-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



RN 674810-08-3 HCAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-(2-methylpropoxy)propyl]-5-methyl-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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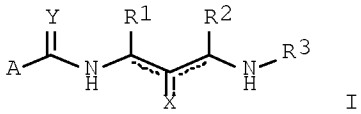
ACCESSION NUMBER: 2004:143093 HCAPLUS Full-text
DOCUMENT NUMBER: 140:181220
TITLE: Preparation of benzamide derivatives as β-secretase inhibitors
INVENTOR(S): Uchikawa, Osamu; Aso, Kazuyoshi; Koike, Tatsuki; Tarui, Naoki; Hirai, Keisuke
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: PCT Int. Appl., 90 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004014843	A1	20040219	WO 2003-JP10045	20030807 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003254844	A1	20040225	AU 2003-254844	20030807 <--
JP 2004091483	A	20040325	JP 2003-288504	20030807 <--
PRIORITY APPLN. INFO.:			JP 2002-233231 A	20020809
			WO 2003-JP10045 W	20030807

OTHER SOURCE(S): MARPAT 140:181220
GI



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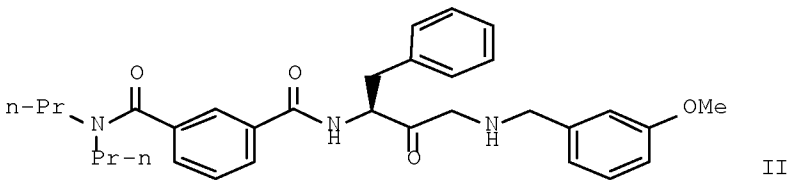


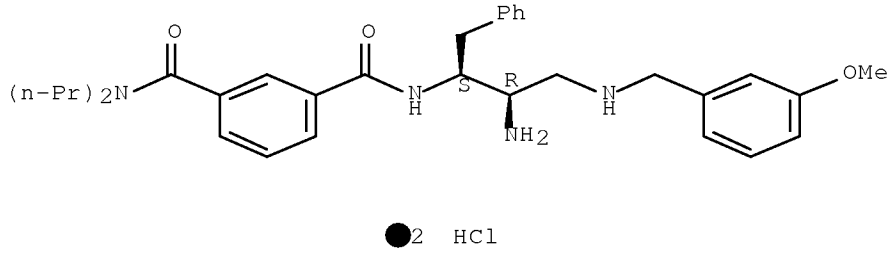
II

AB The title compds. I [wherein A = (un)substituted aryl; R1 = (un)substituted aryl, arylalkyl, heteroaryl, heteroarylalkyl, alkyl, cycloalkyl, or cycloalkylalkyl; R2 = H, (un)substituted aryl, arylalkyl, heteroaryl, heteroarylalkyl, alkyl, or cycloalkyl; R3 = (un)substituted arylalkyl, heteroarylalkyl, or alkyl; X = O, S, or (un)substituted NH; Y = O or S; with exclusions] or prodrugs or salts thereof are prepared as β-secretase inhibitors. For example, the compound II•HCl was prepared in a multi-step synthesis. II•HCl showed inhibitory activity with IC50 of 0.099 μM against human β-secretase. I are useful for the treatment of neurodegenerative disease, neuropathy, memory disorder, psychiatric disorder, etc. (no data). Formulations containing I as an active ingredient were also described.

IT 660430-33-1P 660431-09-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of benzamide derivs. as β-secretase inhibitors)

RN 660430-33-1 HCAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S,2R)-2-amino-3-[[(3-methoxyphenyl)methyl]amino]-1-(phenylmethyl)propyl]-N1,N1-dipropyl-, hydrochloride (1:2) (CA INDEX NAME)

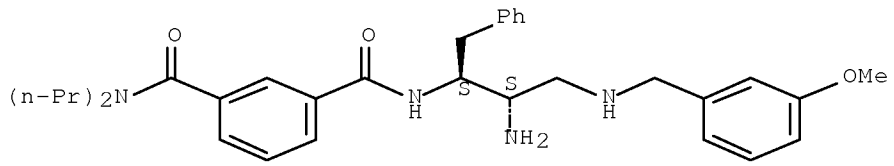
Absolute stereochemistry.



2 HCl

RN 660431-09-4 HCAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S,2S)-2-amino-3-[[(3-methoxyphenyl)methyl]amino]-1-(phenylmethyl)propyl]-N1,N1-dipropyl-, hydrochloride (1:2) (CA INDEX NAME)

Absolute stereochemistry.



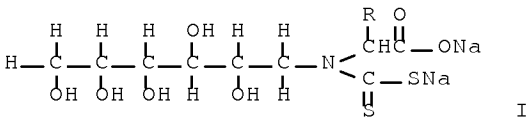
●2 HCl

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 4 OF 31 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2003:150761 HCAPLUS Full-text
DOCUMENT NUMBER: 138:163510
TITLE: Use of N-glucosyl-N-dithiocarboxylic acid-L-amino acid sodium to reduce platinum toxicity
INVENTOR(S): Peng, Shiqi; Wang, Chao; Zhao, Ming
PATENT ASSIGNEE(S): Aiye Medicinal Technology Co., Ltd., Peop. Rep. China
SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, 11 pp.
CODEN: CNXXEV
DOCUMENT TYPE: Patent
LANGUAGE: Chinese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CN 1343493	A	20020410	CN 2001-141628	20010929 <--

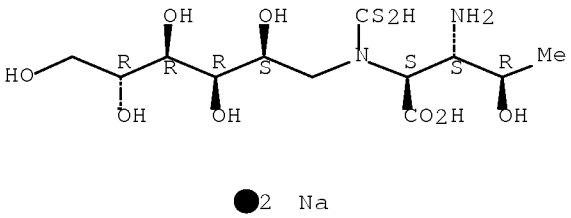
PRIORITY APPLN. INFO.: CN 2001-141628 20010929
GI



I

AB The invention relates to the application of N-glycosyl-N- dithiocarboxy-L-amino acid Na salts (I; where: R = H, CH3, CH2C6H5, CH(OH)CH3, or CH2SH) as Pt-repelling agent for use during or after chemotherapy of head cervical neoplasm or reproductive system neoplasm with platinic anticancer agent.
IT 496923-51-4
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(application of N-glucosyl-N-dithiocarboxylic acid-L-amino acid sodium in repelling Pt)
RN 496923-51-4 HCAPLUS
CN L-Threonine, N-(1-deoxy-1-D-glucitol-1-yl)-N-(dithiocarboxy)-, disodium salt (9CI) (CA INDEX NAME)

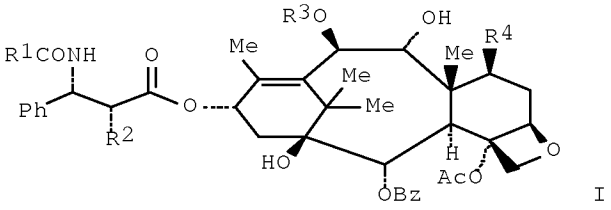
Absolute stereochemistry.



L10 ANSWER 5 OF 31 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2001:565021 HCAPLUS Full-text
DOCUMENT NUMBER: 135:137629
TITLE: Synthesis of water soluble 9-dihydro-paclitaxel derivatives from 9-dihydro-13-acetylbaccatin III
INVENTOR(S): Liu, Jian
PATENT ASSIGNEE(S): Can.
SOURCE: PCT Int. Appl., 23 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001055126	A2	20010802	WO 2001-IB646	20010131 <--
WO 2001055126	A3	20020110		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CZ 297685	B6	20070307	CZ 2000-89	19980501
US 6175023	B1	20010116	US 2000-494629	20000131 <--
CA 2398655	A1	20010802	CA 2001-2398655	20010131 <--
EP 1255745	A2	20021113	EP 2001-921710	20010131 <--
EP 1255745	B1	20040114		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2003531826	T	20031028	JP 2001-560985	20010131 <--
AT 257831	T	20040115	AT 2001-921710	20010131 <--
PT 1255745	T	20040430	PT 2001-921710	20010131 <--
ES 2214410	T3	20040916	ES 2001-921710	20010131 <--
AU 784830	B2	20060629	AU 2001-48678	20010131

PRIORITY APPLN. INFO.: US 2000-494629 A1 20000131
CA 1997-2204197 A 19970501
WO 2001-IB646 W 20010131
OTHER SOURCE(S): CASREACT 135:137629; MARPAT 135:137629
GI



I

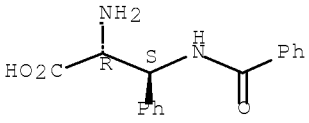
AB 9-Dihydrotaxanes of formula I [R1 = H, alkyl, (substituted) Ph, alkoxy; R2 = OH, (substituted) NH2; R3 = H, alkanoyl; R4 = (substituted) OH or NH2] are prepared by protecting the C7-hydroxy group of 9-dihydro-13- acetylbaccatin III, deacetylating at C13, then adding a suitable C13 side chain. Thus, 2'-amino-9-dehydrotaxol was prepared from 9-dihydro-13- acetylbaccatin III.

IT 351885-39-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(synthesis of water soluble 9-dihydropaclitaxel derivs. from 9-dihydro-13-acetylbaccatin III)

RN 351885-39-7 HCAPLUS

CN D-Phenylalanine, β-(benzoylamino)-, (βS)- (CA INDEX NAME)

Absolute stereochemistry.

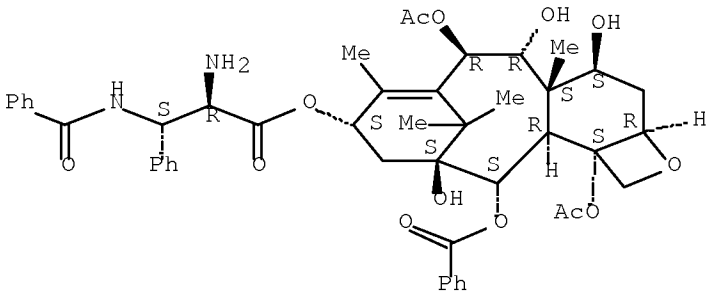


IT 351885-32-0P 351885-35-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis of water soluble 9-dihydropaclitaxel derivs. from 9-dihydro-13-acetylbaccatin III)

RN 351885-32-0 HCAPLUS

CN D-Phenylalanine, β-(benzoylamino)-, (2aR,4S,4aS,5R,6R,9S,11S,12S,12aR,12bS)-6,12b-bis(acetyloxy)-12-(benzoyloxy)-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-4,5,11-trihydroxy-4a,8,13,13-tetramethyl-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl ester, (βS)- (CA INDEX NAME)

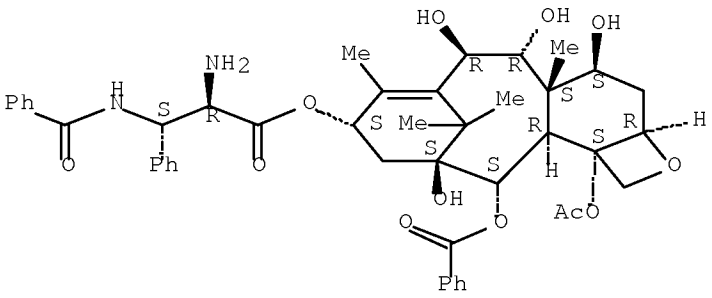
Absolute stereochemistry.



RN 351885-35-3 HCAPLUS

CN D-Phenylalanine, β-(benzoylamino)-, (2aR,4S,4aS,5R,6R,9S,11S,12S,12aR,12bS)-12b-(acetyloxy)-12-(benzoyloxy)-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-4,5,6,11-tetrahydroxy-4a,8,13,13-tetramethyl-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl ester, (βS)- (CA INDEX NAME)

Absolute stereochemistry.



L10 ANSWER 6 OF 31 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1999:659358 HCAPLUS Full-text

DOCUMENT NUMBER: 131:286264

TITLE: Preparation of phenylsulfonamide derivatives as proteinase and aggrecanase inhibitors

INVENTOR(S): Kimura, Tomio; Miyazaki, Shoujiro; Ueda, Keiji; Tanzawa, Kazuhiko; Ushiyama, Shigeru; Takasaki, Wataru

PATENT ASSIGNEE(S): Sankyo Company, Ltd., Japan

SOURCE: PCT Int. Appl., 285 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9951572	A1	19991014	WO 1999-JP1751	19990402 <--
W: AU, BR, CA, CN, CZ, HU, ID, IL, IN, KR, MX, NO, NZ, PL, PT, RU, TR, US, ZA				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2327290	A1	19991014	CA 1999-2327290	19990402 <--
AU 9929615	A	19991025	AU 1999-29615	19990402 <--
AU 756248	B2	20030109		
JP 2000319250	A	20001121	JP 1999-96827	19990402 <--
BR 9909398	A	20001226	BR 1999-9398	19990402 <--
EP 1069110	A1	20010117	EP 1999-910822	19990402 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
TR 200002877	T2	20010122	TR 2000-2877	19990402 <--
HU 2001002196	A2	20020629	HU 2001-2196	19990402 <--
HU 2001002196	A3	20021228		
RU 2217418	C2	20031127	RU 2000-124945	19990402 <--

IN 2000KN00352	A	20050311	IN 2000-KN352		20000925 <--
NO 2000004949	A	20001107	NO 2000-4949		20001002 <--
ZA 2000005342	A	20021205	ZA 2000-5342		20001002 <--
US 6673804	B1	20040106	US 2000-678294		20001002 <--
MX 2000PA09744	A	20010911	MX 2000-PA9744		20001004 <--
PRIORITY APPLN. INFO.:			JP 1998-91819	A	19980403
			JP 1999-53164	A	19990301
			WO 1999-JP1751	W	19990402

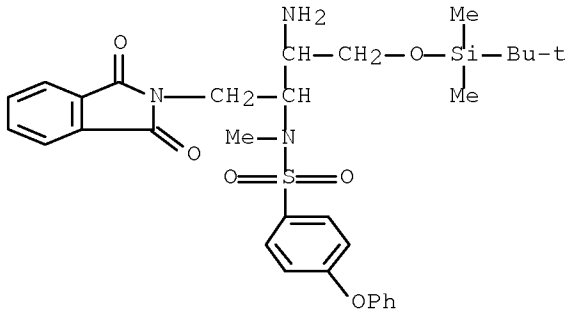
OTHER SOURCE(S): MARPAT 131:286264
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

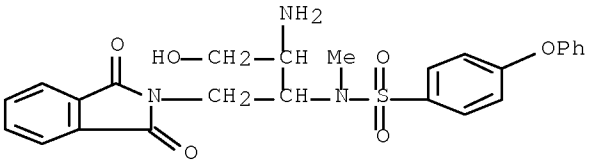
AB Title compds. R5OR4SO2N(R3)CH(R2)COR1 [I; wherein R1 is H or NHOH; R2 is H, optionally substituted alkyl, cycloalkyl, or AR6 (wherein A is O, S(O)m, or alkylene optionally interrupted by N(R9); and R6 is a group represented by Q, Q1, Q2 wherein X is O, S, N(R10), or C(R11)(R12); Y is O, CO, S(O)n, N(R10), or C(R11)(R12); R7 and R8 each is H, alkyl, COOH, optionally substituted alkyl, etc.; R9, R10, R11, and R12 each is H, alkyl, etc.; and m and n each is 0 to 2); R3 is H, optionally substituted alkyl, optionally substituted cycloalkyl, optionally substituted alkenyl, or optionally substituted alkynyl; R4 is optionally substituted (hetero)arylene; and R5 is optionally substituted alkyl or optionally substituted (hetero)aryl], stereoisomers, pharmacol. acceptable salts, esters, or other derivs. thereof are prepared and tested as matrix metalloproteinase-13 inhibitors and aggrecanase inhibitors. Thus, the title compound II was prepared

IT 246264-50-6P 246264-51-7P 246264-52-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of phenylsulfonamides as proteinase and aggrecanase inhibitors)

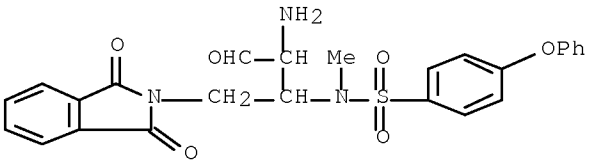
RN 246264-50-6 HCAPLUS
CN Benzenesulfonamide, N-[2-amino-1-[(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)methyl]-3-[[(1,1-dimethylethyl)dimethylsilyl]oxy]propyl]-N-methyl-4-phenoxy- (CA INDEX NAME)



RN 246264-51-7 HCAPLUS
CN Benzenesulfonamide, N-[2-amino-1-[(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)methyl]-3-hydroxypropyl]-N-methyl-4-phenoxy- (CA INDEX NAME)



RN 246264-52-8 HCAPLUS
CN Benzenesulfonamide, N-[2-amino-1-[(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)methyl]-3-oxopropyl]-N-methyl-4-phenoxy- (CA INDEX NAME)

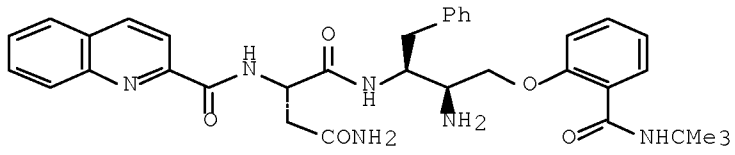


REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

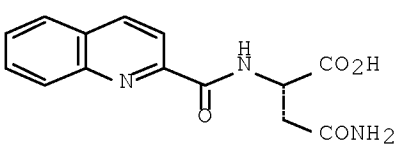
L10 ANSWER 7 OF 31 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1997:805573 HCAPLUS Full-text
DOCUMENT NUMBER: 128:48499
ORIGINAL REFERENCE NO.: 128:9535a,9538a
TITLE: Preparation of asparagine-containing peptides as renin and HIV-1 protease inhibitors
INVENTOR(S): Bennett, Frank; Girijavallabhan, Viyyoor M.; Patel, Naginbhai M.
PATENT ASSIGNEE(S): Schering Corp., USA
SOURCE: U.S., 26 pp., Cont.-in-part of U.S. Ser. No. 140,808, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5693815	A	19971202	US 1995-491854	19950714 <--
WO 9417096	A1	19940804	WO 1994-US330	19940114 <--
W: AU, BB, BG, BR, BY, CA, CN, CZ, FI, HU, JP, KR, KZ, LK, LV, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SK, UA, US, UZ, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
ZA 9400319	A	19940718	ZA 1994-319	19940117 <--
PRIORITY APPLN. INFO.:			US 1993-6086 B2	19930119
			US 1993-140808 B2	19931021
			WO 1994-US330 W	19940114

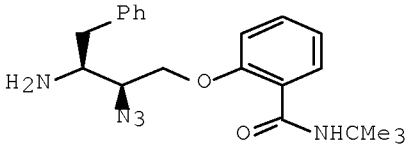
OTHER SOURCE(S): MARPAT 128:48499
GI



I



II



III

AB Title compds. ArWN(Z)CH(Q)CONHCH(R1)CH(U)CH2OL (Ar = naphthyl, biphenyl, quinoxalinyl, cinnolinyl, pyridinyl, anthraquinonyl, (substituted)quinolinyl, etc.; W = SO2, CO; Z = H; Q = CH2CONH2, CH(Me)Et, etc.; ZQ = (CH2)3, (CH2)4; R1 = Ph, CH2Ph, CH2CH2Ph, CH2C6H11, etc.; U = N3, NH2, NHCOCH3, etc.; L = C6H4COR2, C6H10COR2, etc.; R2 = NHC1-12alkyl, OC1-12alkyl, etc.) and their epimers or racemates thereof, or pharmaceutically acceptable salts were prepared as renin and HIV-1 protease inhibitors. The synthesis of title compound I included the stepwise coupling of Nα-protected amino acid II with amine III in presence of Et3N and coupling reagent BOP in CH2Cl2 to give the intermediate azide and reduction of the azide with H2 and Pd/C. Title compound I inhibited the growth of HIV-1 in tissue culture cell assays with an IC50 value of 1.4 μg/mL.

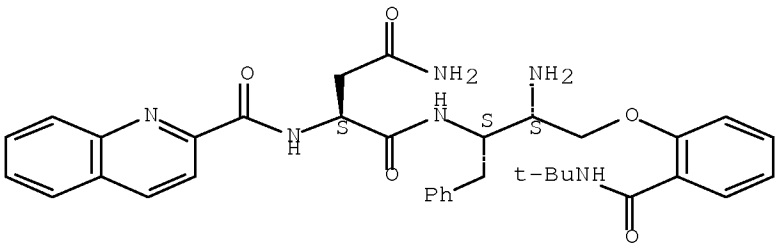
IT 162240-00-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of asparagine-containing peptides as renin and HIV protease inhibitors)

RN 162240-00-8 HCAPLUS

CN Butanediamide, N1-[(1S,2S)-2-amino-3-[2-[[(1,1-dimethylethyl)amino]carbonyl]phenoxy]-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (CA INDEX NAME)

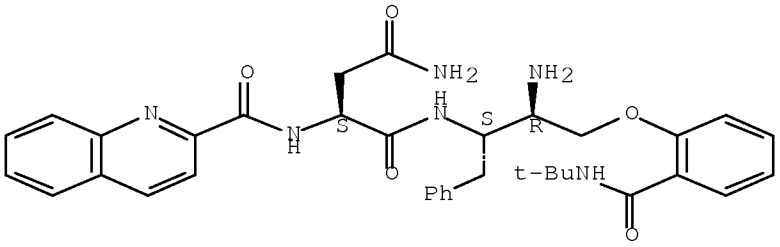
Absolute stereochemistry.



IT 162128-16-7 162128-18-9 162128-20-3
162128-22-5 162128-24-7 162128-26-9
162128-31-6 162128-34-9 199796-16-2
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of asparagine-containing peptides as renin and HIV protease inhibitors)

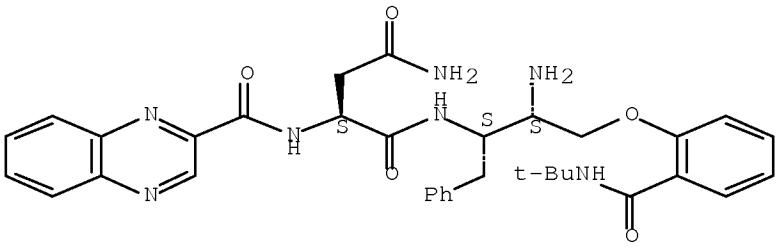
RN 162128-16-7 HCAPLUS
CN Butanediamide, N1-[(1S,2R)-2-amino-3-[2-[[(1,1-dimethylethyl)amino]carbonyl]phenoxy]-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



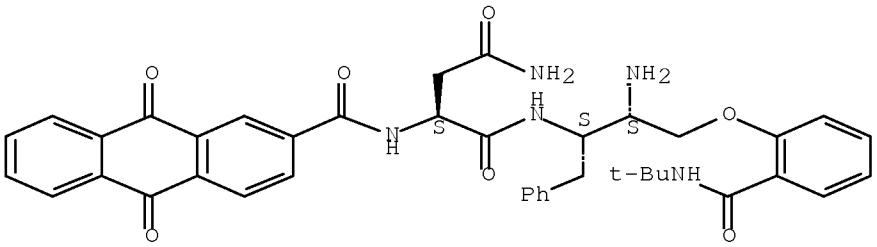
RN 162128-18-9 HCAPLUS
CN Butanediamide, N1-[(1S,2S)-2-amino-3-[2-[[(1,1-dimethylethyl)amino]carbonyl]phenoxy]-1-(phenylmethyl)propyl]-2-[(2-quinoxalinylcarbonyl)amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



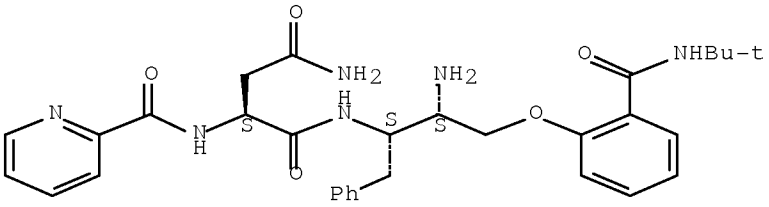
RN 162128-20-3 HCAPLUS
CN Butanediamide, N1-[(1S,2S)-2-amino-3-[2-[[(1,1-dimethylethyl)amino]carbonyl]phenoxy]-1-(phenylmethyl)propyl]-2-[[(9,10-dihydro-9,10-dioxo-2-anthracenyl)carbonyl]amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



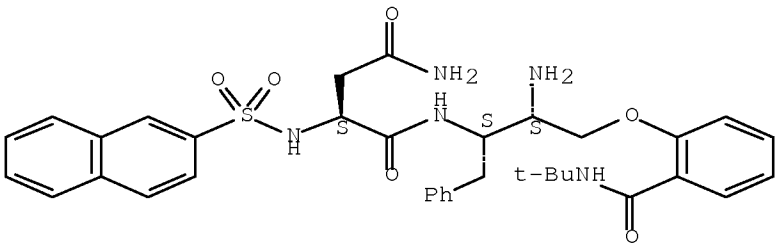
RN 162128-22-5 HCAPLUS
CN Butanediamide, N1-[(1S,2S)-2-amino-3-[2-[[(1,1-dimethylethyl)amino]carbonyl]phenoxy]-1-(phenylmethyl)propyl]-2-[(2-pyridinylcarbonyl)amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



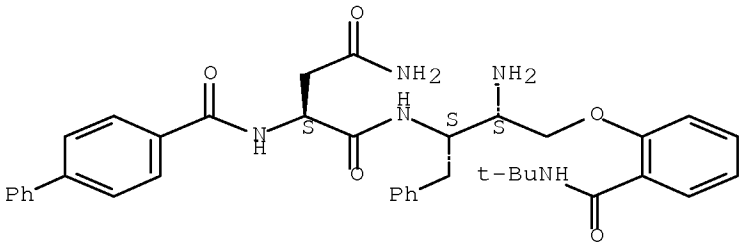
RN 162128-24-7 HCAPLUS
CN Butanediamide, N1-[(1S,2S)-2-amino-3-[2-[[(1,1-dimethylethyl)amino]carbonyl]phenoxy]-1-(phenylmethyl)propyl]-2-[(2-naphthalenylsulfonyl)amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



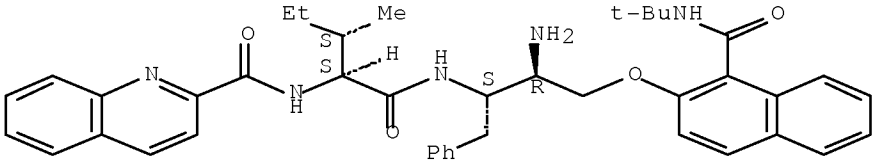
RN 162128-26-9 HCAPLUS
CN Butanediamide, N1-[(1S,2S)-2-amino-3-[2-[[(1,1-dimethylethyl)amino]carbonyl]phenoxy]-1-(phenylmethyl)propyl]-2-[([1,1'-biphenyl]-4-ylcarbonyl)amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



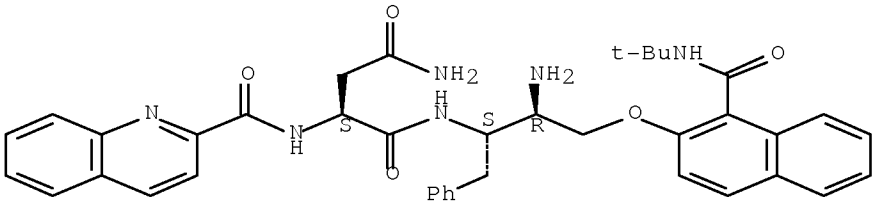
RN 162128-31-6 HCAPLUS
CN 2-Quinolinecarboxamide, N-[(1S,2S)-1-[[[(1S,2R)-2-amino-3-[[1-[[(1,1-dimethylethyl)amino]carbonyl]-2-naphthalenyl]oxy]-1-(phenylmethyl)propyl]amino]carbonyl]-2-methylbutyl]- (CA INDEX NAME)

Absolute stereochemistry.



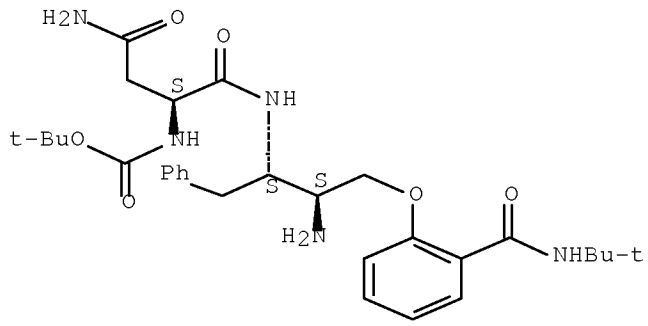
RN 162128-34-9 HCAPLUS
CN Butanediamide, N1-[(1S,2R)-2-amino-3-[[1-[[(1,1-dimethylethyl)amino]carbonyl]-2-naphthalenyl]oxy]-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



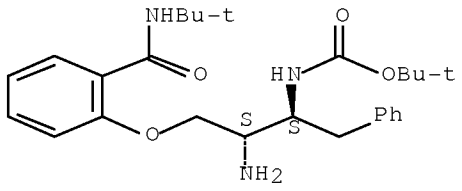
RN 199796-16-2 HCAPLUS
CN Carbamic acid, [3-amino-1-[[[2-amino-3-[2-[[(1,1-dimethylethyl)amino]carbonyl]phenoxy]-1-(phenylmethyl)propyl]amino]carbonyl]-3-oxopropyl]-, 1,1-dimethylethyl ester, [1S-[1R*(R*),2R*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 162128-39-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of asparagine-containing peptides as renin and HIV protease inhibitors)
RN 162128-39-4 HCAPLUS
CN Carbamic acid, [(1S,2S)-2-amino-3-[2-[[(1,1-dimethylethyl)amino]carbonyl]phenoxy]-1-(phenylmethyl)propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

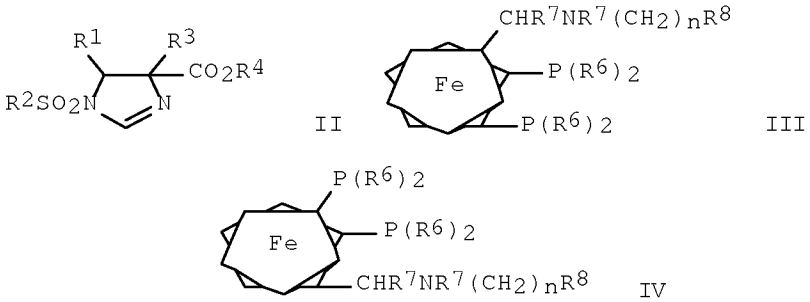


REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 8 OF 31 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1996:751537 HCAPLUS Full-text
DOCUMENT NUMBER: 126:31651
ORIGINAL REFERENCE NO.: 126:6441a,6444a
TITLE: Preparation of diaminocarboxylic acid derivatives by hydrolyzing racemic or optical active imidazoline derivatives
INVENTOR(S): Hayashi, Tamio
PATENT ASSIGNEE(S): Sumitomo Chemical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 8 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 08245552	A	19960924	JP 1995-48565	19950308 <--

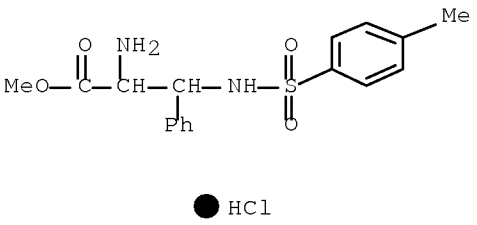
PRIORITY APPLN. INFO.: JP 1995-48565 19950308
OTHER SOURCE(S): CASREACT 126:31651; MARPAT 126:31651
GI



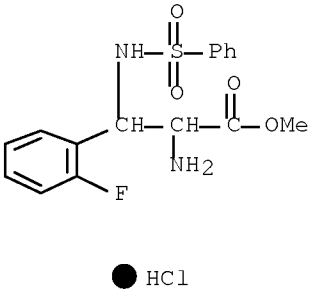
AB The title compds. R2SO2NHCR1CR3(NHR5)CO2R4 [I; R1 = (un)substituted C1-20 alkyl or alkenyl, (un)substituted cycloalkyl or Ph; R2 = C1-6 alkyl, (un)substituted Ph or PhCH2; R3 = H, C1-4 alkyl, Ph, PhCH2; R4 = C1-6 alkyl, PhCH2; R5 = H, acyl] are prepared by hydrolysis of imidazoline derivs. (II; R1 - R4 = same as above). II are prepared by cycloaddn. of R1CH:NSO2R2 (R1, R2 = same as above) with CNCHR3CO2R4 (R3, R4 = same as above) using optically active ferrocenylbisphosphine compds. [III or IV; R6 = cycloalkyl, (un)substituted Ph; R7 = C1-4 alkyl; R8 = substituted amino; n = 1-5] and group IB metal compds. as catalysts. I are useful intermediates in the production of drugs and pesticides. Thus, N-(benzylidene)-4-toluenesulfonamide was reacted with CNCH2CO2Me over CF3SO3Ag and (S)-N-methyl-N-[2-(piperidino)ethyl]-1-[(R)-1',2-bis(diphenylphosphino)ferrocenyl]ethylamine to give 99% II (R1 = Ph, R2 = p-tolyl, R3 = H, R4 = Me) (V) with cis/trans ratio of 24 : 76. V was treated with concentrate HCl to give 98% I.HCl (R1 - R4 = same as above).

IT 184355-81-5P 184355-85-9P
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of diaminocarbonic acid derivs. by hydrolyzing racemic or optical active imidazoline derivs.)

RN 184355-81-5 HCAPLUS
CN Phenylalanine, β-[[(4-methylphenyl)sulfonyl]amino]-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)



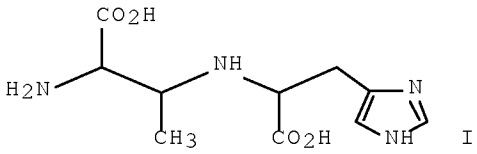
RN 184355-85-9 HCAPLUS
CN Phenylalanine, 2-fluoro-β-[(phenylsulfonyl)amino]-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)



L10 ANSWER 9 OF 31 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1995:584295 HCAPLUS Full-text
DOCUMENT NUMBER: 122:322218
ORIGINAL REFERENCE NO.: 122:58435a,58438a
TITLE: Topical preparations containing an amino acid derivative
INVENTOR(S): Shimatani, Yoichi; Komatsu, Kazuo; Yoshida, Seiichi; Suetsugu, Masaru; Shinojima, Satoru; Yamase, Yuki; Kako, Rumiko
PATENT ASSIGNEE(S): Shiseido Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 7 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 07069859	A	19950314	JP 1993-243609	19930903 <--
PRIORITY APPLN. INFO.:			JP 1993-243609	19930903

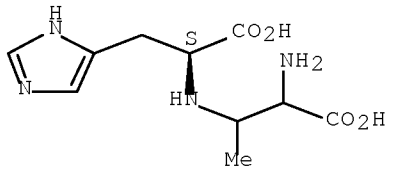
GI



AB Skin-lightening topical prepns. contain an amino acid derivative (I) and/or its salts. Skin cream containing 20.0 weight% I was formulated.
IT 163660-80-8 163660-81-9 163660-82-0 163660-83-1
RL: BUU (Biological use, unclassified); BIOL (Biological study); USES (Uses)
(skin-lightening cosmetics containing imidazolyl aminobutanoic acid derivative)

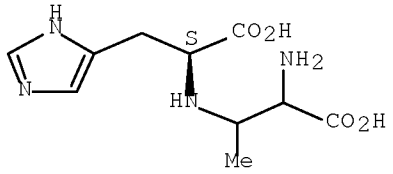
RN 163660-80-8 HCAPLUS
CN L-Histidine, N-(2-amino-2-carboxy-1-methylethyl)- (CA INDEX NAME)

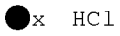
Absolute stereochemistry.



RN 163660-81-9 HCAPLUS
CN L-Histidine, N-(2-amino-2-carboxy-1-methylethyl)-, hydrochloride (9CI) (CA INDEX NAME)

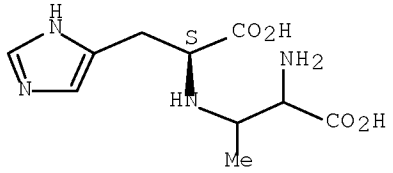
Absolute stereochemistry.

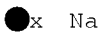




RN 163660-82-0 HCAPLUS
CN L-Histidine, N-(2-amino-2-carboxy-1-methylethyl)-, sodium salt (9CI) (CA INDEX NAME)

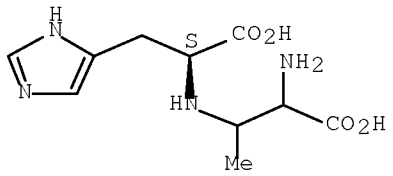
Absolute stereochemistry.





RN 163660-83-1 HCAPLUS
CN L-Histidine, N-(2-amino-2-carboxy-1-methylethyl)-, potassium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L10 ANSWER 10 OF 31 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1995:478086 HCAPLUS Full-text
DOCUMENT NUMBER: 122:240451
ORIGINAL REFERENCE NO.: 122:43969a,43972a
TITLE: Preparation of peptides having anti-HIV activity.
INVENTOR(S): Bennett, Frank; Girijavallabhan, Viyyoor M.; Patel, Naginbhai M.
PATENT ASSIGNEE(S): Schering Corp., USA
SOURCE: PCT Int. Appl., 74 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9417096	A1	19940804	WO 1994-US330	19940114 <--
W: AU, BB, BG, BR, BY, CA, CN, CZ, FI, HU, JP, KR, KZ, LK, LV, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SK, UA, US, UZ, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9461617	A	19940815	AU 1994-61617	19940114 <--
ZA 9400319	A	19940718	ZA 1994-319	19940117 <--
US 5693815	A	19971202	US 1995-491854	19950714 <--
PRIORITY APPLN. INFO.:			US 1993-6086 A2	19930117
			US 1993-140808 A2	19931021
			WO 1994-US330 W	19940114

OTHER SOURCE(S): MARPAT 122:240451
GI

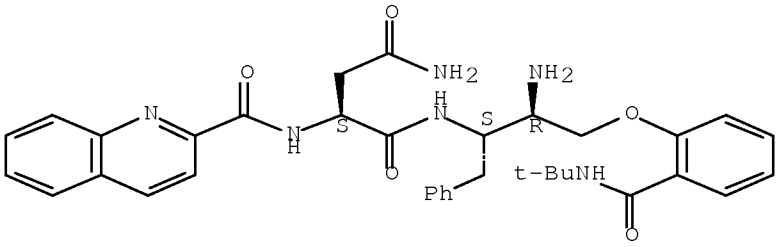
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. [I; Ar = Q1-Q4, biphen-4-yl, naphthyl, etc.; R10 = H, OH; W = CO, SO2; Q = α-CH2CONH2, α-CH2CONMe2, α-CH2Ph, α-CH2NHCHO, α-CMe3, α-CH2CH2SMe, Q5, etc.; Z = H; ZQ = (CH2)3, (CH2)4; R1 = β-CH2Ph, β-CH2C6H4OH-p, β-Ph, β-CH2CH2Ph, etc.; U = α-N3, β-N3, α-NH2, β-NH2, α-NHCHO, β-NHCHO, α-SH, β-SH, etc.; L = Q6, Q7, morpholino, piperidinyl, NHCH2CH2OCH2CH2OCH2CH2OMe, etc.], and related compds., were prepared Thus, title compound (II) (prepared by solution phase methods) inhibited growth of HIV-1 in CEM-SS cells with IC50 = 1.4 μg/mL.

IT 162128-16-7P 162128-18-9P 162128-20-3P
162128-22-5P 162128-24-7P 162128-26-9P
162128-28-1P 162128-31-6P 162128-34-9P
162240-00-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of peptides having anti-HIV activity)

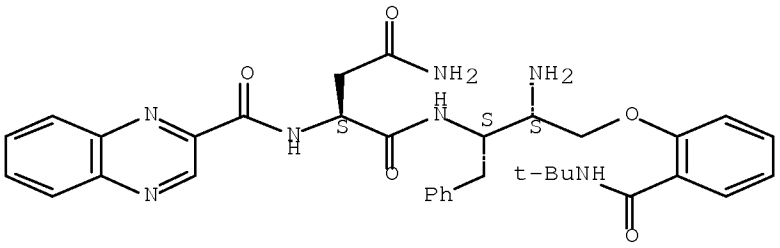
RN 162128-16-7 HCAPLUS
CN Butanediamide, N1-[(1S,2R)-2-amino-3-[2-[[(1,1-dimethylethyl)amino]carbonyl]phenoxy]-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



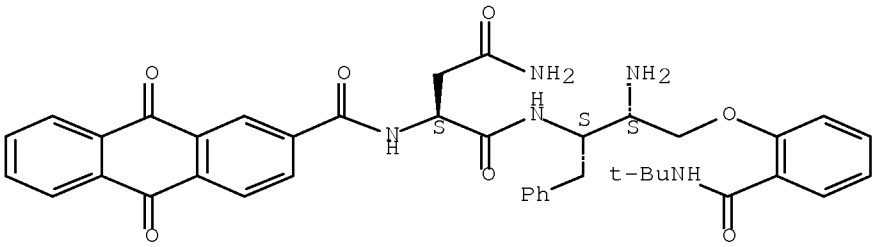
RN 162128-18-9 HCAPLUS
CN Butanediamide, N1-[(1S,2S)-2-amino-3-[2-[[(1,1-dimethylethyl)amino]carbonyl]phenoxy]-1-(phenylmethyl)propyl]-2-[(2-quinoxalinylcarbonyl)amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



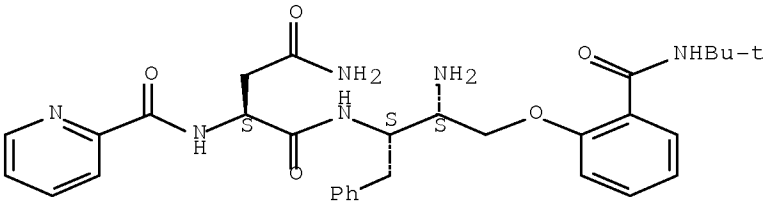
RN 162128-20-3 HCAPLUS
CN Butanediamide, N1-[(1S,2S)-2-amino-3-[2-[[(1,1-dimethylethyl)amino]carbonyl]phenoxy]-1-(phenylmethyl)propyl]-2-[[(9,10-dihydro-9,10-dioxo-2-anthracenyl)carbonyl]amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



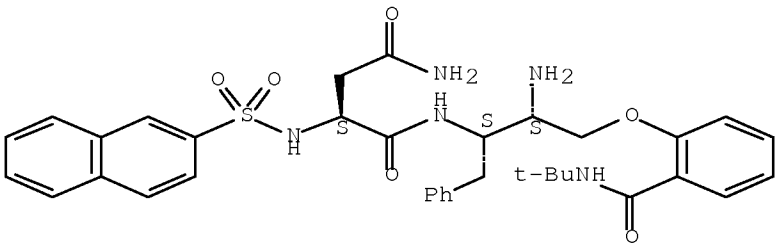
RN 162128-22-5 HCAPLUS
CN Butanediamide, N1-[(1S,2S)-2-amino-3-[2-[[(1,1-dimethylethyl)amino]carbonyl]phenoxy]-1-(phenylmethyl)propyl]-2-[(2-pyridinylcarbonyl)amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



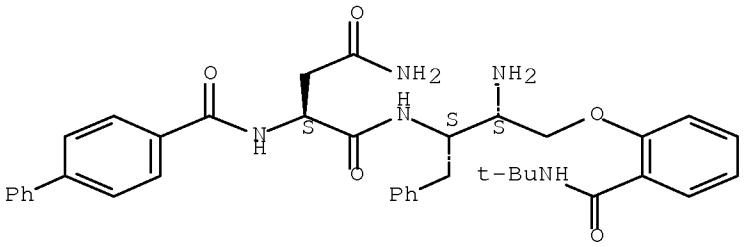
RN 162128-24-7 HCAPLUS
CN Butanediamide, N1-[(1S,2S)-2-amino-3-[2-[[(1,1-dimethylethyl)amino]carbonyl]phenoxy]-1-(phenylmethyl)propyl]-2-[(2-naphthalenylsulfonyl)amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



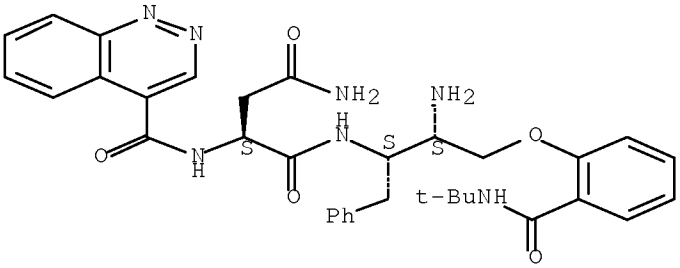
RN 162128-26-9 HCAPLUS
CN Butanediamide, N1-[(1S,2S)-2-amino-3-[2-[[(1,1-dimethylethyl)amino]carbonyl]phenoxy]-1-(phenylmethyl)propyl]-2-[([1,1'-biphenyl]-4-ylcarbonyl)amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



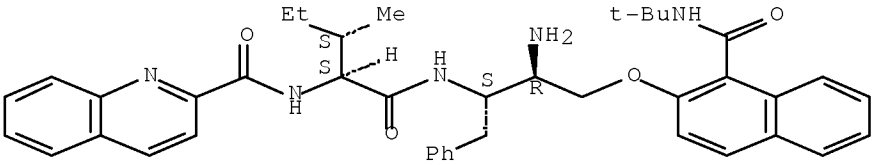
RN 162128-28-1 HCAPLUS
CN Butanediamide, N1-[(1S,2S)-2-amino-3-[2-[[(1,1-dimethylethyl)amino]carbonyl]phenoxy]-1-(phenylmethyl)propyl]-2-[(4-cinnolinylcarbonyl)amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



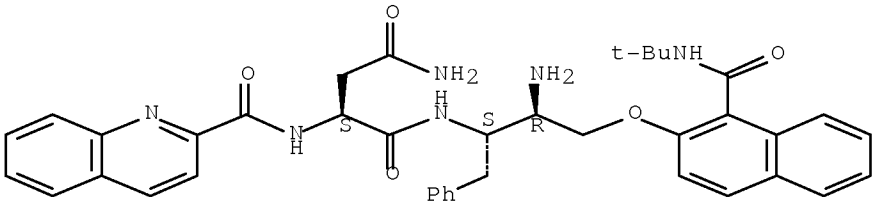
RN 162128-31-6 HCAPLUS
CN 2-Quinolinecarboxamide, N-[(1S,2S)-1-[[[(1S,2R)-2-amino-3-[[1-[[(1,1-dimethylethyl)amino]carbonyl]-2-naphthalenyl]oxy]-1-(phenylmethyl)propyl]amino]carbonyl]-2-methylbutyl]- (CA INDEX NAME)

Absolute stereochemistry.



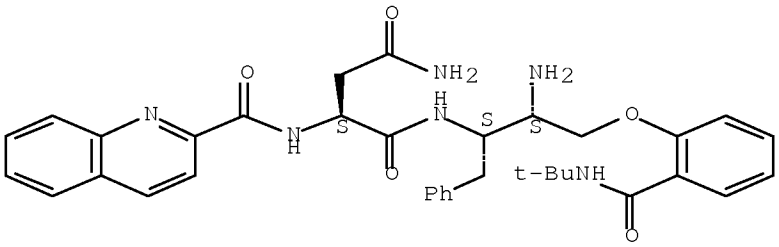
RN 162128-34-9 HCAPLUS
CN Butanediamide, N1-[(1S,2R)-2-amino-3-[[1-[[(1,1-dimethylethyl)amino]carbonyl]-2-naphthalenyl]oxy]-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



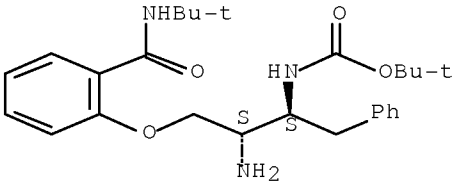
RN 162240-00-8 HCAPLUS
CN Butanediamide, N1-[(1S,2S)-2-amino-3-[2-[[(1,1-dimethylethyl)amino]carbonyl]phenoxy]-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



IT 162128-39-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of peptides having anti-HIV activity)
RN 162128-39-4 HCAPLUS
CN Carbamic acid, [(1S,2S)-2-amino-3-[2-[[(1,1-dimethylethyl)amino]carbonyl]phenoxy]-1-(phenylmethyl)propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

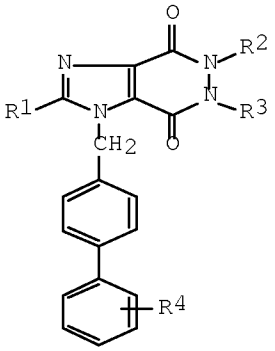


L10 ANSWER 11 OF 31 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1993:80946 HCAPLUS Full-text
DOCUMENT NUMBER: 118:80946
ORIGINAL REFERENCE NO.: 118:14249a,14252a
TITLE: Preparation of imidazopyridazinedione derivatives as angiotensin II antagonists and antihypertensives
INVENTOR(S): Yanagisawa, Hiroaki; Fujimoto, Koichi; Amamiya, Yoshiya; Shimoji, Yasuo; Kanezaki, Takuo; Koike,

	Hiroyuki; Sada, Toshio
PATENT ASSIGNEE(S):	Sankyo Co., Ltd., Japan
SOURCE:	Jpn. Kokai Tokkyo Koho, 19 pp.
	CODEN: JKXXAF
DOCUMENT TYPE:	Patent
LANGUAGE:	Japanese
FAMILY ACC. NUM. COUNT:	1
PATENT INFORMATION:	

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 04235988	A	19920825	JP 1991-3964	19910117 <--
PRIORITY APPLN. INFO.:			JP 1991-3964	19910117
OTHER SOURCE(S):	MARPAT 118:80946			

GI



I

AB The title derivs. I [R1 = C1-6 alkyl, C3-6 alkenyl or alkynyl; R2, R3 = H, C1-6 alkyl which may be substituted by O, OH, (protected) CO2H, halo, C1-4 alkoxy, aryloxy, C1-4 alkoxycarbonyloxy, (C1-5 alkanoyl)amino, arylacylamino, mono- or di-C1-4 alkylamino, C1-4 alkylthio, arylthio, C3-6 alkenyl, alkynyl, or cycloalkyl, C7-13 aralkyl in which alkyl may be substituted by (protected) CO2H, aryl; R4 = (protected) CO2H, tetrazolyl] or their pharmaceutically acceptable salts are prepared A mixture of 1.81 g tert-Bu 4-[(2-butyl-4,5-bis(ethoxycarbonyl)imidazol-1-yl)methyl]biphenyl-2- carboxylate and 1mL H2NNH2.H2O in EtOH was settled at room temperature for 4 days to give 0.35 g I (R1 = Bu, R2 = R3 = H, R4 = CO2CMe3). The ID50 of I (R1 = Bu, R2 = R3 = H, R4 = CO2H) for antagonism of angiotensin II-induced blood pressure-raising response in rats was 0.31 mg/kg i.v.

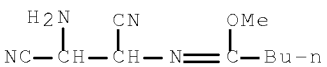
IT 144689-83-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn and cyclization of)

RN 144689-83-8 HCAPLUS

CN Pentanimidic acid, N-(2-amino-1,2-dicyanoethyl)-, methyl ester (CA INDEX NAME)

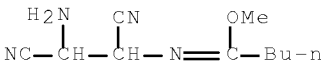


L10 ANSWER 12 OF 31 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1993:22240 HCAPLUS Full-text
DOCUMENT NUMBER: 118:22240
ORIGINAL REFERENCE NO.: 118:4189a,4192a
TITLE: Preparation of 1-[(carboxy-biphenylyl)methyl]imidazole-5-carboxylates and analogs as angiotensin II antagonists
INVENTOR(S): Yanagisawa, Hiroaki; Shimoji, Yasuo; Fujimoto, Koichi; Kanazaki, Takuro; Anemiya, Yoshiya; Koike, Hiroyuki; Sada, Toshio
PATENT ASSIGNEE(S): Sankyo Co., Ltd., Japan
SOURCE: Eur. Pat. Appl., 183 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
EP 503785	A1	19920916	EP 1992-301449	19920221	<--
EP 503785	B1	20010425			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, MC, NL, PT, SE					
CA 2061607	A1	19920822	CA 1992-2061607	19920220	<--
CA 2061607	C	19990119			
FI 9200749	A	19920822	FI 1992-749	19920220	<--
FI 112942	B1	20040213			
CA 2229000	C	20020409	CA 1992-2229000	19920220	<--
NO 9200688	A	19920824	NO 1992-688	19920221	<--
NO 304516	B1	19990104			
AU 9211125	A	19920827	AU 1992-11125	19920221	<--
AU 647887	B2	19940331			
HU 60475	A2	19920928	HU 1992-578	19920221	<--
HU 223338	B1	20040628			
CN 1065063	A	19921007	CN 1992-102075	19920221	<--
CN 1045770	C	19991020			
ZA 9201298	A	19921125	ZA 1992-1298	19920221	<--
JP 05078328	A	19930330	JP 1992-34970	19920221	<--
JP 07121918	B	19951225			
EP 545912	A2	19930609	EP 1993-200195	19920221	<--
EP 545912	A3	19930616			
EP 545912	B1	20010425			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, MC, NL, PT, SE					
IL 101034	A	19961016	IL 1992-101034	19920221	<--
IL 114996	A	19970713	IL 1992-114996	19920221	<--
RU 2092481	C1	19971010	RU 1992-5011264	19920221	<--
KR 128289	B1	19980402	KR 1992-2676	19920221	<--
RU 2128173	C1	19990327	RU 1995-101430	19920221	<--
AT 200777	T	20010515	AT 1992-301449	19920221	<--
AT 200778	T	20010515	AT 1993-200195	19920221	<--
ES 2156866	T3	20010801	ES 1993-200195	19920221	<--
PT 503785	T	20010830	PT 1992-301449	19920221	<--
PT 545912	T	20010830	PT 1993-200195	19920221	<--
ES 2157895	T3	20010901	ES 1992-301449	19920221	<--
CZ 289194	B6	20011114	CZ 1992-516	19920221	<--
CZ 289244	B6	20011212	CZ 1993-1782	19930830	<--

HU 223667	B1	20041129	HU 1996-1179		19941028 <--
FI 9505248	A	19951102	FI 1995-5248		19951102 <--
FI 112941	B1	20040213			
NO 9504507	A	19920824	NO 1995-4507		19951109 <--
CN 1189490	A	19980805	CN 1997-123452		19971224 <--
CN 1101384	C	20030212			
HK 1011361	A1	20020104	HK 1998-112355		19981126 <--
HK 1011969	A1	20011228	HK 1998-113006		19981209 <--
GR 3035906	T3	20010831	GR 2001-400760		20010522 <--
GR 3035909	T3	20010831	GR 2001-400763		20010522 <--
PRIORITY APPLN. INFO.:			JP 1991-27098	A	19910221
			JP 1991-96588	A	19910426
			JP 1991-134889	A	19910606
			JP 1991-167138	A	19910708
			JP 1991-173972	A	19910715
			JP 1991-184841	A	19910724
			CA 1992-2061607	A3	19920220
			FI 1992-749	A	19920220
			CZ 1992-516	A	19920221
			EP 1992-301449	A	19920221
			HU 1992-578	A	19920221
			NO 1992-688	A	19920221
			IL 1995-101034	A3	19950818

OTHER SOURCE(S): MARPAT 118:22240
GI For diagram(s), see printed CA Issue.
AB Title compds. [I; R1 = alkyl, alkenyl; R2,R3 = H, (cyclo)alkyl, alkenyl, aryl, etc.; R4 = H, alkyl, alkaroyl, arylcarbonyl, heterocyclyl, etc.; R5 = CO2H, (di)(alkyl)carbamoyl, CO2R5a, etc.; R5a = ester residue; R6 = H, alkyl, alkoxy, halo; R7 = CO2H, 5-tetrazolyl; Z = phenylenediyl] were prepared Thus, diaminomaleonitrile was cyclocondensed with PrC(OMe)3 and to product converted in 2 steps to di-Et 2-propylimidazole-4,5-dicarboxylate which was condensed with 4-(BrH2C)C6H4C6H4R8-Z (R7 = trityltetrazol-5-yl) and the product converted in 3 steps to title compound II which had ED50 of 0.0062 mg/kg i.v. for inhibition of the angiotensin II-induced pressor response in rats.
IT 144689-83-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in preparation of angiotensin II antagonists)
RN 144689-83-8 HCAPLUS
CN Pentanimidic acid, N-(2-amino-1,2-dicyanoethyl)-, methyl ester (CA INDEX NAME)



L10 ANSWER 13 OF 31 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1992:449268 HCAPLUS Full-text
DOCUMENT NUMBER: 117:49268
ORIGINAL REFERENCE NO.: 117:8819a,8822a
TITLE: Preparation of peptides for treatment of renin-dependent hypertension and aldosteronism.
INVENTOR(S): Raddatz, Peter; Sombroek, Johannes; Schmitges, Claus J.; Minck, Klaus Otto
PATENT ASSIGNEE(S): Merck Patent G.m.b.H., Germany

SOURCE: Ger. Offen., 14 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

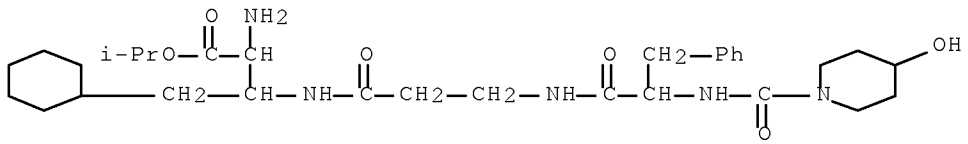
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 4027457	A1	19920305	DE 1990-4027457	19900830 <--
EP 474008	A1	19920311	EP 1991-113841	19910819 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
CA 2050092	A1	19920301	CA 1991-2050092	19910828 <--
ZA 9106861	A	19920527	ZA 1991-6861	19910829 <--
JP 04297447	A	19921021	JP 1991-298603	19910830 <--
AU 9183527	A	19930408	AU 1991-83527	19910830 <--
HU 62603	A2	19930528	HU 1991-2826	19910830 <--

PRIORITY APPLN. INFO.: DE 1990-4027457 A 19900830
OTHER SOURCE(S): CASREACT 117:49268; MARPAT 117:49268

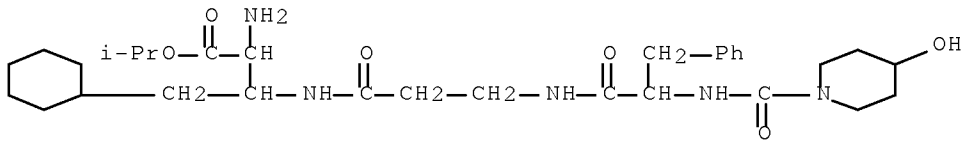
AB X-W-CR1R2-CO-Y-NH-CHR3-CR4-CO2R5 [I; X = H, acyl; W = O, NH; R1 = H, A; R2, R3 = H, A, (substituted) Ph, naphthyl; R4 = (H, OH), (H, NH2), O; R5 = H, A, cycloalkyl; Y = β-Ala, isoserine residue], useful for treating renin-dependent hypertension and aldosteronism (no data), were prepared Me 3S-amino-4-cyclohexyl-2R-hydroxybutyrate was condensed with 4-BOC-aminopiperidinocarbonylphenylalanyl-β-alanine in CH2Cl2 containing N-methylmorpholine, HOBt, and DCC at 0-5° for 12 h to give Me 3S-(4-BOC-amino-piperidinocarboylphenylalanyl-β-alanylamino)-4- cyclohexyl-2R-hydroxybutyrate. Tablets, capsules, injections, etc., containing I were formulated.

IT 141751-55-5P 142237-20-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, for treatment of hypertension and hyperaldosteronism)

RN 141751-55-5 HCAPLUS
CN Butanoic acid, N3-[N-[N-[(4-hydroxy-1-piperidinyl)carbonyl]-L-phenylalanyl]-β-alanyl]-4-cyclohexyl-D-2,3-diamino-, 1-methylethyl ester, threo- (9CI) (CA INDEX NAME)



RN 142237-20-5 HCAPLUS
CN β-Alaninamide, N-[(4-hydroxy-1-piperidinyl)carbonyl]-L-phenylalanyl-N-[(1S,2S)-2-amino-1-(cyclohexylmethyl)-3-(1-methylethoxy)-3-oxopropyl]-(9CI) (CA INDEX NAME)



L10 ANSWER 14 OF 31 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1991:450304 HCAPLUS Full-text
DOCUMENT NUMBER: 115:50304
ORIGINAL REFERENCE NO.: 115:8765a,8768a
TITLE: Preparation of amino acid and peptide derivatives and related compounds as retroviral protease inhibitors
INVENTOR(S): Kempf, Dale J.; Norbeck, Daniel W.; Erickson, John W.; Codacovi, Lynn M.; Sham, Hing Leung; Plattner, Jacob J.
PATENT ASSIGNEE(S): Abbott Laboratories, USA
SOURCE: Eur. Pat. Appl., 193 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 402646	A1	19901219	EP 1990-109319	19900517 <--
EP 402646	B1	19980722		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
US 5142056	A	19920825	US 1990-518730	19900509 <--
EP 839798	A2	19980506	EP 1997-119700	19900517 <--
EP 839798	A3	19981028		
EP 839798	B1	20050817		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
AT 168677	T	19980815	AT 1990-109319	19900517 <--
ES 2119737	T3	19981016	ES 1990-109319	19900517 <--
AT 302180	T	20050915	AT 1997-119700	19900517
AU 9055711	A	19901129	AU 1990-55711	19900518 <--
AU 645493	B2	19940120		
IL 94444	A	19990312	IL 1990-94444	19900520 <--
CA 2017252	A1	19901123	CA 1990-2017252	19900522 <--
CA 2017252	C	20010828		
JP 03128335	A	19910531	JP 1990-133684	19900523 <--
JP 2963910	B2	19991018		
US 5354866	A	19941011	US 1993-121673	19930914 <--
US 5541334	A	19960730	US 1995-409380	19950323 <--
US 5597926	A	19970128	US 1995-409767	19950323 <--
US 5670675	A	19970923	US 1995-409365	19950323 <--
US 5616714	A	19970401	US 1995-410260	19950324 <--
US 5648497	A	19970715	US 1995-410623	19950324 <--
US 5837873	A	19981117	US 1995-410162	19950324 <--
US 5539122	A	19960723	US 1995-410996	19950327 <--
US 5552558	A	19960903	US 1995-411032	19950327 <--
US 5696270	A	19971209	US 1995-411140	19950327 <--
US 5580984	A	19961203	US 1995-412253	19950328 <--
US 5679797	A	19971021	US 1995-412244	19950328 <--
US 5583232	A	19961210	US 1995-412821	19950329 <--
US 5597927	A	19970128	US 1995-412438	19950329 <--
US 5674882	A	19971007	US 1995-413136	19950329 <--
US 5583233	A	19961210	US 1995-413290	19950330 <--
US 5625072	A	19970429	US 1995-415827	19950403 <--
US 5591860	A	19970107	US 1995-416272	19950404 <--

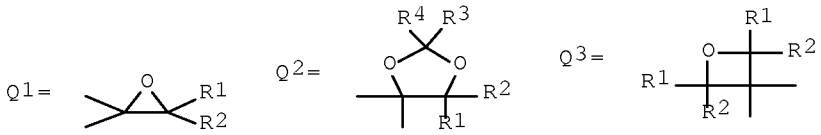
US 5597928	A	19970128	US 1995-416607	19950404 <--
US 5608072	A	19970304	US 1995-416259	19950404 <--
US 5565418	A	19961015	US 1995-417304	19950405 <--
US 5659044	A	19970819	US 1995-417165	19950405 <--
US 5659045	A	19970819	US 1995-417295	19950405 <--
US 5616720	A	19970401	US 1995-418056	19950406 <--
US 5635523	A	19970603	US 1995-417879	19950406 <--
US 5892052	A	19990406	US 1995-418031	19950406 <--
US 5554783	A	19960910	US 1995-418978	19950407 <--
US 5541206	A	19960730	US 1995-423387	19950425 <--
HK 1012337	A1	20000505	HK 1998-113371	19981215 <--
HK 1021530	A1	20060616	HK 2000-100412	19981215
US 6531610	B1	20030311	US 2000-619785	20000720 <--

PRIORITY APPLN. INFO.:

US 1989-355945	A	19890523
US 1989-405604	A	19890908
US 1989-456124	A	19891222
US 1990-518730	A	19900509
US 1983-355945	B2	19830523
EP 1990-109319	A3	19900517
US 1990-616170	B2	19901120
US 1991-746020	B2	19910815
US 1991-777626	A1	19911023
US 1992-880729	B1	19920508
US 1992-998114	B2	19921229
US 1993-164979	B1	19930207
US 1993-121673	A3	19930914
US 1993-158587	B3	19931202
US 1994-270210	A3	19940823
US 1994-358648	A3	19941219
US 1995-418031	A3	19950406
US 1998-207881	A3	19981208
HK 1998-113371	A	19981215

OTHER SOURCE(S): CASREACT 115:50304; MARPAT 115:50304

GI

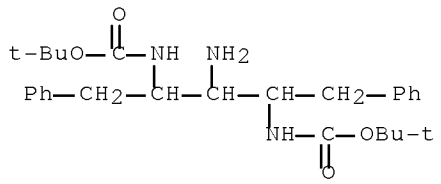


AB A-X-B [A,B = substituted amino, carbonyl, imino, alkyl, acyl, heterocyclyl, heterocyclylalkyl; X = CO, CHNR1R2, CHNHOR1, C(OH)CO2H, CH(OH), P(O)H, NOR1, SO, SO2, CH(OH)CHSH, CHSH, CH2SO2CH2, P(O)OR1, CH2SOCH2, Q1, Q2, Q3, etc.; R1,R2 = H, alkyl, hydroxyalkyl, alkoxyalkyl; R3,R4 = H, alkyl, alkoxyalkyl], were prepared Thus, (2S,3R,4S,5S)-2,5- diamino-3,4-dihydroxy-1,6-diphenylhexane (preparation given) in dioxane was treated with N-[(benzyloxycarbonylvalyl)oxy]succinimide (preparation given) to give (2S,3R,4S,5S)-2,5-bis[(benzyloxycarbonylvalyl)amino]-3,4-dihydroxy- 1,6-diphenylhexane. The latter inhibited HIV-13B in H9 cells with IC50 = 0.015-0.027 μM.

IT 134806-40-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological

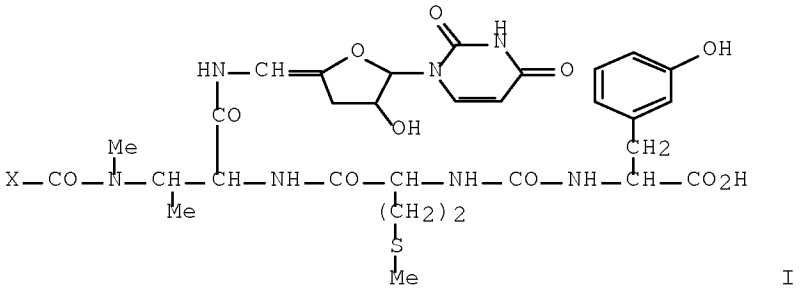
study); PREP (Preparation)
(preparation of, as retroviral protease inhibitor)
RN 134806-40-9 HCAPLUS
CN Carbamic acid, [2-amino-1,3-bis(phenylmethyl)-1,3-propanediyl]bis-, bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)



L10 ANSWER 15 OF 31 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1990:156701 HCAPLUS Full-text
DOCUMENT NUMBER: 112:156701
ORIGINAL REFERENCE NO.: 112:26483a,26486a
TITLE: New antibiotics of the mureidomycin group, their preparation, and their therapeutic use
INVENTOR(S): Haneishi, Tatsuo; Inukai, Masatoshi; Shimizu, Keiko; Isono, Fujio; Sakaida, Yoshiharu; Kinoshita, Takeshi
PATENT ASSIGNEE(S): Sankyo Co., Ltd., Japan
SOURCE: Eur. Pat. Appl., 41 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 317292	A2	19890524	EP 1988-310822	19881116 <--
EP 317292	A3	19900725		
EP 317292	B1	19930407		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
EP 517345	A1	19921209	EP 1992-202494	19881116 <--
EP 517345	B1	19950719		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
AT 87933	T	19930415	AT 1988-310822	19881116 <--
ES 2054829	T3	19940816	ES 1988-310822	19881116 <--
ES 2077345	T3	19951116	ES 1992-202494	19881116 <--
JP 01230568	A	19890914	JP 1988-290517	19881117 <--
JP 2556893	B2	19961127		
JP 01265894	A	19891023	JP 1988-290516	19881117 <--
JP 2642707	B2	19970820		
US 5041423	A	19910820	US 1988-273199	19881117 <--
KR 137779	B1	19980515	KR 1988-15272	19881119 <--
CA 1339595	C	19971223	CA 1988-583649	19881121 <--
KR 137675	B1	19980515	KR 1997-56329	19971013 <--

PRIORITY APPLN. INFO.: JP 1987-293352 A 19871120
JP 1987-293353 A 19871120
EP 1988-310822 A 19881116
OTHER SOURCE(S): CASREACT 112:156701; MARPAT 112:156701
GI



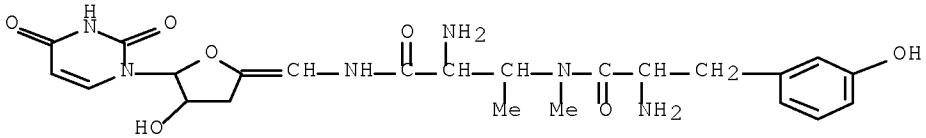
I

AB Novel antibiotics of the mureidomycin (I) group, which exhibit antibacterial activity, are prepared Thus, Streptomyces flavidovirens SANK 60486 was cultured at 22° for 96 h, with stirring and aeration, in a medium (pH 7.2) which contained 3% glucose, 1% pressed yeast, 3% soybean meal, 0.4% CaCO3, 0.2% MgSO4.7H2O, and 0.01% anti-foaming agent. From 30 L of culture filtrate, 15 mg of mureidomycin E (X = 8-hydroxy-1,2,3,4- tetrahydroisoquinolin-3-yl) and 32 mg of mureidomycin F (X = 6-hydroxy-1,2,3,4-tetrahydroisoquinolan-3-yl) were subsequently isolated. UV, IR, and NMR spectra of mureidomycins A, E, and F are presented. Furthermore, specific mureidomycins can be obtained synthetically by reacting mureidomycin A (X = α-amino-3-hydroxyphenethyl) with an aldehyde of the formula X-CHO.

IT 126049-04-5, Mureidomycin AP
RL: BIOL (Biological study)
(mureidomycin C preparation from)

RN 126049-04-5 HCAPLUS

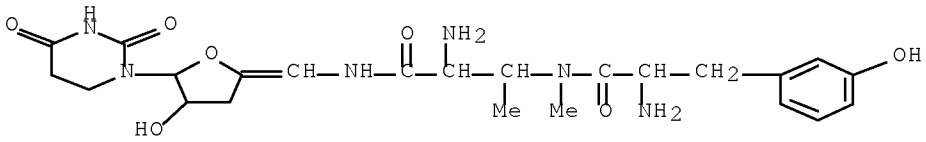
CN Butanamide, N-[[5-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)dihydro-4-hydroxy-2(3H)-furanylidene]methyl]-N3-(3-hydroxyphenylalanyl)-N3-methyl-D-2,3-diamino- (9CI) (CA INDEX NAME)



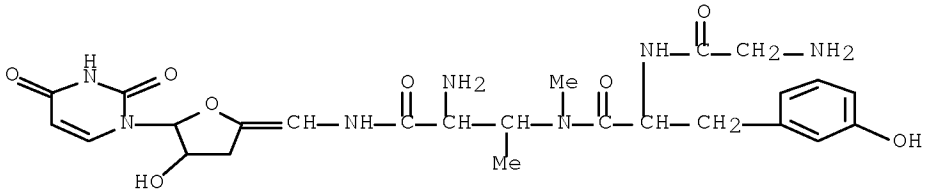
IT 126049-09-0P, Mureidomycin BP
RL: PREP (Preparation)
(preparation of, from mureidomycin B)

RN 126049-09-0 HCAPLUS

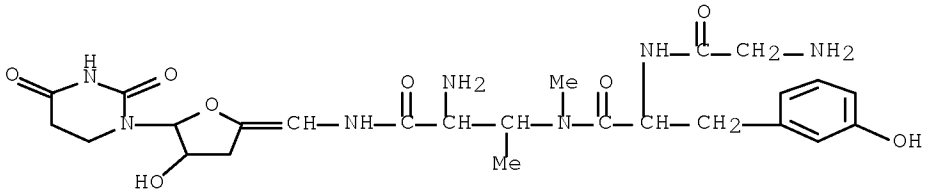
CN Butanamide, N-[[dihydro-4-hydroxy-5-(tetrahydro-2,4-dioxo-1(2H)-pyrimidinyl)-2(3H)-furanylidene]methyl]-N3-(3-hydroxyphenylalanyl)-N3-methyl-D-2,3-diamino- (9CI) (CA INDEX NAME)



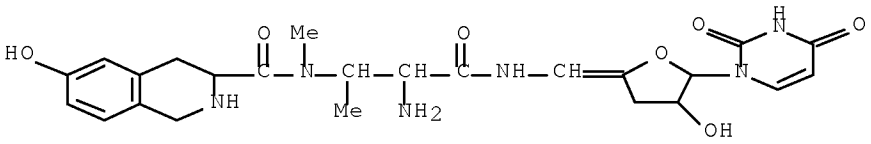
IT 126049-10-3P, Mureidomycin CP
RL: PREP (Preparation)
(preparation of, from mureidomycin C)
RN 126049-10-3 HCAPLUS
CN Butanamide, N-[[5-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)dihydro-4-hydroxy-2(3H)-furanylidene]methyl]-N3-(N-glycyl-3-hydroxyphenylalanyl)-N3-methyl-D-2,3-diamino- (9CI) (CA INDEX NAME)



IT 126069-53-2P, Mureidomycin DP
RL: PREP (Preparation)
(preparation of, from mureidomycin D)
RN 126069-53-2 HCAPLUS
CN Butanamide, N-[[dihydro-4-hydroxy-5-(tetrahydro-2,4-dioxo-1(2H)-pyrimidinyl)-2(3H)-furanylidene]methyl]-N3-(N-glycyl-3-hydroxyphenylalanyl)-N3-methyl-D-2,3-diamino- (9CI) (CA INDEX NAME)



IT 126049-11-4P, Mureidomycin FP
RL: PREP (Preparation)
(preparation of, from mureidomycin F)
RN 126049-11-4 HCAPLUS
CN 3-Isoquinolinecarboxamide, N-[2-amino-3-[[[5-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)dihydro-4-hydroxy-2(3H)-furanylidene]methyl]amino]-1-methyl-3-oxopropyl]-1,2,3,4-tetrahydro-6-hydroxy-N-methyl- (9CI) (CA INDEX NAME)



L10 ANSWER 16 OF 31 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1989:478604 HCAPLUS Full-text
Correction of: 1984:552347
DOCUMENT NUMBER: 111:78604
Correction of: 101:152347
ORIGINAL REFERENCE NO.: 111:13262h,13263a
TITLE: Peptide and its use
INVENTOR(S): Kitaura, Yoshihiko; Nakaguchi, Osamu; Hemmi, Keiji; Aratani, Matsuhiko; Takeno, Hidekazu; Okada, Satoshi; Tanaka, Hirokazu; Hashimoto, Masashi; Kuroda, Yoshio; et al.
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE: U.S., 172 pp. Cont.-in-part of U.S. Ser. No. 149,441, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 7
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4322341	A	19820330	US 1980-201241	19801027 <--
US 4311640	A	19820119	US 1979-93523	19791113 <--
US 4349466	A	19820914	US 1981-229072	19810128 <--
EP 50856	A2	19820505	EP 1981-108796	19811023 <--
EP 50856	A3	19820804		
EP 50856	B1	19841227		
R: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
AT 10933	T	19850115	AT 1981-108796	19811023 <--
CA 1241642	A1	19880906	CA 1981-388696	19811026 <--
JP 57114556	A	19820716	JP 1981-172658	19811027 <--
JP 03025437	B	19910405		
US 4458078	A	19840703	US 1982-377841	19820513 <--
US 4725582	A	19880216	US 1982-377836	19820513 <--
US 4801580	A	19890131	US 1982-377931	19820513 <--
US 4666890	A	19870519	US 1982-380061	19820520 <--
US 4539155	A	19850903	US 1983-515590	19830721 <--
US 4749691	A	19880607	US 1987-37470	19870413 <--

PRIORITY APPLN. INFO.:		
US 1979-93523	A2	19791113
US 1980-110020	A2	19800107
US 1980-147710	A2	19800508
US 1980-149441	A2	19800513
GB 1978-44346	A	19781114
GB 1979-26705	A	19790731
GB 1979-35401	A	19791011
GB 1979-35730	A	19791015
GB 1979-36000	A	19791017
GB 1979-37343	A	19791029

DK 1979-4722	A	19791107
AU 1979-52759	A	19791113
CA 1979-339737	A	19791113
EP 1979-104470	A	19791114
JP 1979-147275	A	19791114
KR 1979-3985	A	19791114
US 1980-171024	A	19800722
US 1980-201241	A	19801027
US 1981-229072	A	19810128
EP 1981-108796	A	19811023
US 1982-377841	A3	19820513
US 1982-380061	A3	19820520

GI For diagram(s), see printed CA Issue.

AB FR-900156 substance-related peptides I [R = H, acyl; R1 = H, Me, CHMe2, CH2Ph, (un)protected CH2OH; R2 = H, (un)protected CO2H, CONR6R7 [R6 = (un)protected mono- or dicarboxyalkyl; R7 = H, alkyl]; R3, R4 = H (un)protected CO2H, CONR6R7; R5 = H, NH2-protective group; n = 0-2; m = 1-3] were prepared Thus, meso-diaminopimelic acid II (Z = PhCH2O2C, Boc = Me3CO2C) was coupled with H-Gly-OCH2Ph to give peptide III (R8 = Z, R9 = CH2Ph), which was deblocked by hydrogenolysis to give III (R8 = R9 = H). The latter was coupled with Ac-D-Lac-Gly-D-Glu-OCH2Ph (Lac = lactic acid residue) to give peptide IV, which was deblocked by hydrogenolysis, saponification, and acidolysis by CF3CO2H and then treated with 0.1N H2SO4 and aqueous Na metaperiodate to give branched peptide V. Numerous other I analogs were prepared I were shown to enhance immune response and can be used to treat infectious diseases.

IT 79338-12-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

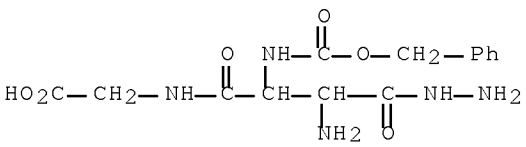
(preparation and hydrolysis of)

RN 79338-12-8 HCAPLUS

CN Glycine, N-[erythro-3-amino-N-[(phenylmethoxy)carbonyl]-L-α-asparty]-, 4-hydrazide, trifluoroacetate (9CI) (CA INDEX NAME)

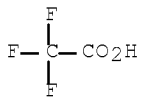
CM 1

CRN 79338-11-7
CMF C14 H19 N5 O6



CM 2

CRN 76-05-1
CMF C2 H F3 O2

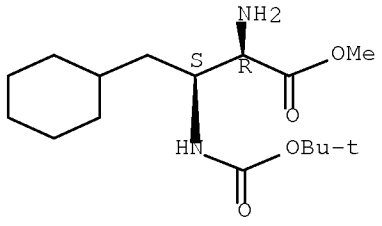


L10 ANSWER 17 OF 31 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1989:458354 HCAPLUS Full-text
DOCUMENT NUMBER: 111:58354
ORIGINAL REFERENCE NO.: 111:9925a
TITLE: Preparation and formulation of [N-(sulfonylacyl)-L-histidylamino]cyclohexylalkanoates as renin inhibitors
INVENTOR(S): Browne, Leslie J.; Goeschke, Richard; Rasetti, Vittorio; Rueeger, Heinrich; Schmidlin, Tibur
PATENT ASSIGNEE(S): Ciba-Geigy A.-G., Switz.
SOURCE: Ger. Offen., 32 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3825242	A1	19890209	DE 1988-3825242	19880725 <--
PRIORITY APPLN. INFO.:			CH 1987-2864 A	19870727

OTHER SOURCE(S): MARPAT 111:58354
GI For diagram(s), see printed CA Issue.
AB The title compds. [I; R1 = (substituted) alkyl, alkenyl, alkynyl, mono-, bi-, or tricycloalkyl, cycloalkylalkyl, aryl, arylalkyl, arylalkenyl, heteroaryl, OH, amino; R2 = H, (substituted) mono-, bi-, or tricycloalkyl, aryl, heteroaryl; R3 = (substituted) OH, amino; Z = substituent-linked via CO, SO, or NHCO, phosphono, aminomethyl, thiomethyl, sulfinylmethyl, sulfonylmethyl, phosphonomethyl; m,n,p = 0-2; q = 0-4], useful as renin inhibitors (no data), were prepared L-Histidyl-3S-amino-4-cyclohexyl-2R- hydroxybutyryric acid methylamide (preparation given) and 2S-benzyl-3-tert- butylsulfonylpropionic acid (preparation given) were stirred with DCC and hydroxybenzotriazole in DMF for 18 h at room temperature to give N-(2S-benzyl-3-tert-butylsulfonylpropionyl)-L-histidyl-3S-amino-4- cyclohexyl-2R-hydroxybutyric acid methylamide (II). Tablets were prepared containing II 1000, cornstarch 680, silica gel 200, Mg stearate 20, stearic acid 50, and Na carboxymethylstarch 250g/10,000 tablets.
IT 121533-83-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediate for renin inhibitor)
RN 121533-83-3 HCAPLUS
CN Cyclohexanebutanoic acid, α-amino-β-[[(1,1-dimethylethoxy)carbonyl]amino]-, methyl ester, monohydrochloride, [S-(R*,S*)]- (9CI) (CA INDEX NAME)

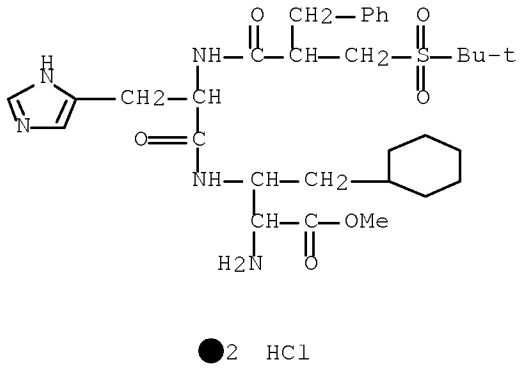
Absolute stereochemistry.



● HCl

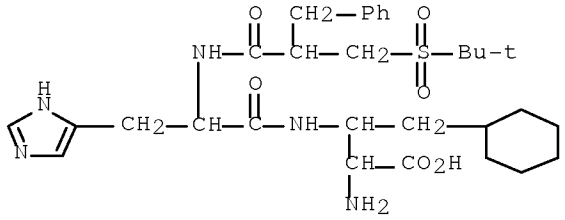
IT 121533-47-9P 121533-48-0P 121533-49-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of, as renin inhibitor)

RN 121533-47-9 HCAPLUS
CN Butanoic acid, 4-cyclohexyl-N3-[N-[2-[[(1,1-dimethylethyl)sulfonyl]methyl]-1-oxo-3-phenylpropyl]-L-histidyl]-D-2,3-diamino-, methyl ester, dihydrochloride, [threo-(S)]- (9CI) (CA INDEX NAME)



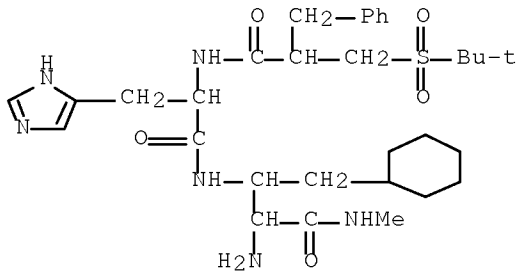
●2 HCl

RN 121533-48-0 HCAPLUS
CN Butanoic acid, 4-cyclohexyl-N3-[N-[2-[[(1,1-dimethylethyl)sulfonyl]methyl]-1-oxo-3-phenylpropyl]-L-histidyl]-D-2,3-diamino-, [threo-(S)]- (9CI) (CA INDEX NAME)



RN 121533-49-1 HCAPLUS

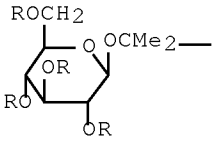
CN Butanamide, 4-cyclohexyl-N3-[N-[2-[[(1,1-dimethylethyl)sulfonyl]methyl]-1-oxo-3-phenylpropyl]-L-histidyl]-N-methyl-D-2,3-diamino-, [threo-(S)]-(9CI) (CA INDEX NAME)



L10 ANSWER 18 OF 31 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1989:173760 HCAPLUS Full-text
DOCUMENT NUMBER: 110:173760
ORIGINAL REFERENCE NO.: 110:28848h,28849a
TITLE: Preparation of renin-inhibiting peptides
INVENTOR(S): Hagenbach, Alexander; Metternich, Rainer; Pfenniger, Emil; Weidmann, Beat
PATENT ASSIGNEE(S): Sandoz A.-G., Switz.
SOURCE: Brit. UK Pat. Appl., 88 pp.
CODEN: BAXXDU
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
GB 2200115	A	19880727	GB 1988-1040		19880118 <--
GB 2200115	B	19901114			
NL 8800100	A	19880816	NL 1988-100		19880118 <--
CH 676988	A5	19910328	CH 1988-157		19880118 <--
DK 8800225	A	19880722	DK 1988-225		19880119 <--
FR 2609716	A1	19880722	FR 1988-636		19880119 <--
AU 8810375	A	19880901	AU 1988-10375		19880119 <--
BE 1002212	A5	19901016	BE 1988-67		19880119 <--
SE 8800169	A	19880722	SE 1988-169		19880120 <--
JP 01019053	A	19890123	JP 1988-10571		19880120 <--
ZA 8800415	A	19890927	ZA 1988-415		19880121 <--
PRIORITY APPLN. INFO.:			DE 1987-3701526	A	19870121
			DE 1987-3707339	A	19870307

OTHER SOURCE(S): MARPAT 110:173760
GI

Q= 

AB The title peptides A-B-C-NR1CHR2CHR3CH2-D-Y-NR4R5 [I; A = R6CO, R7CONHC(:CR8R9)CO; R6 = (un)branched, (un)substituted C1-10 alkyl, C3-7 cycloalkyl, C3-10 cycloalkyl(C1-5 alkyl), C6-10 aryl, 5- or 6-membered heteroaryl(C1-5 alkyl) containing 1 or 2 N, O, or S, or 1 N and 1 O and/or S in the heteroaryl moiety, (un)branched C1-5 alkoxy, C6-10 aryl-C1-5 alkoxy, Q, R10O(CH2CH2O)n(CH2)m; R = H, Ac; R10 = (un)branched C1-5 alkyl; n = 1-20; m = 1-5; R7 = (un)branched C1-5 alkyl, C6-10 aryl; R8, R9 = H, R7; R1 = H, (un)branched C1-5 alkyl; B, C = bond, NR1CHR11CO, excluding B = C = bond; R11 = hydrophilic or lipophilic amino acid side chain; D = O, NR1, CHR1; R2 = (un)branched C1-10 alkyl, (un)substituted C3-10 cycloalkyl(C1-5 alkyl), heteroaryl(C1-5 alkyl) defined as above, R15S(O)s(CH2)p; R15 = H, C1-4 alkyl, CH2Ph; s = 0, 1; p = 1, 2; R3 = H, OH, NH2, O2CR2; R4, R5 = H, (un)branched C1-5 alkyl, C6-10 aryl(C1-5 alkyl), heteroaryl(C1-5 alkyl) defined as above, CHR12COR13; R12 = (un)branched C1-5 (hydroxy)alkyl; R13 = OH, NH2 (un)branched C1-5 alkoxy, (un)branched C1-5 alkylamino, CH2Ph, NR4R5, 1-pyrrolidinyl, 1-piperidinyl, morpholino, (N-substituted)-1-piperazinyl, etc.; Y = SO2, CO, PNR4R5], useful as renin inhibitors (no data), were prepared A solution of 4 g MeSO2NMe2 in 50 mL THF was mixed at 0-5° with 20 mL 1.6M BuLi in hexane. After 0.5 h, 3.7 g N-tert-butoxycarbonylcyclohexylalaninal was added at once and was allowed to react 0.5 h to give (2R,3S)-3-N-(tert-butoxycarbonylamino)-4-cyclohexyl-2-hydroxy-N,N-dimethyl-1- butanesulfonamide as the main product and the (2R,3R)-isomer as a byproduct.

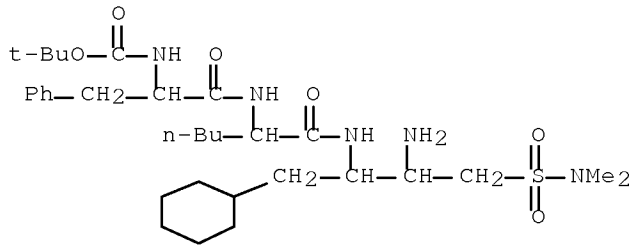
IT 118551-16-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation of, as renin inhibitor)

RN 118551-16-9 HCAPLUS

CN L-Norleucinamide, N-[(1,1-dimethylethoxy)carbonyl]-L-phenylalanyl-N-[2-amino-1-(cyclohexylmethyl)-3-[(dimethylamino)sulfonyl]propyl]-, [S-(R*,S*)]- (9CI) (CA INDEX NAME)



L10 ANSWER 19 OF 31 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1989:154889 HCAPLUS Full-text

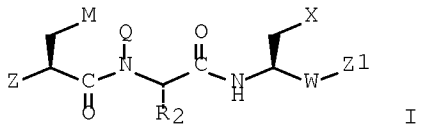
DOCUMENT NUMBER: 110:154889

ORIGINAL REFERENCE NO.: 110:25639a,25642a
TITLE: Preparation of norstatine- and norcyclostatine-containing peptides as renin inhibitors
INVENTOR(S): Hoover, Dennis Jay; Wester, Ronald Thure; Rosati, Robert Louis
PATENT ASSIGNEE(S): Pfizer Inc., USA
SOURCE: Eur. Pat. Appl., 86 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

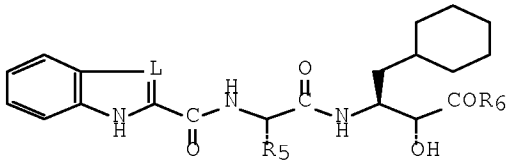
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 266950	A2	19880511	EP 1987-309461	19871027 <--
EP 266950	A3	19900411		
EP 266950	B1	19931229		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
IN 172976	A1	19940115	IN 1987-DE905	19871015 <--
CN 87101499	A	19880511	CN 1987-101499	19871023 <--
CN 1027271	C	19950104		
US 4814342	A	19890321	US 1987-112976	19871023 <--
AT 99324	T	19940115	AT 1987-309461	19871027 <--
ES 2061512	T3	19941216	ES 1987-309461	19871027 <--
CA 1310793	C	19921124	CA 1987-550413	19871028 <--
DK 8705684	A	19880501	DK 1987-5684	19871030 <--
FI 8704787	A	19880501	FI 1987-4787	19871030 <--
FI 90346	B	19931015		
FI 90346	C	19940125		
NO 8704530	A	19880502	NO 1987-4530	19871030 <--
NO 173017	B	19930705		
NO 173017	C	19931013		
AU 8780541	A	19880505	AU 1987-80541	19871030 <--
AU 585180	B2	19890608		
HU 45270	A2	19880628	HU 1987-4901	19871030 <--
HU 207869	B	19930628		
JP 63183551	A	19880728	JP 1987-275583	19871030 <--
DD 262583	A5	19881207	DD 1987-308473	19871030 <--
ZA 8708158	A	19890628	ZA 1987-8158	19871030 <--
SU 1706391	A3	19920115	SU 1987-4203604	19871030 <--
US 4935405	A	19900619	US 1988-277614	19881129 <--
US 5034376	A	19910723	US 1990-497041	19900321 <--
IN 175148	A1	19950506	IN 1990-DE781	19900803 <--
JP 07173134	A	19950711	JP 1994-221930	19940916 <--
JP 07108901	B	19951122		

PRIORITY APPLN. INFO.:	US 1986-925449	A	19861031
	US 1987-68982	A	19870701
	IN 1987-DE905	A1	19871015
	US 1987-112976	A3	19871023
	EP 1987-309461	A	19871027
	US 1988-277614	A3	19881129

OTHER SOURCE(S): CASREACT 110:154889; MARPAT 110:154889
GI



I



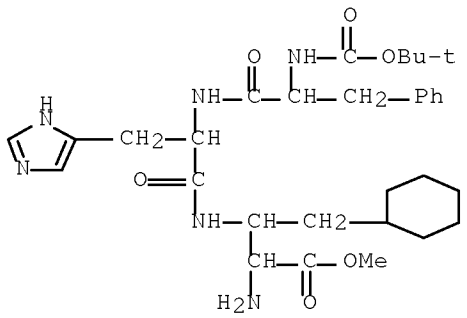
II

AB The title peptides [I, II; Z = R1-Ym-Ap; R1 = C1-6 alkyl, C1-4 alkoxy, (un) substituted amino, morpholino, piperidyl, piperazino, (substituted)piperidino, thiomorpholino, pyridyl, etc; Y = CO, P(O)OMe, SO2; A = NMe, NH, O; m, p = 0, 1; M = Ph, PhCH2, naphthyl, thienyl, MeOC6H4, ClC6H4, HOC6H4, C6-7 cycloalkyl; X = Me, H; R2 = C1-5 alkyl, substituted C1-2 alkyl, PhCH2, guanidino-C1-3 alkyl, 4-aminobutyl, imidazol-4-ylmethyl, etc.; X = cyclohexyl, Me2CH, Ph; W = CHOH, CO, CHN3, CHNH2, CMeOH, etc.; Z1 = CH2OH, R-X1-T; R = CO; X1 = O, NH, NMe, CH2, bond; T = C1-5 alkyl, C1-4 hydroxyalkyl, C1-4 alkylcarbamoyl, H, trifluoroethyl, Ph, PhCH2, morpholino, etc.; L = CH, N; R5 = imidazol-4-ylmethyl, C2-5 alkyl; R6 = C1-4 alkoxy, C1-4 alkylamino; provided that when m = O, P = O; when A = O, Y = CO; when T = C1-4 alkylcaRbamoyl, X1 = NH, NMe, CH2; when T = C2-5 alkylamino, C1-2 alkoxyamino, morpholino or 4-C1-2 alkylpiperazino, X1 = CH2, bond], useful as antihypertensives (no data), were prepared Treatment of (S)-3-(tert-butoxycarbonylamino)-4-cyclohexyl-(R)-2-hydroxybutyric acid with Me2CHCH2O2CCl in THF containing Et3N and amidation of the resulting mixed anhydride with MeNH2 gave 42% N-methyl-3-(tert-butoxycarbonylamino)-4- cyclohexyl-(R)-2-hydroxybutyramide (BOC-nor-C-Sta-NHMe). Deprotection of the latter with 4N HCl in dioxane, followed by peptide coupling with BOC-Phe-His(imBOC)-OH (BOC = CO2CMe3) in CH2Cl2 in the presence of Et3N, hydroxybenzotriazole, and DCC, gave BOC-Phe-His(imBOC)-nor-C-Sta-NHMe, which was treated with AcOH-H2O(80:20) to give BOC-Phe-His-nor-C-Sta-NHMe.

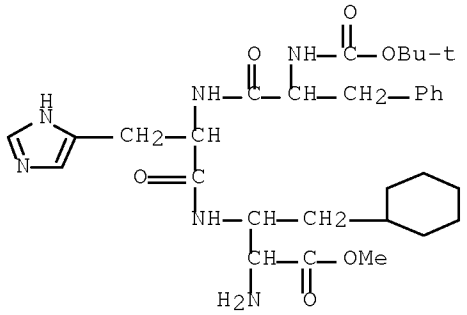
IT 119624-59-8P 119678-97-6P 119678-98-7P 119679-00-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of, as renin-inhibiting antihypertensive)

RN 119624-59-8 HCAPLUS

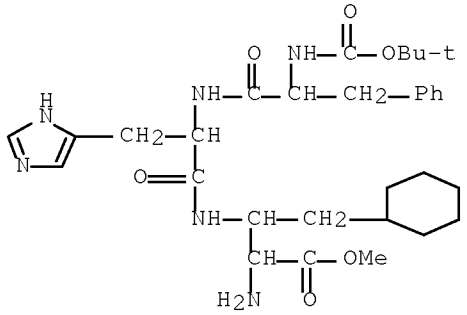
CN Butanoic acid, 4-cyclohexyl-N3-[N-[N-[(1,1-dimethylethoxy)carbonyl]-L-phenylalanyl]-L-histidyl]-L-erythro-2,3-diamino-, methyl ester (9CI) (CA INDEX NAME)



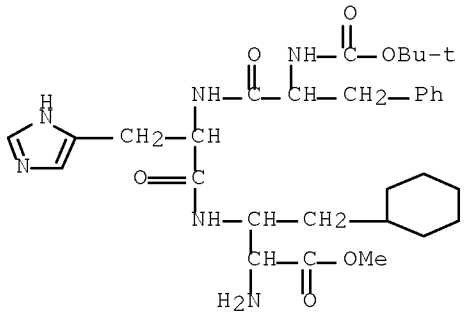
RN 119678-97-6 HCAPLUS
CN Butanoic acid, 4-cyclohexyl-N3-[N-[N-[(1,1-dimethylethoxy)carbonyl]-L-phenylalanyl]-L-histidyl]-L-threo-2,3-diamino-, methyl ester (9CI) (CA INDEX NAME)



RN 119678-98-7 HCAPLUS
CN Butanoic acid, 4-cyclohexyl-N3-[N-[N-[(1,1-dimethylethoxy)carbonyl]-L-phenylalanyl]-L-histidyl]-D-threo-2,3-diamino-, methyl ester (9CI) (CA INDEX NAME)



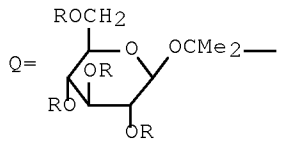
RN 119679-00-4 HCAPLUS
CN Butanoic acid, 4-cyclohexyl-N3-[N-[N-[(1,1-dimethylethoxy)carbonyl]-L-phenylalanyl]-L-histidyl]-D-erythro-2,3-diamino-, methyl ester (9CI) (CA INDEX NAME)



L10 ANSWER 20 OF 31 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1989:135732 HCAPLUS Full-text
DOCUMENT NUMBER: 110:135732
ORIGINAL REFERENCE NO.: 110:22431a,22434a
TITLE: Preparation and testing of peptide amides as renin inhibitors
INVENTOR(S): Hagenbach, Alexander; Metternich, Rainer; Pfenninger, Emil; Weidmann, Beat
PATENT ASSIGNEE(S): Sandoz-Patent-G.m.b.H., Fed. Rep. Ger.
SOURCE: Ger. Offen., 26 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3800591	A1	19880804	DE 1988-3800591	19880112 <--
NL 8800100	A	19880816	NL 1988-100	19880118 <--
CH 676988	A5	19910328	CH 1988-157	19880118 <--
DK 8800225	A	19880722	DK 1988-225	19880119 <--
FR 2609716	A1	19880722	FR 1988-636	19880119 <--
AU 8810375	A	19880901	AU 1988-10375	19880119 <--
BE 1002212	A5	19901016	BE 1988-67	19880119 <--
SE 8800169	A	19880722	SE 1988-169	19880120 <--
JP 01019053	A	19890123	JP 1988-10571	19880120 <--
ZA 8800415	A	19890927	ZA 1988-415	19880121 <--

PRIORITY APPLN. INFO.: DE 1987-3701526 A1 19870121
DE 1987-3707339 A1 19870307
OTHER SOURCE(S): CASREACT 110:135732; MARPAT 110:135732
GI



AB A-B-C-NR1CHR2CHR3CH2DYNR4R5 [I; A = R6CO, R7CONHC(:CR8R9)CO, sugar moiety Q; B, C = bond, NR1CHR10CO; D = bond, O, NR1, CHR1; Y = SO2, CO, P(:O)NR4R5; R = H, Ac; R1 = H, C1-5 alkyl; R2 = C1-10 alkyl, (substituted) cycloalkylalkyl, aralkyl, heteroarylalkyl, etc.; R3 = H, OH, amino, alkoxycarbonyl, etc.; R4, R5 = H, C1-5 alkyl, aralkyl, heteroarylalkyl, etc.; R4R5N = morpholino, piperazino, piperidino, pyrrolidino; R6 = (substituted) C1-10 alkyl, cycloalkyl, cycloalkylalkyl, aryl, heteroaryl, etc.; R7 = C1-5 alkyl, C6-10 aryl, R8, R9 = H, R7; R10 = hydrophilic or lipophilic amino acid side chain], useful as cardiovascular agents, were prepared MeSO2NMe2 in THF at 0-5° was treated with BuLi and after 0.5 h BOC-cyclohexylalaninal (BOC = Me3CO2C) was added. The mixture was stirred 0.5 h to give (2R,3S)-3-(BOC-amino)-N,N-dimethyl-4-cyclohexyl- 2-hydroxy-1-butanesulfonamide. I inhibit human plasma renin with IC50 of 10-5 to 10-11 M.

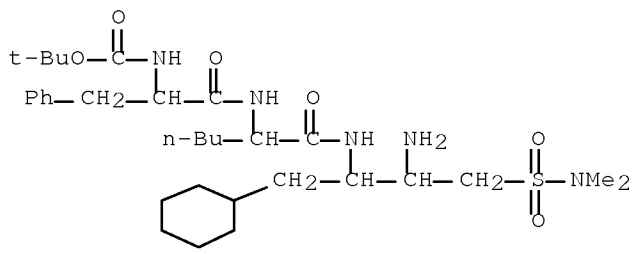
IT 118551-16-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation of, as renin inhibitor)

RN 118551-16-9 HCAPLUS

CN L-Norleucinamide, N-[(1,1-dimethylethoxy)carbonyl]-L-phenylalanyl-N-[2-amino-1-(cyclohexylmethyl)-3-[(dimethylamino)sulfonyl]propyl]-, [S-(R*,S*)]- (9CI) (CA INDEX NAME)



L10 ANSWER 21 OF 31 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1987:576487 HCAPLUS Full-text

DOCUMENT NUMBER: 107:176487

ORIGINAL REFERENCE NO.: 107:28359a,28362a

TITLE: Preparation of N-(2-amino-2-carboxyethyl)histidine derivatives as drugs

PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 6 pp.
CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

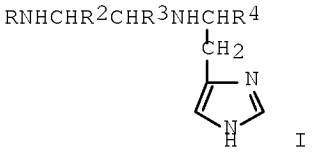
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 62135460	A	19870618	JP 1986-269410	19861112 <--

PRIORITY APPLN. INFO.: GB 1985-28318 A 19851118

GI



I

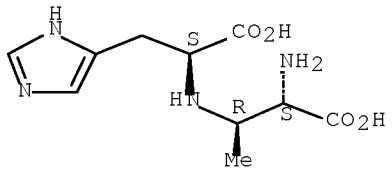
AB The title histidine derivs. [I; R = R1CO; R1 = alkyl, aryl; R2, R4 = (protected) CO2H; R3 = alkyl] and their salts, were prepared by condensation of R1CO2H with I (R = H) as drugs (no data). Ac2O (0.16 mL) was added at 5° to a mixture of 400 mg (2S,3R)-2-amino-3-[[(1S)-1-carboxy-2-(4-imidazolyl)ethyl]amino]butyric acid, 0.70 mL Et3N, 10 mL H2O and 10 mL dioxane and the mixture was stirred in an ice bath for 1 h to give 270 mg its 2-acetamido derivative

IT 88495-07-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(N-acetylation of)

RN 88495-07-2 HCAPLUS

CN L-Histidine, N-[(1R,2S)-2-amino-2-carboxy-1-methylethyl]- (CA INDEX NAME)

Absolute stereochemistry.



L10 ANSWER 22 OF 31 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1986:627347 HCAPLUS Full-text

DOCUMENT NUMBER: 105:227347

ORIGINAL REFERENCE NO.: 105:36740h,36742a

TITLE: Amino acid derivatives

INVENTOR(S): Terano, Hiroshi; Tsurumi, Yasuhisa; Setoi, Hiroyuki; Hashimoto, Masashi; Kohsaka, Masanobu

PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd. , Japan

SOURCE: Eur. Pat. Appl., 63 pp.
CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 193904	A2	19860910	EP 1986-102700	19860301 <--
EP 193904	A3	19880907		
EP 193904	B1	19910306		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
ZA 8601281	A	19861029	ZA 1986-1281	19860220 <--
AU 8654107	A	19860911	AU 1986-54107	19860226 <--

AT 61340	T	19910315	AT 1986-102700		19860301 <--
DK 8600967	A	19860905	DK 1986-967		19860303 <--
FI 8600878	A	19860905	FI 1986-878		19860303 <--
NO 8600791	A	19860905	NO 1986-791		19860303 <--
HU 40403	A2	19861228	HU 1986-874		19860303 <--
ES 552593	A1	19871101	ES 1986-552593		19860303 <--
JP 61227554	A	19861009	JP 1986-46849		19860304 <--
CN 86101394	A	19861203	CN 1986-101394		19860304 <--
US 4743614	A	19880510	US 1986-859587		19860304 <--
PRIORITY APPLN. INFO.:			GB 1985-5481	A	19850304
			GB 1985-21016	A	19850822
			GB 1985-28367	A	19851118
			EP 1986-102700	A	19860301

OTHER SOURCE(S): MARPAT 105:227347
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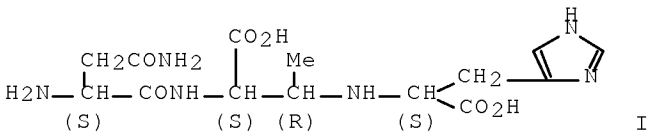


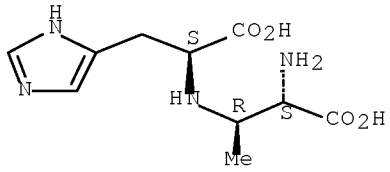
I

AB Amino acid derivs. R1R4CHCONHCHR2CHR5NHCHR3R6 [R1 = H, (protected) NH2; R2, R3 = H, (protected) carboxy; R4 = alkyl, aminoalkyl, carbamoylalkyl; R5 = H, alkyl; R6 = H, (substituted) aralkyl, heterocyclylalkyl], which restore suppressed bone marrow cells in immunodeficient hosts and inhibit tumor metastasis, are prepared by organic synthesis or, in one case, by fermentation using Dicosia sp. F-11809. Peptide I restored bone marrow colony formation and antibody formation at 1-100 mg/kg i.v. in mitomycin C-treated mice, and inhibited melanoma metastasis at 1-100 mg/kg i.v. in mice.

IT 88495-07-2P 105424-56-4P 105424-57-5P
105424-58-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and peptide coupling of)

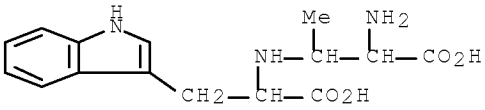
RN 88495-07-2 HCAPLUS
CN L-Histidine, N-[(1R,2S)-2-amino-2-carboxy-1-methylethyl]- (CA INDEX NAME)

Absolute stereochemistry.

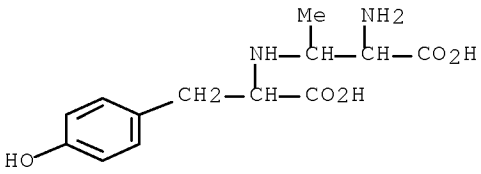


RN 105424-56-4 HCAPLUS
CN L-Tryptophan, N-(2-amino-2-carboxy-1-methylethyl)-, [R-(R*,S*)]- (9CI)

(CA INDEX NAME)

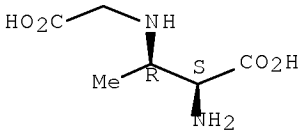


RN 105424-57-5 HCAPLUS
CN L-Tyrosine, N-(2-amino-2-carboxy-1-methylethyl)-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)



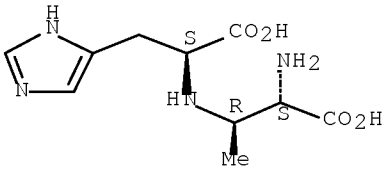
RN 105424-58-6 HCAPLUS
CN Butanoic acid, 2-amino-3-[(carboxymethyl)amino]-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



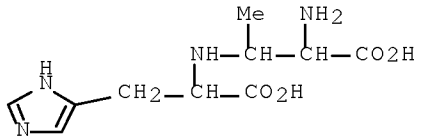
IT 88495-07-2P 106249-56-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reactions of)
RN 88495-07-2 HCAPLUS
CN L-Histidine, N-[(1R,2S)-2-amino-2-carboxy-1-methylethyl]- (CA INDEX NAME)

Absolute stereochemistry.



RN 106249-56-3 HCAPLUS

CN D-Histidine, N-(2-amino-2-carboxy-1-methylethyl)-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

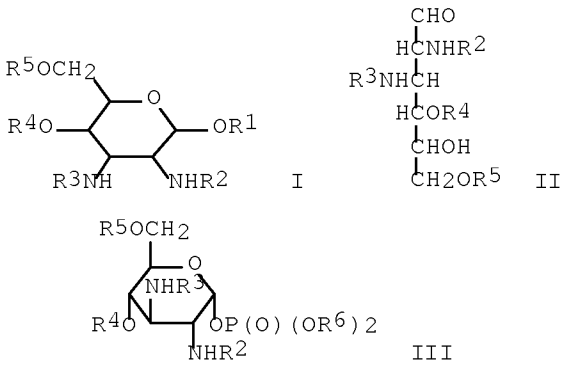


L10 ANSWER 23 OF 31 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1986:207616 HCAPLUS Full-text
DOCUMENT NUMBER: 104:207616
ORIGINAL REFERENCE NO.: 104:32932h,32933a
TITLE: 2,3-Diamino-2,3-dideoxyhexose derivatives and their use
INVENTOR(S): Macher, Ingolf; Unger, Frank Michael
PATENT ASSIGNEE(S): Sandoz A.-G., Switz.
SOURCE: PCT Int. Appl., 37 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 8504881	A1	19851107	WO 1985-EP171	19850417 <--
W: AU, DK, FI, HU, JP, KR, US				
RW: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
DE 3415102	A1	19851031	DE 1984-3415102	19840421 <--
DE 3415100	A1	19851205	DE 1984-3415100	19840421 <--
AU 8542380	A	19851115	AU 1985-42380	19850417 <--
AU 580061	B2	19881222		
JP 61501919	T	19860904	JP 1985-501994	19850417 <--
HU 42099	A2	19870629	HU 1985-2162	19850417 <--
HU 197584	B	19890428		
AT 54920	T	19900815	AT 1985-902023	19850417 <--
ZA 8502968	A	19861126	ZA 1985-2968	19850419 <--
US 4698331	A	19871006	US 1985-815097	19851218 <--
DK 8506005	A	19851220	DK 1985-6005	19851220 <--
FI 8505132	A	19851220	FI 1985-5132	19851220 <--
FI 81807	B	19900831		
FI 81807	C	19901210		

PRIORITY APPLN. INFO.:
DE 1984-3415100 A 19840421
DE 1984-3415102 A 19840421
EP 1985-902023 A 19850417
WO 1985-EP171 A 19850417

OTHER SOURCE(S): CASREACT 104:207616; MARPAT 104:207616
GI



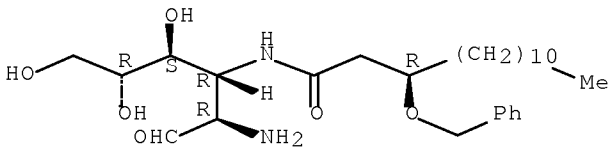
AB The title compds. [I; R1 = H, alkyl, aralkyl, P ester group; R2, R3 = (un)substituted acyl; R4 = H, P ester group; R5 = H, glycosyl] were prepared Thus, 2,3-diamino-2,3-dideoxy-D-glucose (II, R2-R5 = H) was N-acylated with (3R)-(benzyloxy)tetradecanoyl chloride to give II [R2 = R3 = (3R)-(benzyloxy)tetradecanoyl, R4 = R5 = H]. This was treated with CH2:CMeOMe in DMF in the presence of 4-MeC6H4SO3H to give II (R2, R3 as given, R4R5 = Me2C) which was esterified with (PhCH2O)2 P(O)Cl to give the α-D-glucopyranosyl phosphate III [R2 = R3 = (3R)- (benzyloxy)tetradecanoyl, R4R5 = Me2CH, R6 = PhCH2] which was hydrogenated over Pd/C and subjected to acid hydrolysis to give III [R2 = R3 = (3R)-(hydroxytetradecanoyl, R4-R6 = H]. I are immunostimulants demonstrating lymphocyte and/or macrophage proliferation effects in standard tests both in vivo and in vitro. I are addnl. suitable for prophylaxis of endotoxin shock.

IT 101649-08-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and N-acylation of)

RN 101649-08-5 HCAPLUS

CN D-Glucose, 2-amino-2,3-dideoxy-3-[[1-oxo-3-(phenylmethoxy)tetradecyl]amino]-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

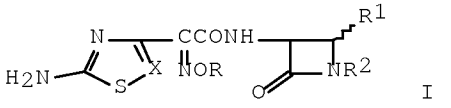


L10 ANSWER 24 OF 31 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1985:24355 HCAPLUS Full-text
DOCUMENT NUMBER: 102:24355
ORIGINAL REFERENCE NO.: 102:4007a,4010a
TITLE: 3-Amino-2-oxoazetidine derivatives containing in position 1 a nitrogen heterocyclic radical, their use as therapeutical agents and intermediates for their preparation

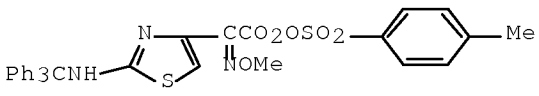
INVENTOR(S): Teutsch, Jean Georges; Klich, Michel; Chantot, Jean Francois
PATENT ASSIGNEE(S): Roussel-UCLAF, Fr.
SOURCE: Eur. Pat. Appl., 89 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 114128	A1	19840725	EP 1984-400023	19840106 <--
EP 114128	B1	19890322		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
FR 2539128	A1	19840713	FR 1983-273	19830110 <--
FR 2539128	B1	19850503		
AT 41661	T	19890415	AT 1984-400023	19840106 <--
DK 8400075	A	19840711	DK 1984-75	19840109 <--
DK 164404	B	19920622		
DK 164404	C	19921109		
ES 528742	A1	19840816	ES 1984-528742	19840109 <--
CA 1244034	A1	19881101	CA 1984-444923	19840109 <--
JP 59130862	A	19840727	JP 1984-1419	19840110 <--
JP 04080912	B	19921221		
JP 03163076	A	19910715	JP 1990-288631	19901029 <--
JP 06078336	B	19941005		

PRIORITY APPLN. INFO.: FR 1983-273 A 19830110
EP 1984-400023 A 19840106
OTHER SOURCE(S): CASREACT 102:24355; MARPAT 102:24355
GI



I



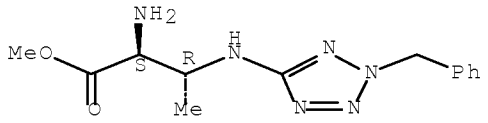
III

AB Aminoazetidinones I [R = H, (un)substituted alkyl, cycloalkyl, acyl, aryl, aralkyl; R1 = H, alkyl, alkenyl, alkynyl, (un)substituted mercaptoalkyl, CO2H, aryl, acyl, carbamoyl, N3; R2 = (un)substituted N heterocyclyl; X = CH, N] were prepared Thus (3SR,4RS)-syn-I (X = CH, R, R1 = Me, R2 = 1H-tetrazol-5-yl) (II) was prepared from 5-amino-2-benzyltetrazole, PhCH:NCH2CO2Me and the anhydride III in 11 steps. II had a min. inhibitory concentration against Escherichia coli 1894 of 0.08 μg/mL.

IT 93576-59-1P 93607-84-2P 93608-18-5P
93608-20-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and tritylation of)

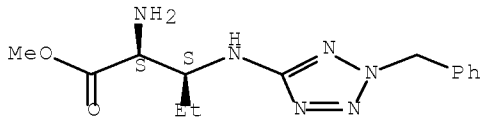
RN 93576-59-1 HCAPLUS
CN Butanoic acid, 2-amino-3-[[2-(phenylmethyl)-2H-tetrazol-5-yl]amino]-, methyl ester, (R*,S*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



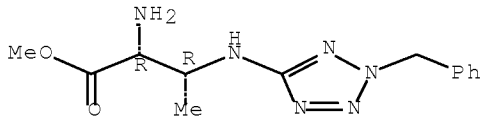
RN 93607-84-2 HCAPLUS
CN D-Norvaline, 3-[[2-(phenylmethyl)-2H-tetrazol-5-yl]amino]-, methyl ester, (3R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



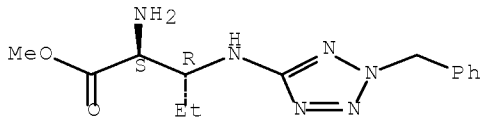
RN 93608-18-5 HCAPLUS
CN Butanoic acid, 2-amino-3-[[2-(phenylmethyl)-2H-tetrazol-5-yl]amino]-, methyl ester, (R*,R*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 93608-20-9 HCAPLUS
CN D-Norvaline, 3-[[2-(phenylmethyl)-2H-tetrazol-5-yl]amino]-, methyl ester, (3S)-rel- (CA INDEX NAME)

Relative stereochemistry.

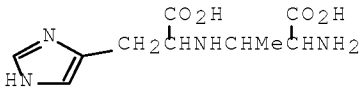


L10 ANSWER 25 OF 31 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1984:61785 HCAPLUS Full-text
DOCUMENT NUMBER: 100:61785
ORIGINAL REFERENCE NO.: 100:9313a,9316a

TITLE: Antihypertensive N-substituted 2,3-diaminocarboxylic acids.
INVENTOR(S): Rosentreter, Ulrich; Schnabel, Eugen; Bauer, Klaus; Schedel, Michael; Thomas, Guenter
PATENT ASSIGNEE(S): Bayer A.-G. , Fed. Rep. Ger.
SOURCE: Ger. Offen., 40 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3219113	A1	19831124	DE 1982-3219113	19820521 <--

PRIORITY APPLN. INFO.: DE 1982-3219113 19820521
OTHER SOURCE(S): MARPAT 100:61785
GI

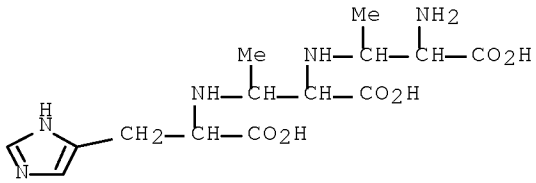


I

AB The preparation and cardiovascular and antihypertensive effects of N-substituted 2,3-diaminocarboxylic acids are given. Thus, (2S,3R)-2-amino-3-[(1S)-1-carboxy-2-(2-imidazolyl)ethyl]aminobutyric acid (I) [88495-07-2] prepared by deprotection of (2S,3R)-[(1)-1-carboxy-2-(2-imidazolyl)ethyl]amino-2-(4-methylphenylsulfonyl)aminobutyric acid [88452-62-4] has a typical action profile of antihypertensives as an inhibitor of angiotensin converting enzyme [9015-82-1] in guinea pig ileal prepns. contracted with angiotensin I [9041-90-1].

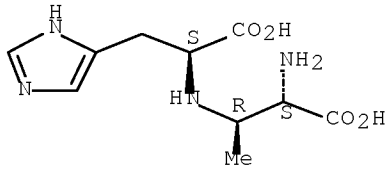
IT 88452-67-9P 88495-07-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and angiotensin converting enzyme inhibitory activity of, antihypertensive activity in relation to)

RN 88452-67-9 HCAPLUS
CN L-Histidine, N-[2-[(2-amino-2-carboxy-1-methylethyl)amino]-2-carboxy-1-methylethyl]-, [1R-[1R*,2S*(1R*,2S*)]]- (9CI) (CA INDEX NAME)



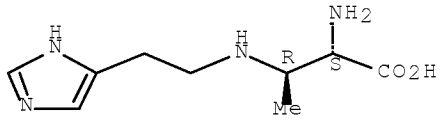
RN 88495-07-2 HCAPLUS
CN L-Histidine, N-[(1R,2S)-2-amino-2-carboxy-1-methylethyl]- (CA INDEX NAME)

Absolute stereochemistry.

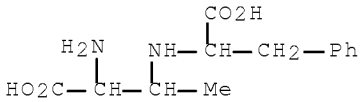


IT 88452-69-1P 88452-71-5P 88452-72-6P
88452-74-8P 88495-08-3P 88495-09-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 88452-69-1 HCAPLUS
CN Butanoic acid, 2-amino-3-[[2-(1H-imidazol-4-yl)ethyl]amino]-, [R-(R*,S*)]-
(9CI) (CA INDEX NAME)

Absolute stereochemistry.

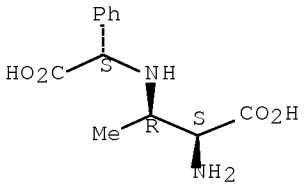


RN 88452-71-5 HCAPLUS
CN L-Phenylalanine, N-(2-amino-2-carboxy-1-methylethyl)-, [R-(R*,S*)]- (9CI)
(CA INDEX NAME)

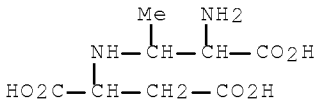


RN 88452-72-6 HCAPLUS
CN Benzeneacetic acid, α-[(2-amino-2-carboxy-1-methylethyl)amino]-,
[1R-[1R*(S*),2S*]]- (9CI) (CA INDEX NAME)

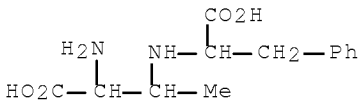
Absolute stereochemistry.



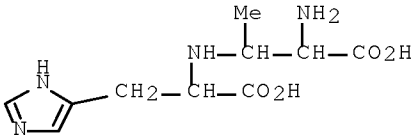
RN 88452-74-8 HCAPLUS
CN L-Aspartic acid, N-(2-amino-2-carboxy-1-methylethyl)-, [R-(R*,S*)]- (9CI)
(CA INDEX NAME)



RN 88495-08-3 HCAPLUS
CN L-Phenylalanine, N-(2-amino-2-carboxy-1-methylethyl)-, [S-(R*,S*)]- (9CI) (CA INDEX NAME)



RN 88495-09-4 HCAPLUS
CN L-Histidine, N-(2-amino-2-carboxy-1-methylethyl)-, [S-(R*,S*)]- (9CI) (CA INDEX NAME)



L10 ANSWER 26 OF 31 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1983:488574 HCAPLUS Full-text
DOCUMENT NUMBER: 99:88574
ORIGINAL REFERENCE NO.: 99:13681h,13682a
TITLE: Amino acid derivatives as antihypertensives
INVENTOR(S): Harris, Elbert E.; Patchett, Arthur A.; Tristram, Edward W.; Wyvratt, Matthew J.
PATENT ASSIGNEE(S): Merck and Co., Inc. , USA
SOURCE: U.S., 33 pp. Cont.-in-part of U.S. Ser. No. 79,898, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4374829	A	19830222	US 1981-235335	19810217 <--
CS 237325	B2	19850716	CS 1982-1339	19820226 <--
CS 237326	B2	19850716	CS 1982-1340	19820226 <--
CS 237327	B2	19850716	CS 1982-1341	19820226 <--
CS 237328	B2	19850716	CS 1982-1342	19820226 <--

US 4472380	A	19840918	US 1982-423916	19820927 <--
CA 1275349	C2	19901016	CA 1986-518334	19860916 <--
CA 1300313	C2	19920505	CA 1986-518335	19860916 <--
CA 1262684	A2	19891107	CA 1988-576715	19880907 <--
CA 1276559	C2	19901120	CA 1988-576716	19880907 <--
CA 1275350	C2	19901016	CA 1989-607198	19890801 <--
PRIORITY APPLN. INFO.:			US 1978-968249	A2 19781211
			US 1979-36279	A2 19790507
			US 1979-79898	A2 19791009
			CA 1979-341340	A3 19791206
			CS 1979-8645	A3 19791211
			US 1981-235335	A3 19810217

OTHER SOURCE(S): CASREACT 99:88574

AB RCOCR1R2NHCHR3CONR4CR5R7COR6 [R,R6 = OH, alkoxy, alkenoxy, dialkylaminoalkoxy, acylaminoalkoxy, acyloxyalkoxy, (un)substituted aryloxy or aralkoxy, NH2, alkylamino, dialkylamino, arylalkylamino, NHOH; R1 = H, C1-20 alkyl, substituted alkyl or Ph, (un)substituted aralkyl, heteroaralkyl, aralkenyl or heteroaralkenyl; R2, R7 = H, alkyl; R3 = H, (un)substituted alkyl or phenylalkyl; R4 = H alkyl; R5 = (un)substituted alkyl, Ph, phenylalkyl, hydroxyphenylalkyl; NR4CR5 = (un)substituted ring] were prepared as antihypertensives and angiotensin-converting enzyme inhibitors (no data). Thus, H-L-Ala-L-Pro-OH was condensed with PhCH2COCO2H in the presence of NaBH3CN to give diastereomeric PhCH2CH(CO2H)-L-Ala-L-Pro-OH.

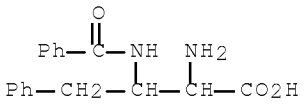
IT 70984-66-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and reaction of, with pyruvoylproline)

RN 70984-66-6 HCAPLUS

CN Benzenebutanoic acid, α-amino-β-(benzoylamino)- (CA INDEX NAME)



L10 ANSWER 27 OF 31 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1983:4790 HCAPLUS Full-text

DOCUMENT NUMBER: 98:4790

ORIGINAL REFERENCE NO.: 98:861a,864a

TITLE: Carboxyalkylamino acid derivatives of various substituted prolines

INVENTOR(S): Petrillo, Edward William; Gordon, Eric Michael; Krapcho, John; Sprague, Peter Whitney

PATENT ASSIGNEE(S): E. R. Squibb and Sons, Inc., USA

SOURCE: Eur. Pat. Appl., 138 pp.
CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

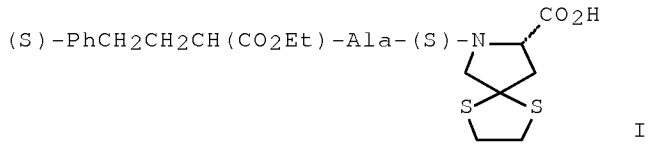
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

EP 52991	A1	19820602	EP 1981-305413		19811116 <--
EP 52991	B1	19870204			
R: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE					
US 4462943	A	19840731	US 1981-306553		19810928 <--
AT 25386	T	19870215	AT 1981-305413		19811116 <--
PRIORITY APPLN. INFO.:			US 1980-209563	A	19801124
			US 1981-306553	A	19810928
			EP 1981-305413	A	19811116

OTHER SOURCE(S): MARPAT 98:4790

GI



I

AB Antihypertensive (no data) proline derivs. RCOCR1R2NHCHR3COR4 [R = OH, (un)substituted alkoxy; R1, R3 = H, (un)substituted alkyl; R2 = H, alkyl; R4 = substituted proline residue] were prepared Thus, the (ethylenedithio)proline I was prepared from N-benzyloxycarbonyl-4,4- (ethylenedithio)-L-proline, PhCH2O2C-Ala-OH and PhCH2CH2COCO2Et.

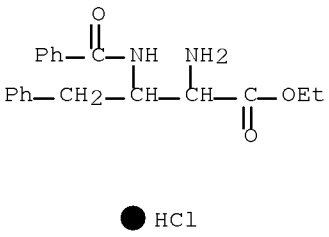
IT 83551-97-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and reaction of, with pyruvoylproline)

RN 83551-97-7 HCAPLUS

CN Benzenebutanoic acid, α-amino-β-(benzoylamino)-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)



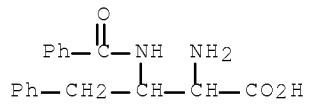
IT 70984-66-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and reaction of, with pyruvoylproline derivative)

RN 70984-66-6 HCAPLUS

CN Benzenebutanoic acid, α-amino-β-(benzoylamino)- (CA INDEX NAME)



L10 ANSWER 28 OF 31 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1982:528077 HCAPLUS Full-text
DOCUMENT NUMBER: 97:128077
ORIGINAL REFERENCE NO.: 97:21277a,21280a
TITLE: Peptide and its use
INVENTOR(S): Kitaura, Yoshihiko; Nakaguchi, Osamu; Hemmi, Keiji; Aratani, Matsuhiko; Takeno, Hidekazu; Okada, Satoshi; Tanaka, Hirokazu; Hashimoto, Masashi; Kuroda, Yoshio; et al.
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd. , Japan
SOURCE: U.S., 172 pp. Cont.-in-part of U.S. Ser. No. 149,441, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4322341 A		19820330	US 1980-201241	19801027

PRIORITY APPLN. INFO.:
US 1979-93523 19791113
US 1980-110020 19800107
US 1980-147710 19800508
US 1980-149441 19800513

GI For diagram(s), see printed CA Issue.

AB FR-900156 substance-related peptides I [R = H, acyl; R1 = H, Me, CHMe2, CH2Ph, (un)protected CH2OH; R2 = H, (un)protected CO2H, CONR6R7 [R6 = (un)protected mono- or dicarboxyalkyl; R7 = H, alkyl]; R3, R4 = H (un)protected CO2H, CONR6R7; R5 = H, NH2-protective group; n = 0-2; m = 1-3] were prepared Thus, meso-diaminopimelic acid II (Z = PhCH2O2C, Boc = Me3CO2C) was coupled with H-Gly-OCH2Ph to give peptide III (R8 = Z, R9 = CH2Ph), which was deblocked by hydrogenolysis to give III (R8 = R9 = H). The latter was coupled with Ac-D-Lac-Gly-D-Glu-OCH2Ph (Lac = lactic acid residue) to give peptide IV, which was deblocked by hydrogenolysis, saponification, and acidolysis by CF3CO2H and then treated with 0.1N H2SO4 and aqueous Na metaperiodate to give branched peptide V. Numerous other I analogs were prepared I were shown to enhance immune response and can be used to treat infectious diseases.

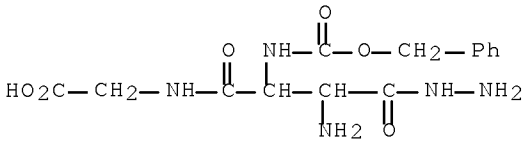
IT 79338-12-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and hydrolysis of)

RN 79338-12-8 HCAPLUS

CN Glycine, N-[erythro-3-amino-N-[(phenylmethoxy)carbonyl]-L-α-asparty]-, 4-hydrazide, trifluoroacetate (9CI) (CA INDEX NAME)

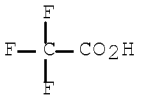
CM 1

CRN 79338-11-7
CMF C14 H19 N5 O6



CM 2

CRN 76-05-1
CMF C2 H F3 O2



L10 ANSWER 29 OF 31 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1982:492759 HCAPLUS Full-text
DOCUMENT NUMBER: 97:92759
ORIGINAL REFERENCE NO.: 97:15483a,15486a
TITLE: Amino acid derivatives, compositions containing them and their use
INVENTOR(S): Geiger, Rolf; Teetz, Volker; Urbach, Hansjoerg; Schoelkens, Bernward; Henning, Rainer
PATENT ASSIGNEE(S): Hoechst A.-G. , Fed. Rep. Ger.
SOURCE: Eur. Pat. Appl., 196 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 46953	A2	19820310	EP 1981-106535	19810822 <--
EP 46953	A3	19820505		
EP 46953	B1	19891206		
R: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
DE 3032709	A1	19820429	DE 1980-3032709	19800830 <--
DE 3118191	A1	19821125	DE 1981-3118191	19810508 <--
EP 278530	A2	19880817	EP 1988-102408	19810822 <--
EP 278530	A3	19890802		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
EP 328160	A1	19890816	EP 1989-105371	19810822 <--
EP 328160	B1	19940504		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
AT 48415	T	19891215	AT 1981-106535	19810822 <--
AT 105301	T	19940515	AT 1989-105371	19810822 <--
FI 8102652	A	19820301	FI 1981-2652	19810827 <--
FI 90072	B	19930915		

FI 90072	C	19931227			
HU 27874	A2	19831128	HU 1981-2478	19810827	<--
HU 189531	B	19860728			
DK 8103835	A	19820301	DK 1981-3835	19810828	<--
DK 169382	B1	19941017			
NO 8102933	A	19820301	NO 1981-2933	19810828	<--
AU 8174718	A	19820311	AU 1981-74718	19810828	<--
AU 544756	B2	19850613			
ZA 8105988	A	19820825	ZA 1981-5988	19810828	<--
IL 63683	A	19880331	IL 1981-63683	19810828	<--
JP 01048918	B	19891020	JP 1981-134401	19810828	<--
US 5158959	A	19921027	US 1983-565900	19831227	<--
US 5162362	A	19921110	US 1983-565887	19831227	<--
ES 530715	A5	19850614	ES 1984-530715	19840316	<--
AU 8779284	A	19880204	AU 1987-79284	19871001	<--
AU 599151	B2	19900712			
JP 01125398	A	19890517	JP 1988-209625	19880825	<--
JP 06078355	B	19941005			
AU 8936625	A	19891005	AU 1989-36625	19890620	<--
AU 627741	B2	19920903			
JP 04217994	A	19920807	JP 1991-77208	19910318	<--
JP 07121955	B	19951225			
FI 90069	B	19930915	FI 1991-4555	19910927	<--
FI 90069	C	19931227			
FI 90532	B	19931115	FI 1991-4554	19910927	<--
FI 90532	C	19940225			
US 5401766	A	19950328	US 1994-208443	19940309	<--

PRIORITY APPLN. INFO.:

DE 1980-3032709	A	19800830
DE 1981-3118191	A	19810508
EP 1981-106535	P	19810822
EP 1989-105371	A	19810822
US 1981-297191	A3	19810828
JP 1982-117311	A	19820705
JP 1982-117312	A	19820705

OTHER SOURCE(S): CASREACT 97:92759; MARPAT 97:92759

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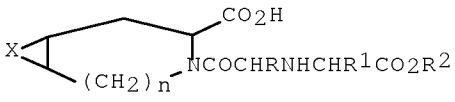

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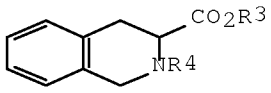
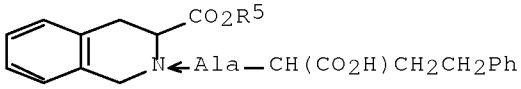


II



III

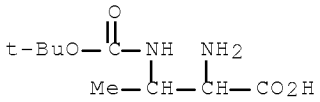
AB Amino acid derivs. I (X = fused benzene or cyclohexane ring; R, R1 = alkyl, alkenyl, cycloalkyl, cycloalkenyl, cycloalkylalkyl, aryl, partially hydrogenated aryl, aralkyl, heterocyclic residue; R2 = H, alkyl, alkenyl, aralkyl; n= 0, 1) were prepared as long-lasting antihypertensives (no data). Thus, tetrahydroisoquinoline II (R3 = R4 = H) was treated with ZCl (Z = PhCH2O2C) to give II (R3 = H, R4 = Z), which was esterified with Me3COH by DCC in CH2Cl2 containing 4-(dimethylamino)pyridine to give 97% II (R3 = CMe3, R4 = Z), which was Z-deblocked by hydrogenolysis and then condensed with Z-Ala-OH by DCC/1-hydroxybenzotriazole to give II (R3 = CMe3, R4 = Z-Ala). The latter

was Z-deblocked by hydrogenolysis to give II (R = CMe3, R4 = Ala), which condensed with PhCH2CH2COCO2H and was then reduced with NaBH3CN to give isoquinoline III (R5 = CMe3), which was debutylated by CF3CO2H to give III (R5 = H).

IT 82717-28-0
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with pyruvyltetrahydroisoquinoline derivative)

RN 82717-28-0 HCAPLUS

CN Butanoic acid, 2-amino-3-[[(1,1-dimethylethoxy)carbonyl]amino]- (CA INDEX NAME)



L10 ANSWER 30 OF 31 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1982:69437 HCAPLUS Full-text
DOCUMENT NUMBER: 96:69437
ORIGINAL REFERENCE NO.: 96:11429a,11432a
TITLE: Peptides, their pharmaceutical compositions and their intermediates
INVENTOR(S): Kitaura, Yoshihiko; Nakaguchi, Osamu; Hemmi, Keiji; Aratani, Matsuhiko; Takeno, Hidekazu; Okada, Satoshi; Tanaka, Hirokazu; Hashimoto, Masashi; Kuroda, Yoshio; et al.
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE: Eur. Pat. Appl., 502 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 7
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 25842	A2	19810401	EP 1980-104502	19800730 <--
EP 25842	A3	19820210		
EP 25842	B1	19870603		
R: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
US 4311640	A	19820119	US 1979-93523	19791113 <--
AT 1388	T	19820815	AT 1979-104479	19791114 <--
DK 8003272	A	19810201	DK 1980-3272	19800729 <--
DK 156252	B	19890717		
DK 156252	C	19891218		
ES 493817	A1	19810716	ES 1980-493817	19800729 <--
AU 8060939	A	19810319	AU 1980-60939	19800730 <--
AU 544864	B2	19850620		
HU 28730	A2	19831228	HU 1980-1911	19800730 <--
HU 188565	B	19860428		
AT 27607	T	19870615	AT 1980-104502	19800730 <--
JP 56045449	A	19810425	JP 1980-106279	19800731 <--
JP 01013463	B	19890306		
ES 499470	A1	19820816	ES 1981-499470	19810216 <--

US 4487763	A	19841211	US 1982-402440	19820728 <--
US 4512980	A	19850423	US 1982-402438	19820728 <--
JP 63258488	A	19881025	JP 1988-54435	19880308 <--
JP 03027560	B	19910416		
JP 02288895	A	19901128	JP 1990-95413	19900410 <--
JP 06013549	B	19940223		

PRIORITY APPLN. INFO.:

GB 1979-26705	A	19790731
GB 1979-35401	A	19791011
GB 1979-35730	A	19791015
GB 1979-36000	A	19791017
GB 1979-37343	A	19791029
US 1979-93523	A	19791113
US 1980-110020	A	19800107
US 1980-147710	A	19800508
US 1980-149441	A	19800513
GB 1978-44346	A	19781114
EP 1979-104479	A	19791114
GB 1980-10459	A	19800328
EP 1980-104502	A	19800730
US 1980-193453	A3	19801003

OTHER SOURCE(S): CASREACT 96:69437; MARPAT 96:69437

GI For diagram(s), see printed CA Issue.

AB FR-900156 substance-related peptides I [R = H, acyl; R1 = H, Me, CHMe2, (un)protected CH2OH, CH2Ph; R3 = H, (un)protected CO2H, CONR6R7 [R6 = (un)protected mono- or dicarboxyalkyl; R7 = H, alkyl]; R3, R4 = H, (un)protected CO2H, CONR6R7); R5 = H, NH2-protective group; n = 0-2; m = 1-3] were prepared Thus, coupling meso-diaminopimelic acid II (Z = PhCH2O2C, BOC = Me3CO2C) with H-Gly-OCH2Ph by ClCO2CH2CHMe2 gave peptide III (R8 = Z, R9 = CH2Ph), which was deblocked by hydrogenolysis over Pd/C to give III (R8 = R9 = H). The last was coupled with Ac-D-Lac-Gly-D-Glu- OCH2Ph (Lac = lactic acid residue) by ClCO2CH2CHMe3 to give peptide IV, which was saponified, BOC-deblocked, and then treated with 0.1N H2SO4/aqueous Na metaperiodate to give branched peptide V. Numerous other I analogs were prepared I were shown to enhance immune response and can be used to treat infectious diseases.

IT 79338-12-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and hydrolysis of)

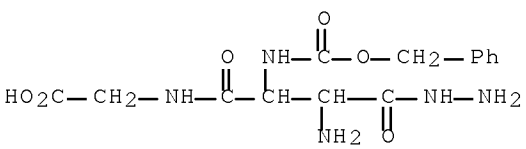
RN 79338-12-8 HCAPLUS

CN Glycine, N-[erythro-3-amino-N-[(phenylmethoxy)carbonyl]-L-α-asparty]-, 4-hydrazide, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

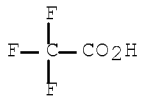
CRN 79338-11-7

CMF C14 H19 N5 O6



CM 2

CRN 76-05-1
CMF C2 H F3 O2



L10 ANSWER 31 OF 31 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1977:140465 HCAPLUS Full-text
DOCUMENT NUMBER: 86:140465
ORIGINAL REFERENCE NO.: 86:22077a,22080a
TITLE: Feldamycic acid
INVENTOR(S): Argoudelis, Alexander D.; Baczynskyj, Lubomir; Mizsak, Stephen A.
PATENT ASSIGNEE(S): Upjohn Co., USA
SOURCE: U.S., 3 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4001267	A	19770104	US 1975-615769	19750922 <--
PRIORITY APPLN. INFO.:			US 1975-615769 A	19750922

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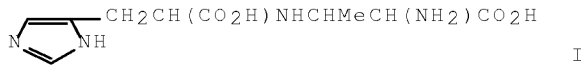
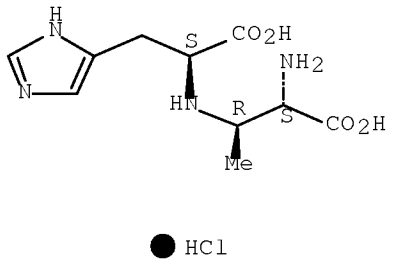


I

AB Feldamycic acid (I) was prepared by the hydrolysis of feldamycin. Thus, feldamycin was hydrolyzed in 6N HCl to give I.HCl and N-methylhistidine. The mixture was separated by column chromatog. to give I. I can be coupled with nutritional amino acids to give peptides useful as nutritional supplements.
IT 62306-88-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 62306-88-1 HCAPLUS
CN L-Histidine, N-[(1R,2S)-2-amino-2-carboxy-1-methylethyl]-, monohydrochloride (9CI) (CA INDEX NAME)

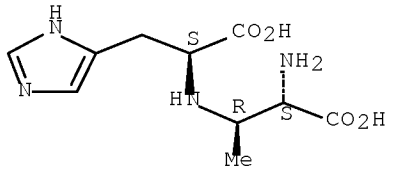
Absolute stereochemistry.



● HCl

IT 88495-07-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, from hydrolysis of feldamycin)
RN 88495-07-2 HCAPLUS
CN L-Histidine, N-[(1R,2S)-2-amino-2-carboxy-1-methylethyl]- (CA INDEX NAME)

Absolute stereochemistry.



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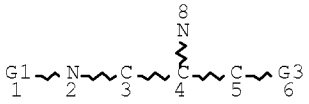

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DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
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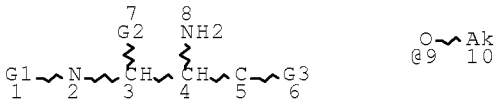
STEREO ATTRIBUTES: NONE
L2 751060 SEA FILE=REGISTRY SSS FUL L1
L3 STR



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VAR G2=AK/CY/9
VAR G3=N/S/O
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DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 10

STEREO ATTRIBUTES: NONE

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L5 138 SEA FILE=HCAPLUS ABB=ON PLU=ON L4
L6 113 SEA FILE=HCAPLUS ABB=ON PLU=ON L5 AND PD=<APRIL 09, 2005
L7 31 SEA FILE=HCAPLUS ABB=ON PLU=ON L6 AND PATENT/DT
L8 51819 SEA FILE=HCAPLUS ABB=ON PLU=ON ("ALZHEIMER'S DISEASE"/CV OR "MENTAL DISORDER (L) ALZHEIMER'S DISEASE"/CV OR "ALZHEIMER DEMENTIA"/CV OR "ALZHEIMER DISEASE MENTAL DISORDER"/CV OR "ALZHEIMER'S DEMENTIA"/CV OR "ALZHEIMER'S DISEASE MENTAL DISORDER"/CV OR "ALZHEIMER'S SENILE DEMENTIA"/CV OR "ALZHEIMER-TYPE SENILE DEMENTIA"/CV OR "NONFAMILIAL ALZHEIMER'S DISEASE"/CV OR "PRESENILE ALZHEIMER'S DISEASE"/CV OR "PRESENILE ALZHEIMER-TYPE DEMENTIA"/CV) OR "ANTI-ALZHEIMER'S AGENTS"/CV OR ?ALZHEIM?
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L10 31 SEA FILE=HCAPLUS ABB=ON PLU=ON L7 OR L9
L12 2 SEA FILE=HCAPLUS ABB=ON PLU=ON (L6 AND (?MEDIC? OR ?THERAP? OR ?DRUG? OR ?PHARMA? OR ?NEURO? OR ?MENTAL? OR ?DEMENTIA? OR ?SENIL?)) NOT L10

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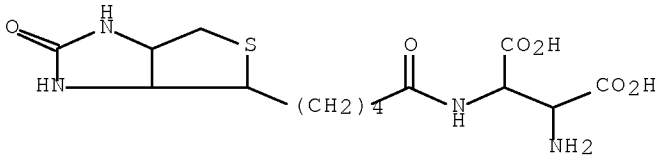
L12 ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1998:626252 HCAPLUS Full-text
DOCUMENT NUMBER: 130:35087
TITLE: Synthesis and evaluation of 99mTc/99Tc-MAG3-biotin conjugates for antibody pretargeting strategies
AUTHOR(S): Van Gog, Frank B.; Visser, Gerard W. M.; Gowrising, Radjish W. A.; Snow, Gordon B.; Van Dongen, Guus A. M. S.
CORPORATE SOURCE: Department of Otolaryngology/head and Neck Surgery, Free University Hospital, Amsterdam, 1081 HV, Neth.
SOURCE: Nuclear Medicine and Biology (1998), 25(7), 611-619
CODEN: NMBIEO; ISSN: 0969-8051
PUBLISHER: Elsevier Science Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Four 99mTc-MAG3-biotin conjugates were synthesized to determine their potential use in antibody pretargeting strategies for radioimmunoscintigraphy (RIS). To use these 99mTc-MAG3-biotin conjugates as model compds. for 186Re-MAG3-biotin conjugates for radioimmunotherapy (RIT), nanomolar amts. of 99Tc were added as carrier to 99mTc. The biotin derivs. used for the preparation of the conjugates-biocytin, biotin hydrazide, biotinyl-piperazine, and biotinyl-diaminosuccinic acid-differed at the site that is regarded to be susceptible to hydrolysis by biotinidase present in human plasma. All four conjugates were produced with high radiochem. purity, were stable in PBS, and demonstrated full binding capacity to streptavidin. The 99mTc/99Tc-MAG3-labeled biotinyl-piperazine and biotinyl-diaminosuccinic acid conjugates were stable in mouse as well as human plasma, whereas the corresponding biocytin and biotin hydrazide conjugates were rapidly degraded. The biodistribution in nude mice at 30 min after injection was similar for all conjugates, and a rapid blood clearance and high intestinal excretion were both observed It is concluded that the metabolic routing of a conjugate containing biotin and MAG3 is dominated by these two moieties. For this reason, MAG3-biotin conjugates do not seem suited for pretargeted RIT, for which quant. and fast renal excretion is a prerequisite to minimize radiation toxicity. However, in a pretargeted RIS approach the 99mTc-MAG3-biotin conjugates might have potential.

IT 216655-91-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis and evaluation of 99mTc/99Tc-MAG3-biotin conjugates for antibody pretargeting strategies)

RN 216655-91-3 HCAPLUS

CN Aspartic acid, 3-[[5-[(3aS,4S,6aR)-hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl]-1-oxopentyl]amino]-, [rel-(2R,3S)]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1997:255653 HCAPLUS Full-text
DOCUMENT NUMBER: 126:305651
ORIGINAL REFERENCE NO.: 126:59211a,59214a
TITLE: Preparation of aminated taxol side chain precursors. A simple approach to 2,3-diamino acids using the β-lactam synthon method
AUTHOR(S): Moyna, Guillermo; Williams, Howard J.; Scott, A. I.
CORPORATE SOURCE: Center for Biological NMR, Department of Chemistry, Texas A and M University, College Station, TX, 77843-3255, USA
SOURCE: Synthetic Communications (1997), 27(9), 1561-1567
CODEN: SYNCAV; ISSN: 0039-7911
PUBLISHER: Dekker

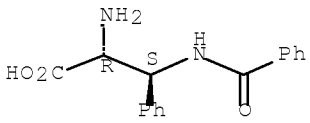
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 126:305651

AB Coupling-ready aminated side chain analog precursors of the anticancer drug taxol were prepared through the β-lactam synthon method. The procedure described represents an easy connection between β-lactams and 2,3-diamino acids, is highly stereospecific, and causes no racemization due to vicinal group participation.

IT 189286-15-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of aminated taxol side chain precursors, approach to 2,3-diamino acids using β-lactam synthon method)

RN 189286-15-5 HCAPLUS
CN D-Phenylalanine, β-(benzoylamino)-, (βS)-rel- (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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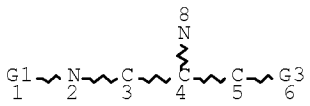

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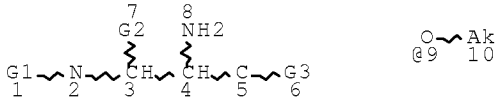

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VAR G3=N/S/O
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STEREO ATTRIBUTES: NONE
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L13  ANSWER 1 OF 2  HCAPLUS  COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER:        2007:14210  HCAPLUS  Full-text
DOCUMENT NUMBER:         146:121949
TITLE:                   Oxazolidinecarboxamides as HIV-1 protease inhibitors,
                         and methods of making and using them
INVENTOR(S):             Rana, Tariq M.; Ali, Akbar; Cao, Hong; Sai, Kiran
                         Kumar Reddy Ga; Anjum, Saima Ghafoor
PATENT ASSIGNEE(S):      University of Massachusetts, USA
SOURCE:                  PCT Int. Appl., 194pp., which which
                         CODEN: PIXXD2
DOCUMENT TYPE:           Patent
LANGUAGE:                English
FAMILY ACC. NUM. COUNT:  2
PATENT INFORMATION:
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PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007002173	A1	20070104	WO 2006-US24109	20060621
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RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2006262275	A1	20070104	AU 2006-262275	20060621
EP 1937655	A1	20080702	EP 2006-785253	20060621
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PRIORITY APPLN. INFO.:

US 2005-693134P	P	20050622
US 2005-749902P	P	20051212
US 2006-810234P	P	20060602
WO 2006-US24109	W	20060621

OTHER SOURCE(S): MARPAT 146:121949

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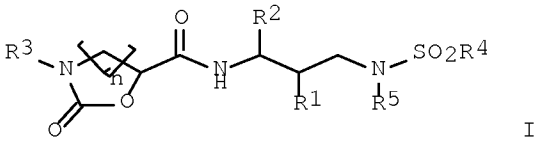

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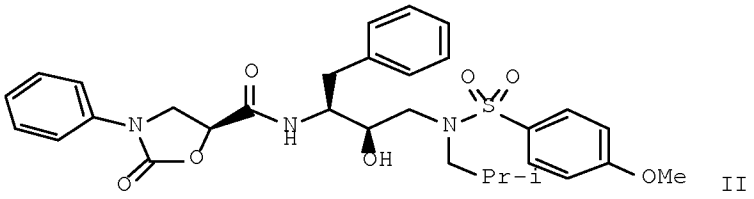


II

AB One aspect of the invention relates to the design, synthesis and biol. activity of novel HIV-1 protease inhibitors of incorporating N-phenyloxazolidine-5-carboxamides into the (hydroxyethylamino)sulfonamide scaffold of formula I as P2 ligands. Compound of formula I wherein n is 1 and 2; R1 is OH, SH, and NH and derivs.; R2 is H, alkyl, cycloalkyl, (hetero)aryl, heterocyclyl(alkyl), and (hetero)aralkyl; R3 is H, alkyl, alkenyl, aminoalkyl, amidoalkyl, ketoalkyl, cycloalkyl, (hetero)aryl, etc.; R4 is alkyl, cycloalkyl, heterocyclyl(alkyl), (hetero)aryl, and (hetero)aralkyl; R5 is H, alkyl, cycloalkyl, heterocyclyl(alkyl), (hetero)aryl, and (hetero)aralkyl; and their stereochem. configurations at any undefined stereocenter is R, S, or a mixture of these configurations, are claimed. The invention relates to inhibitors with variations at the P2 phenyloxazolidine and the P2'

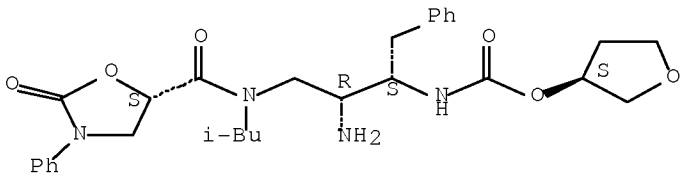
phenylsulfonamide moieties. Remarkably, compds. with an (S)-enantiomer of substituted phenyloxazolidines at P2 show highly potent inhibitory activities against wild-type HIV-1 protease. In certain embodiments, the inhibitors of the invention have Ki values in low picomolar (pM) range. In certain embodiments, the inhibitors of the invention were shown to be active against a variety of multi-drug resistant (MDR) HIV-1 proteases, each representing different paradigm of drug resistance. Example compound II was prepared by a general coupling reaction using the corresponding sulfonamide. All the invention compds. were evaluated for their HIV-1 protease inhibitory activity (data given).

IT 918544-18-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of oxazolidinecarboxamides as HIV-1 protease inhibitors useful as therapeutic agents)

RN 918544-18-0 HCAPLUS

CN Carbamic acid, N-[(1S,2R)-2-amino-3-[(2-methylpropyl)[[(5S)-2-oxo-3-phenyl-5-oxazolidinyl]carbonyl]amino]-1-(phenylmethyl)propyl]-, (3S)-tetrahydro-3-furanyl ester (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:1103733 HCAPLUS Full-text
DOCUMENT NUMBER: 143:386930
TITLE: Preparation of 2-amino- and 2-thio-substituted 1,3-diaminopropanes as β-secretase inhibitors for treating Alzheimer's disease and other diseases characterized by deposition of Aβ-peptide
INVENTOR(S): Hom, Roy; Tucker, John; John, Varghese; Shah, Neerav
PATENT ASSIGNEE(S): Elan Pharmaceuticals, Inc., USA; Pharmacia & Upjohn Company
SOURCE: PCT Int. Appl., 365 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005095326	A2	20051013	WO 2005-US9920	20050325
WO 2005095326	A3	20051110		

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RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,
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CA 2560773	A1	20051013	CA 2005-2560773		20050325
US 20050267199	A1	20051201	US 2005-90520		20050325
EP 1751091	A2	20070214	EP 2005-741943		20050325
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BR 2005009186	A	20070828	BR 2005-9186		20050325
JP 2007530583	T	20071101	JP 2007-505201		20050325
MX 2006PA10899	A	20061215	MX 2006-PA10899		20060922
PRIORITY APPLN. INFO.:			US 2004-556461P	P	20040325
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OTHER SOURCE(S): MARPAT 143:386930

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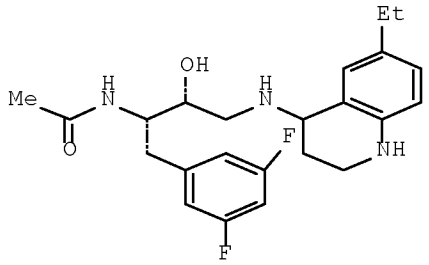


II

AB Title compds. of formula Z-X-NHCH(R1)CH(Q)C(R2)(R3)N(R15)(Rc) (I) [Q = SH and derivs., NH and derivs.; Z = H, (un)substituted cycloalkylalk(en/yn)yl, cycloalkyl; X = CO, SO2; R1 = (un)substituted alkyl; R2, R3 = independently H, F, (un)substituted alk(en/yn)yl, hetero/aryl, etc.; R2CR3 = 3-7 membered carbocyclic ring with 1-3 C atoms optionally replaced by O, S, SO2, CO, NH and derivs.; R15 = H, (un)substituted alkyl, alkoxy, etc.; Rc = (un)substituted (CH2)n-cycloalkyl, etc.; n = 0-3] were prepared Compds. disclosed herein are inhibitors of the β-secretase enzyme (no data) and are therefore useful in the treatment of Alzheimer's disease and other diseases characterized by deposition of A beta peptide in a mammal (no data). For example, II was prepared, in 4 steps, by reacting benzyl 4-amino-6-ethyl-3,4-dihydroquinoline-1(2H)-carboxylate with [(1S)-2-(3,5-difluorophenyl)-1-((2S)-oxiran-2-yl)ethyl]carbamate, followed by Boc-deprotection, acetylation in the presence of N,N-diacetyl-O- methylhydroxylamine/CH2Cl2, and Cbz-deprotection.

IT 866473-69-0P 866473-70-3P 866473-71-4P
866473-72-5P 866473-73-6P 866473-74-7P
866473-80-5P, N-[(2S,3R)-3-Amino-1-(3,5-difluorophenyl)-4-[((S)-6-ethylchroman-4-yl)amino]butan-2-yl]acetamide 866473-81-6P,
N-[(2S,3R)-4-[(3-Isopropylbenzyl)amino]-3-amino-1-(3,5-

difluorophenyl)butan-2-yl]acetamide 866473-82-7P, N-[(2S,3R)-3-Amino-1-(3,5-difluorophenyl)-4-[(2-ethyl-7-fluoro-9H-fluoren-9-yl)amino]butan-2-yl]acetamide 866473-83-8P, N-[(2S,3R)-3-Amino-1-(3,5-difluorophenyl)-4-[((S)-6-isopentylchroman-4-yl)amino]butan-2-yl]acetamide 866473-84-9P, N-[(2S,3R)-3-Amino-4-[[(S)-6-(cyclohexylmethyl)chroman-4-yl]amino]-1-(3,5-difluorophenyl)butan-2-yl]acetamide 866473-85-0P, N-[(2S,3R)-3-Amino-1-(3,5-difluorophenyl)-4-[(4-methyl-6-neopentylchroman-4-yl)amino]butan-2-yl]acetamide 866473-86-1P, N-[(2S,3R)-3-Amino-1-(3,5-difluorophenyl)-4-[((S)-6-isopropoxychroman-4-yl)amino]butan-2-yl]acetamide 866473-87-2P, N-[(2S,3R)-3-Amino-1-(3,5-difluorophenyl)-4-[(isochroman-4-yl)amino]butan-2-yl]acetamide 866473-88-3P, N-[(2S,3R)-3-Amino-1-(3,5-difluorophenyl)-4-[(6-isopropoxy-1,1-dimethylisochroman-4-yl)amino]butan-2-yl]acetamide 866473-89-4P, N-[(2S,3R)-3-Amino-1-(3,5-difluorophenyl)-4-[(1-phenylcyclohexyl)amino]butan-2-yl]acetamide 866473-90-7P, N-[(2S,3R)-3-Amino-1-(3,5-difluorophenyl)-4-[[1-(3-isopropylphenyl)cyclohexyl]amino]butan-2-yl]acetamide 866473-91-8P , N-[(3R)-3-Amino-1-(3,5-difluorophenyl)-4-[((S)-7-ethyl-1,2,3,4-tetrahydronaphthalen-1-yl)amino]butan-2-yl]ethanethioamide 866473-92-9P, N-[(2S,3R)-3-Amino-1-(3,5-difluorophenyl)-4-[((S)-7-ethyl-1,2,3,4-tetrahydronaphthalen-1-yl)amino]butan-2-yl]methanesulfonamide 866473-93-0P, N-[(2S,3R)-3-Amino-1-(3,5-difluorophenyl)-4-[((S)-7-ethyl-1,2,3,4-tetrahydronaphthalen-1-yl)amino]butan-2-yl]propionamide 866473-94-1P, N-[(2S,3R)-3-Amino-4-[[1-(3-tert-butylphenyl)cyclohexyl]amino]-1-(3,5-difluorophenyl)butan-2-yl]acetamide 866473-95-2P, N-[(2S,3R)-3-Amino-1-(3,5-difluorophenyl)-4-[((S)-7-isobutyl-1,2,3,4-tetrahydronaphthalen-1-yl)amino]butan-2-yl]acetamide 866473-96-3P , N-[(2S,3R)-3-Amino-1-(3,5-difluorophenyl)-4-[(3-ethyl-5,6,7,8-tetrahydroquinolin-5-yl)amino]butan-2-yl]acetamide 866473-97-4P, N-[(2S,3R)-3-Amino-4-[((S)-5-butyl-7-ethyl-1,2,3,4-tetrahydronaphthalen-1-yl)amino]-1-(3,5-difluorophenyl)butan-2-yl]acetamide 866473-98-5P , N-[(2S,3R)-3-Amino-1-(3,5-difluorophenyl)-4-[[2-(3-isobutylphenyl)propan-2-yl]amino]butan-2-yl]acetamide

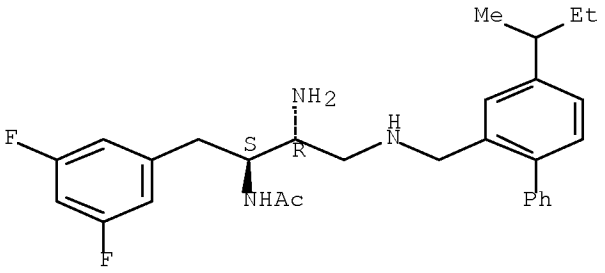
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of 2-amino- and 2-thio-substituted 1,3-diaminopropanes as β-secretase inhibitors for treating Alzheimer's disease and other diseases characterized by deposition of Aβ-peptide)

RN 866473-69-0 HCAPLUS

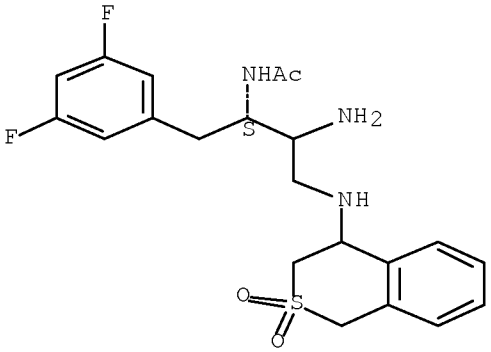
CN Acetamide, N-[(1S,2R)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[[[4-(1-methylpropyl)[1,1'-biphenyl]-2-yl]methyl]amino]propyl]- (CA INDEX NAME)

Absolute stereochemistry.



RN 866473-70-3 HCAPLUS
CN Acetamide, N-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[(3,4-dihydro-2,2-dioxido-1H-2-benzothiopyran-4-yl)amino]propyl]- (CA INDEX NAME)

Absolute stereochemistry.



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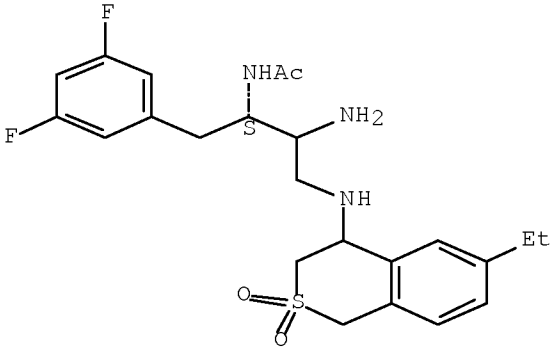
CN Acetamide, N-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[(6-ethyl-3,4-dihydro-2,2-dioxido-1H-2-benzothiopyran-4-yl)amino]propyl]- (CA INDEX NAME)

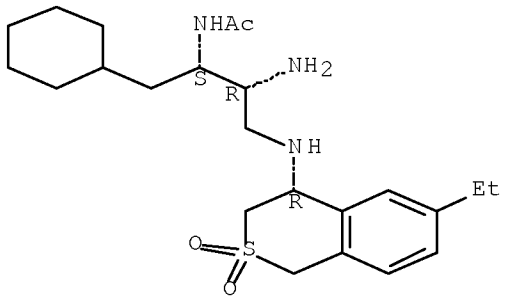
Absolute stereochemistry.



RN 866473-72-5 HCAPLUS

CN Acetamide, N-[(1S,2R)-2-amino-1-(cyclohexylmethyl)-3-[[(4R)-6-ethyl-3,4-dihydro-2,2-dioxido-1H-2-benzothiopyran-4-yl]amino]propyl]- (CA INDEX NAME)

Absolute stereochemistry.



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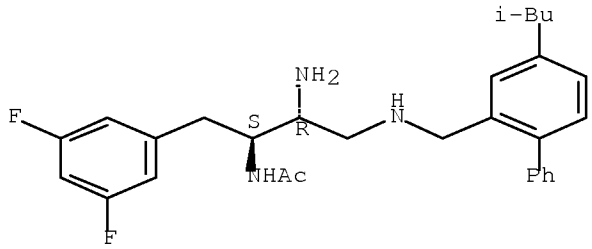
Absolute stereochemistry.



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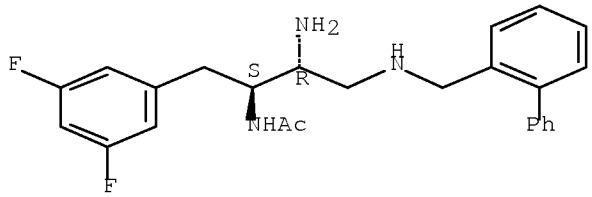
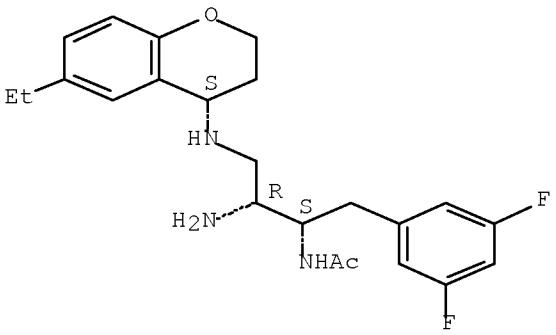
Absolute stereochemistry.



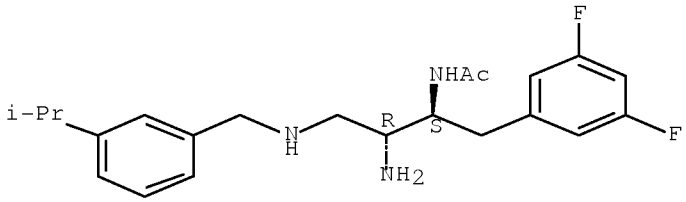
RN 866473-80-5 HCAPLUS
CN Acetamide, N-[(1S,2R)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[[(4S)-6-ethyl-3,4-dihydro-2H-1-benzopyran-4-yl]amino]propyl]- (CA INDEX NAME)

Absolute stereochemistry.



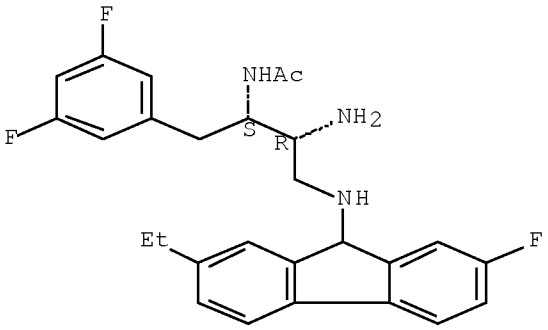
RN 866473-81-6 HCAPLUS
CN Acetamide, N-[(1S,2R)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[[[3-(1-methylethyl)phenyl]methyl]amino]propyl]- (CA INDEX NAME)

Absolute stereochemistry.



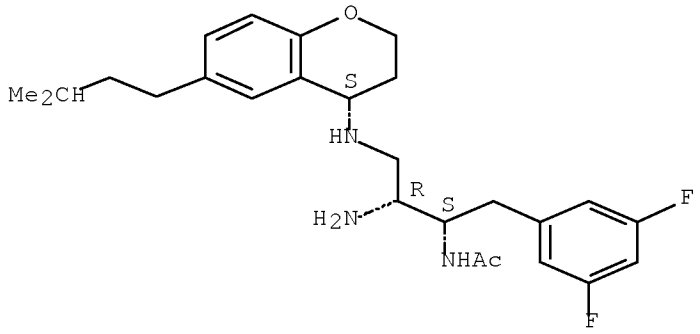
RN 866473-82-7 HCAPLUS
CN Acetamide, N-[(1S,2R)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[(2-ethyl-7-fluoro-9H-fluoren-9-yl)amino]propyl]- (CA INDEX NAME)

Absolute stereochemistry.



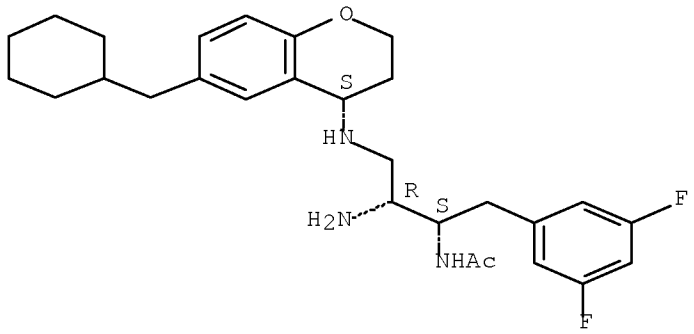
RN 866473-83-8 HCAPLUS
CN Acetamide, N-[(1S,2R)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[[(4S)-3,4-dihydro-6-(3-methylbutyl)-2H-1-benzopyran-4-yl]amino]propyl]- (CA INDEX NAME)

Absolute stereochemistry.



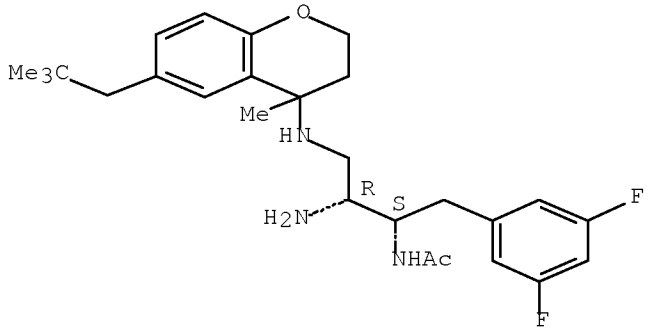
RN 866473-84-9 HCAPLUS
CN Acetamide, N-[(1S,2R)-2-amino-3-[[(4S)-6-(cyclohexylmethyl)-3,4-dihydro-2H-1-benzopyran-4-yl]amino]-1-[(3,5-difluorophenyl)methyl]propyl]- (CA INDEX NAME)

Absolute stereochemistry.



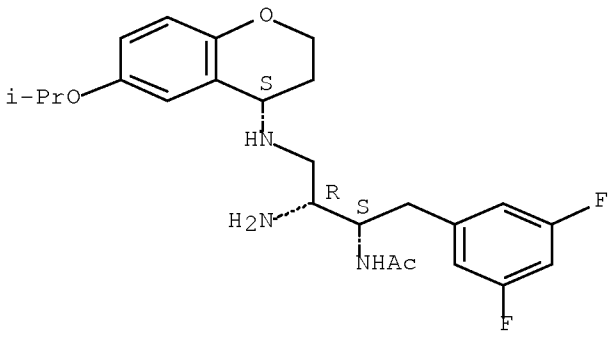
RN 866473-85-0 HCAPLUS
CN Acetamide, N-[(1S,2R)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[[6-(2,2-dimethylpropyl)-3,4-dihydro-4-methyl-2H-1-benzopyran-4-yl]amino]propyl]- (CA INDEX NAME)

Absolute stereochemistry.



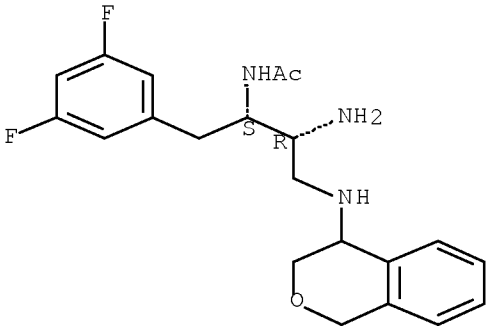
RN 866473-86-1 HCAPLUS
CN Acetamide, N-[(1S,2R)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[[(4S)-3,4-dihydro-6-(1-methylethoxy)-2H-1-benzopyran-4-yl]amino]propyl]- (CA INDEX NAME)

Absolute stereochemistry.



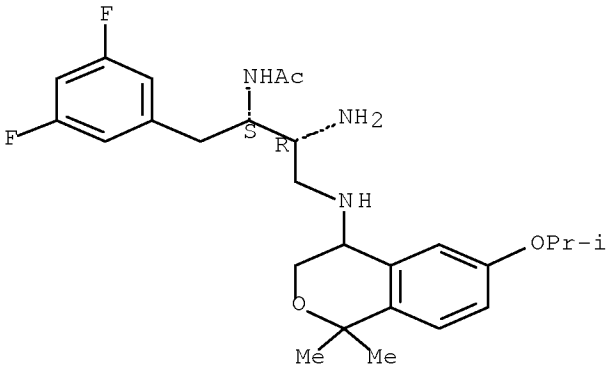
RN 866473-87-2 HCAPLUS
CN Acetamide, N-[(1S,2R)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[(3,4-dihydro-1H-2-benzopyran-4-yl)amino]propyl]- (CA INDEX NAME)

Absolute stereochemistry.



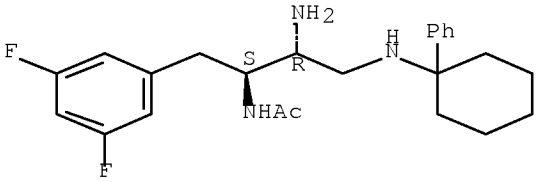
RN 866473-88-3 HCAPLUS
CN Acetamide, N-[(1S,2R)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[[3,4-dihydro-1,1-dimethyl-6-(1-methylethoxy)-1H-2-benzopyran-4-yl]amino]propyl]- (CA INDEX NAME)

Absolute stereochemistry.



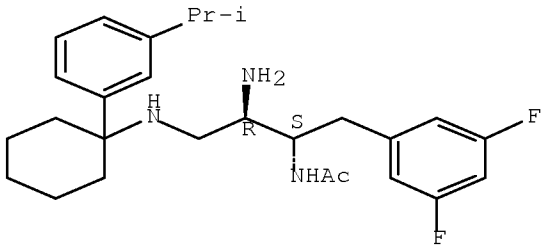
RN 866473-89-4 HCAPLUS
CN Acetamide, N-[(1S,2R)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[(1-phenylcyclohexyl)amino]propyl]- (CA INDEX NAME)

Absolute stereochemistry.



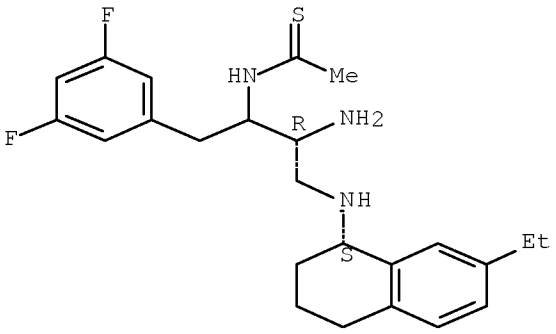
RN 866473-90-7 HCAPLUS
CN Acetamide, N-[(1S,2R)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[[1-[3-(1-methylethyl)phenyl]cyclohexyl]amino]propyl]- (CA INDEX NAME)

Absolute stereochemistry.



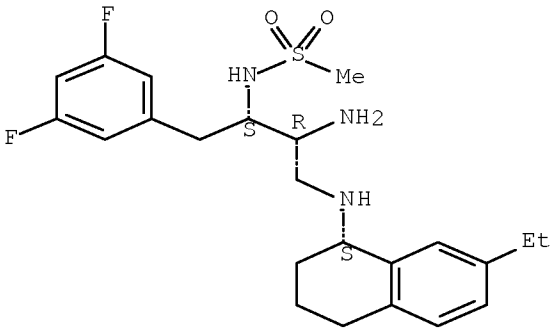
RN 866473-91-8 HCAPLUS
CN Ethanethioamide, N-[(2R)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[[(1S)-7-ethyl-1,2,3,4-tetrahydro-1-naphthalenyl]amino]propyl]- (CA INDEX NAME)

Absolute stereochemistry.



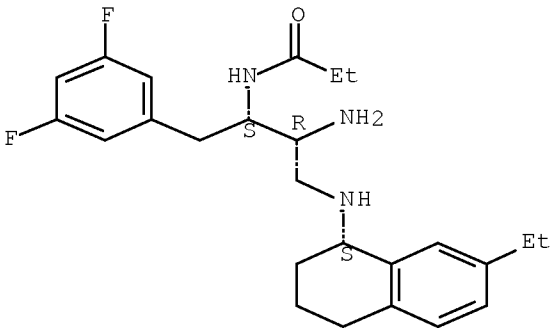
RN 866473-92-9 HCAPLUS
CN Methanesulfonamide, N-[(1S,2R)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[[(1S)-7-ethyl-1,2,3,4-tetrahydro-1-naphthalenyl]amino]propyl]- (CA INDEX NAME)

Absolute stereochemistry.



RN 866473-93-0 HCAPLUS
CN Propanamide, N-[(1S,2R)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[[(1S)-7-ethyl-1,2,3,4-tetrahydro-1-naphthalenyl]amino]propyl]- (CA INDEX NAME)

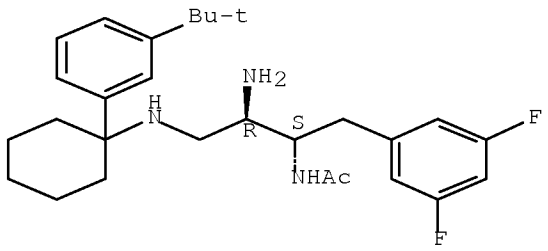
Absolute stereochemistry.



RN 866473-94-1 HCAPLUS

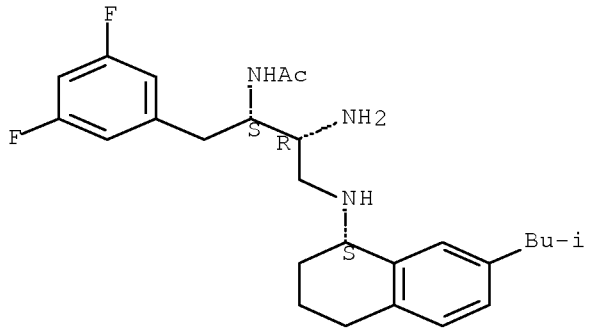
CN Acetamide, N-[(1S,2R)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[[1-[3-(1,1-dimethylethyl)phenyl]cyclohexyl]amino]propyl]- (CA INDEX NAME)

Absolute stereochemistry.



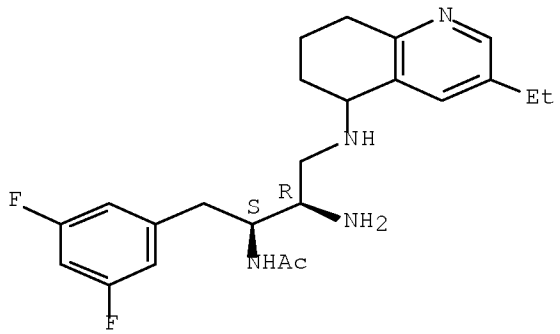
RN 866473-95-2 HCAPLUS
CN Acetamide, N-[(1S,2R)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[[(1S)-1,2,3,4-tetrahydro-7-(2-methylpropyl)-1-naphthalenyl]amino]propyl]- (CA INDEX NAME)

Absolute stereochemistry.



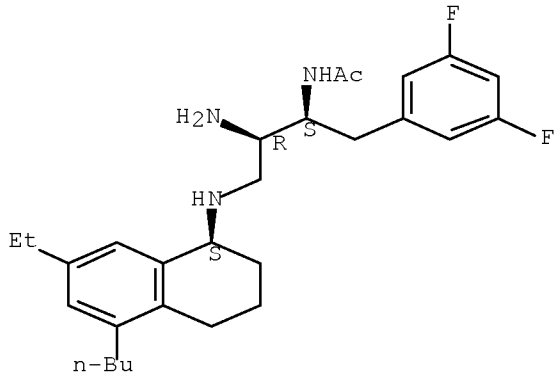
RN 866473-96-3 HCAPLUS
CN Acetamide, N-[(1S,2R)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[(3-ethyl-5,6,7,8-tetrahydro-5-quinolinyl)amino]propyl]- (CA INDEX NAME)

Absolute stereochemistry.



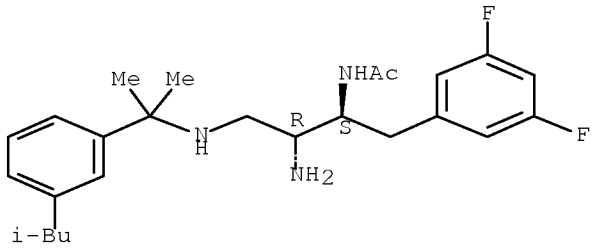
RN 866473-97-4 HCAPLUS
CN Acetamide, N-[(1S,2R)-2-amino-3-[[(1S)-5-butyl-7-ethyl-1,2,3,4-tetrahydro-1-naphthalenyl]amino]-1-[(3,5-difluorophenyl)methyl]propyl]- (CA INDEX NAME)

Absolute stereochemistry.



RN 866473-98-5 HCAPLUS
CN Acetamide, N-[(1S,2R)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[[1-methyl-1-[3-(2-methylpropyl)phenyl]ethyl]amino]propyl]- (CA INDEX NAME)

Absolute stereochemistry.



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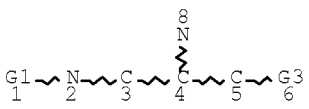
L1 STR



VAR G1=S/CY/AK
VAR G3=N/S/O
NODE ATTRIBUTES:
NSPEC IS RC AT 5
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

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GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS   7

STEREO ATTRIBUTES: NONE
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L3              STR
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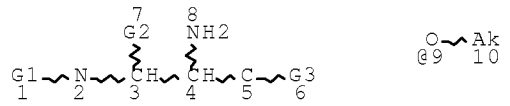


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VAR G2=AK/CY/9
VAR G3=N/S/O
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NSPEC   IS RC     AT   5
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS  10

STEREO ATTRIBUTES: NONE
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L5           138 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L4
L6           113 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L5 AND PD=<APRIL 09, 2005
L7            31 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L6 AND PATENT/DT
L8         51819 SEA FILE=HCAPLUS ABB=ON  PLU=ON  ("ALZHEIMER'S DISEASE"/CV OR
                 "MENTAL DISORDER (L) ALZHEIMER'S DISEASE"/CV OR "ALZHEIMER
                 DEMENTIA"/CV OR "ALZHEIMER DISEASE MENTAL DISORDER"/CV OR
                 "ALZHEIMER'S DEMENTIA"/CV OR "ALZHEIMER'S DISEASE MENTAL
                 DISORDER"/CV OR "ALZHEIMER'S SENILE DEMENTIA"/CV OR "ALZHEIMER-
                 TYPE SENILE DEMENTIA"/CV OR "NONFAMILIAL ALZHEIMER'S DISEASE"/C
                 V OR "PRESENILE ALZHEIMER'S DISEASE"/CV OR "PRESENILE ALZHEIMER
                 -TYPE DEMENTIA"/CV) OR "ANTI-ALZHEIMER'S AGENTS"/CV OR
                 ?ALZHEIM?
L9             3 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L6 AND L8
L10           31 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L7 OR L9
L12            2 SEA FILE=HCAPLUS ABB=ON  PLU=ON  (L6 AND (?MEDIC? OR ?THERAP?
                 OR ?DRUG? OR ?PHARMA? OR ?NEURO? OR ?MENTAL? OR ?DEMENTIA? OR
                 ?SENIL?)) NOT L10
L13            2 SEA FILE=HCAPLUS ABB=ON  PLU=ON  (L5(L)(?MEDIC? OR ?THERAP? OR
                 ?DRUG? OR ?PHARMA? OR ?NEURO? OR ?MENTAL? OR ?DEMENTIA? OR
                 ?SENIL?)) NOT (L10 OR L12)
L14            3 SEA FILE=HCAPLUS ABB=ON  PLU=ON  (L5 AND L8) NOT (L10 OR L12
                 OR L13)


=> d ibib abs hitstr l14 1-3

L14  ANSWER 1 OF 3  HCAPLUS  COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER:         2007:664102  HCAPLUS  Full-text
DOCUMENT NUMBER:          147:268319
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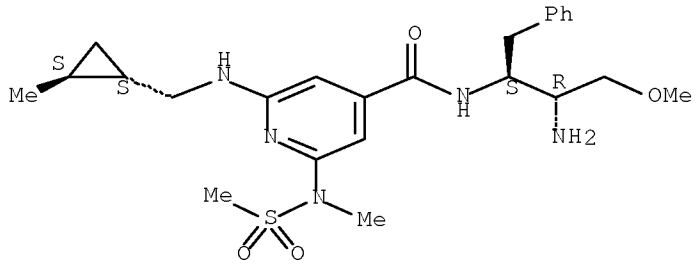
TITLE: Discovery of Isonicotinamide Derived β-Secretase Inhibitors: In Vivo Reduction of β-Amyloid
AUTHOR(S): Stanton, Matthew G.; Stauffer, Shaun R.; Gregro, Alison R.; Steinbeiser, Melissa; Nantermet, Philippe; Sankaranarayanan, Sethu; Price, Eric A.; Wu, Guoxin; Crouthamel, Ming-Chih; Ellis, Joan; Lai, Ming-Tain; Espeseth, Amy S.; Shi, Xiao-Ping; Jin, Lixia; Colussi, Dennis; Pietrak, Beth; Huang, Qian; Xu, Min; Simon, Adam J.; Graham, Samuel L.; Vacca, Joseph P.; Selnick, Harold
CORPORATE SOURCE: Departments of Medicinal Chemistry, Alzheimer's Research, and Drug Metabolism, Merck Research Laboratories, West Point, PA, 19486, USA
SOURCE: Journal of Medicinal Chemistry (2007), 50(15), 3431-3433
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 147:268319

AB β-Secretase inhibition offers an exciting opportunity for therapeutic intervention in the progression of Alzheimer's disease. A series of isonicotinamides derived from traditional aspartyl protease transition state isostere inhibitors has been optimized to yield low nanomolar inhibitors with sufficient penetration across the blood-brain barrier to demonstrate β-amyloid lowering in a murine model.

IT 946420-59-3P
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(isonicotinamide derivs. as β-secretase inhibitors and in vivo reduction of β-amyloid)

RN 946420-59-3 HCAPLUS
CN 4-Pyridinecarboxamide, N-[(1S,2R)-2-amino-3-methoxy-1-(phenylmethyl)propyl]-2-[[[(1S,2S)-2-methylcyclopropyl]methyl]amino]-6-[methyl(methylsulfonyl)amino]- (CA INDEX NAME)

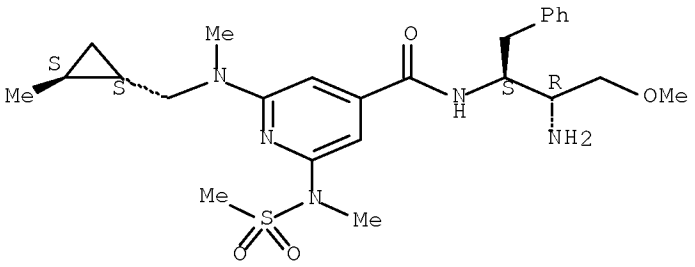
Absolute stereochemistry.



IT 860312-26-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(isonicotinamide derivs. as β-secretase inhibitors and in vivo reduction of β-amyloid)

RN 860312-26-1 HCAPLUS
CN 4-Pyridinecarboxamide, N-[(1S,2R)-2-amino-3-methoxy-1-(phenylmethyl)propyl]-2-[methyl[[(1S,2S)-2-methylcyclopropyl]methyl]amino]-6-[methyl(methylsulfonyl)amino]- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

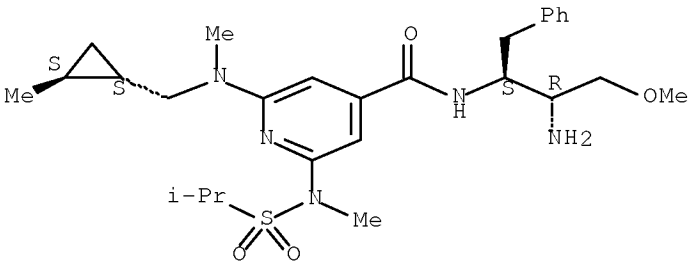
L14 ANSWER 2 OF 3 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2007:228885 HCAPLUS Full-text
DOCUMENT NUMBER: 146:462107
TITLE: Discovery and SAR of isonicotinamide BACE-1 inhibitors that bind β-secretase in a N-terminal 10s-loop down conformation
AUTHOR(S): Stauffer, Shaun R.; Stanton, Matthew G.; Gregro, Alison R.; Steinbeiser, Melissa A.; Shaffer, Jennifer R.; Nantermet, Philippe G.; Barrow, James C.; Rittle, Kenneth E.; Collusi, Dennis; Espeseth, Amy S.; Lai, Ming-Tain; Pietrak, Beth L.; Holloway, M. Katharine; McGaughey, Georgia B.; Munshi, Sanjeev K.; Hochman, Jerome H.; Simon, Adam J.; Selnick, Harold G.; Graham, Samuel L.; Vacca, Joseph P.
CORPORATE SOURCE: Department of Medicinal Chemistry, Merck Research Laboratories, West Point, PA, 19486, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2007), 17(6), 1788-1792
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 146:462107
AB A series of low-mol. weight 2,6-diamino-isonicotinamide BACE-1 inhibitors containing an amine transition-state isostere were synthesized and shown to be highly potent in both enzymic and cell-based assays. These inhibitors contain a trans-S,S-Me cyclopropane P3 which bind BACE-1 in a 10s-loop down conformation giving rise to highly potent compds. with favorable mol. weight and moderate to high susceptibility to P-glycoprotein (P-gp) efflux.
IT 860312-09-0P 860312-14-7P 860312-26-1P 935470-46-5P
RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation, BACE-1 inhibitory and SAR of isonicotinamides using amination of dichloropyridinecarboxylate with sulfonylamides and secondary amines

followed by amidation with primary amines as key steps)

RN 860312-09-0 HCAPLUS

CN 4-Pyridinecarboxamide, N-[(1S,2R)-2-amino-3-methoxy-1-(phenylmethyl)propyl]-2-[methyl[[(1S,2S)-2-methylcyclopropyl]methyl]amino]-6-[methyl[(1-methylethyl)sulfonyl]amino]- (CA INDEX NAME)

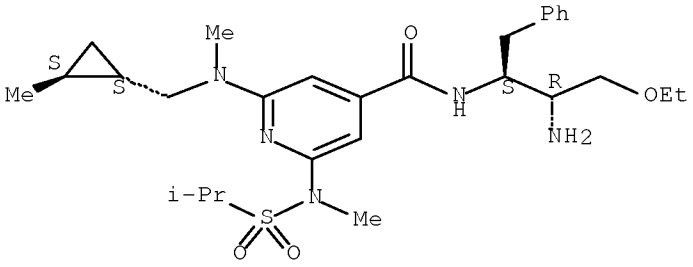
Absolute stereochemistry.



RN 860312-14-7 HCAPLUS

CN 4-Pyridinecarboxamide, N-[(1S,2R)-2-amino-3-ethoxy-1-(phenylmethyl)propyl]-2-[methyl[[(1S,2S)-2-methylcyclopropyl]methyl]amino]-6-[methyl[(1-methylethyl)sulfonyl]amino]- (CA INDEX NAME)

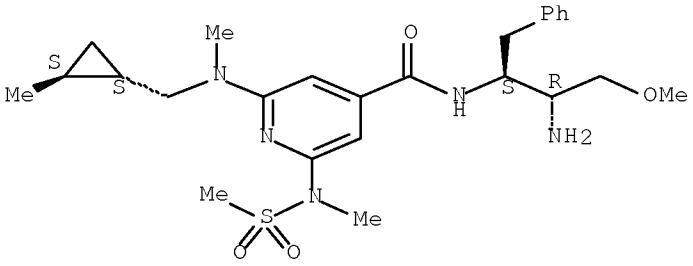
Absolute stereochemistry.



RN 860312-26-1 HCAPLUS

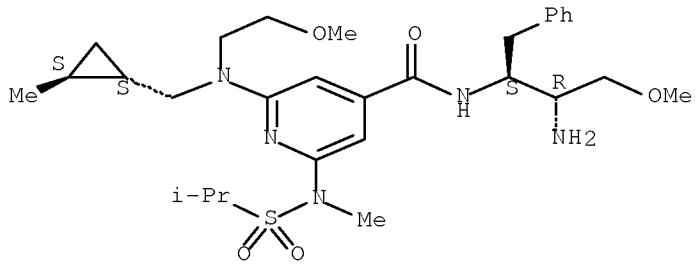
CN 4-Pyridinecarboxamide, N-[(1S,2R)-2-amino-3-methoxy-1-(phenylmethyl)propyl]-2-[methyl[[(1S,2S)-2-methylcyclopropyl]methyl]amino]-6-[methyl(methylsulfonyl)amino]- (CA INDEX NAME)

Absolute stereochemistry.



RN 935470-46-5 HCAPLUS
CN 4-Pyridinecarboxamide, N-[(1S,2R)-2-amino-3-methoxy-1-(phenylmethyl)propyl]-2-[(2-methoxyethyl)[[(1S,2S)-2-methylcyclopropyl]methyl]amino]-6-[methyl[(1-methylethyl)sulfonyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 3 OF 3 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:638626 HCAPLUS Full-text
DOCUMENT NUMBER: 143:153293
TITLE: Preparation of phenylamides and pyridylamides as β-secretase inhibitors
INVENTOR(S): Barrow, James C.; Coburn, Craig A.; Nantermet, Philippe G.; Selnick, Harold G.; Stachel, Shawn J.; Stanton, Matthew G.; Stauffer, Shaun R.; Zhuang, Linghang; Davis, Jennifer R.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 121 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005065195	A2	20050721	WO 2004-US42173	20041215
WO 2005065195	A3	20060406		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, SM
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2004311749	A1	20050721	AU 2004-311749		20041215
CA 2548849	A1	20050721	CA 2004-2548849		20041215
EP 1697308	A2	20060906	EP 2004-814367		20041215
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, BA, HR, IS, YU					
CN 1898199	A	20070117	CN 2004-80038063		20041215
JP 2007517781	T	20070705	JP 2006-545405		20041215
IN 2006DN02139	A	20070629	IN 2006-DN2139		20060419
US 20070142634	A1	20070621	US 2006-582856		20060614
PRIORITY APPLN. INFO.:			US 2003-531423P	P	20031219
			WO 2004-US42173	W	20041215

OTHER SOURCE(S): CASREACT 143:153293; MARPAT 143:153293
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

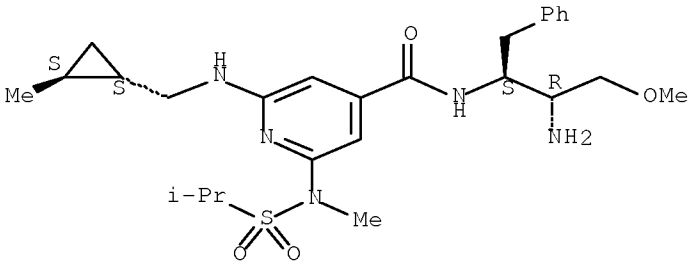
AB Title compds. I [Y = CH or N; Q1 = OH or NH2; Q2 and Q3 independently = H or halo; Ra = H, cycloalkyl, (un)substituted alkyl; Rb = H, (un)substituted alkyl, cycloalkyl, etc.; m = 1-2; R1 = (un)substituted aryl, heteroaryl, alkyl, etc.; R2 = (R4-SO2)N(R5); R3 = R6R7CHNHCO; R8R9NCO; R10R11N, etc.; R4 = (un)substituted alkyl, cycloalkyl, heteroaryl, etc.; R5 = H, (un)substituted alkyl, aryl, etc., or R4 and R5 together form sulfurheterocycle containing optionally one more nitrogen atom; R6 = alkyl or perfluoroalkyl; R7 = (un)substituted aryl or pyridyl; R8 and R9 independently = H, (un)substituted alkyl, cycloalkyl, or R8 and R9 together with the nitrogen atom to which they are attached form (un)substituted heterocycle; R10 = (un)substituted alkyl, cycloalkyl, -(CH2)x-Ph, etc.; x = 1-4; R11 = H, (un)substituted alkyl, cycloalkyl] and their pharmaceutically acceptable salts, are prepared and disclosed as β-secretase inhibitors. Thus, e.g., II was prepared by amidation of 2-{[(2-methylcyclopropyl)methyl]amino}-6-[methyl(methylsulfonyl)amino]isonicotinic acid (preparation given) with (2S,3S)-3-azido-1-phenylheptan-2-amine (preparation given) and subsequent reduction The activity of I was evaluated in a homogeneous end point fluorescence resonance energy transfer (FRET) assay and it was revealed that compds. of the invention generally had an inhibitory capability towards β-secretase enzyme with an IC50 value from about 1 nM to 100 μM. I as β-secretase inhibitors should prove useful in the treatment of Alzheimer's disease. Pharmaceutical compns. comprising I are disclosed.

IT 860312-31-8P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of phenylamides and pyridylamides as β-secretase inhibitors)

RN 860312-31-8 HCAPLUS

CN 4-Pyridinecarboxamide, N-[(1S,2R)-2-amino-3-methoxy-1-(phenylmethyl)propyl]-2-[[[(1S,2S)-2-methylcyclopropyl]methyl]amino]-6-[methyl[(1-methylethyl)sulfonyl]amino]- (CA INDEX NAME)

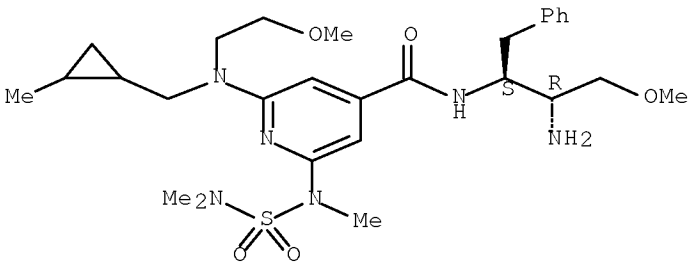
Absolute stereochemistry.



IT 860312-03-4P 860312-08-9P 860312-09-0P
860312-12-5P 860312-14-7P 860312-26-1P
860312-27-2P 860312-28-3P 860312-29-4P
860312-30-7P 860312-38-5P 860312-39-6P
860312-40-9P 860312-41-0P 860312-42-1P
860312-43-2P 860314-79-0P 860314-81-4P
860314-83-6P 860314-84-7P 860314-85-8P
860314-86-9P 860314-92-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of phenylamides and pyridylamides as β-secretase inhibitors)

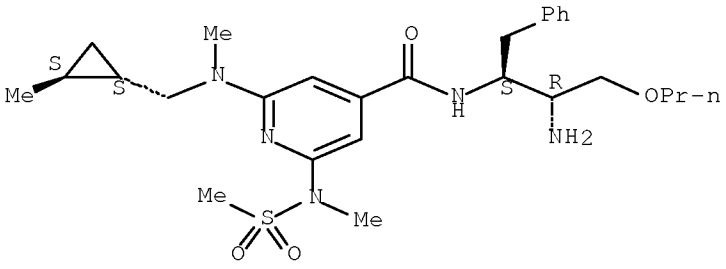
RN 860312-03-4 HCAPLUS
CN 4-Pyridinecarboxamide, N-[(1S,2R)-2-amino-3-methoxy-1-(phenylmethyl)propyl]-2-[[(dimethylamino)sulfonyl]methylamino]-6-[(2-methoxyethyl)[(2-methylcyclopropyl)methyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.



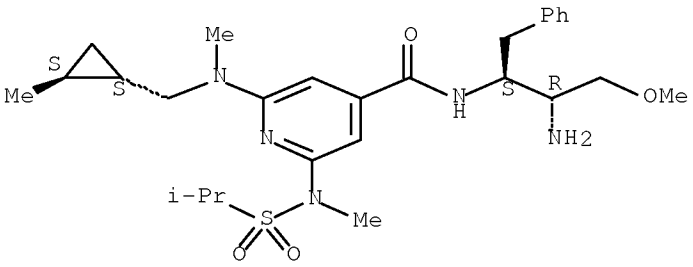
RN 860312-08-9 HCAPLUS
CN 4-Pyridinecarboxamide, N-[(1S,2R)-2-amino-1-(phenylmethyl)-3-propoxypropyl]-2-[methyl[[(1S,2S)-2-methylcyclopropyl]methyl]amino]-6-[methyl(methylsulfonyl)amino]- (CA INDEX NAME)

Absolute stereochemistry.



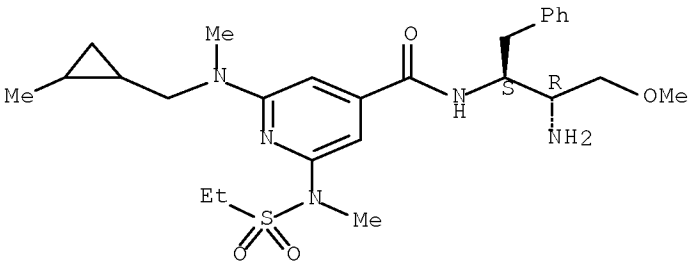
RN 860312-09-0 HCAPLUS
CN 4-Pyridinecarboxamide, N-[(1S,2R)-2-amino-3-methoxy-1-(phenylmethyl)propyl]-2-[methyl[[(1S,2S)-2-methylcyclopropyl]methyl]amino]-6-[methyl[(1-methylethyl)sulfonyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.



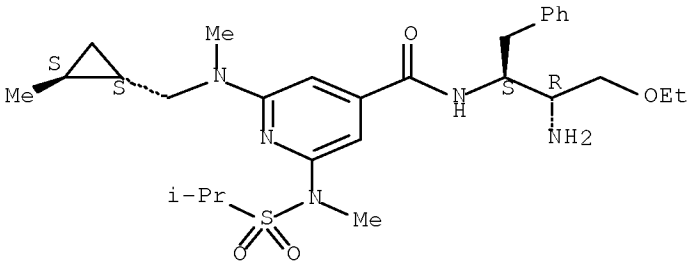
RN 860312-12-5 HCAPLUS
CN 4-Pyridinecarboxamide, N-[(1S,2R)-2-amino-3-methoxy-1-(phenylmethyl)propyl]-2-[(ethylsulfonyl)methylamino]-6-[methyl[(2-methylcyclopropyl)methyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.



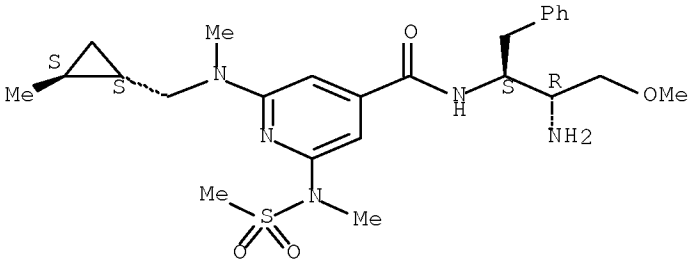
RN 860312-14-7 HCAPLUS
CN 4-Pyridinecarboxamide, N-[(1S,2R)-2-amino-3-ethoxy-1-(phenylmethyl)propyl]-2-[methyl[[(1S,2S)-2-methylcyclopropyl]methyl]amino]-6-[methyl[(1-methylethyl)sulfonyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.



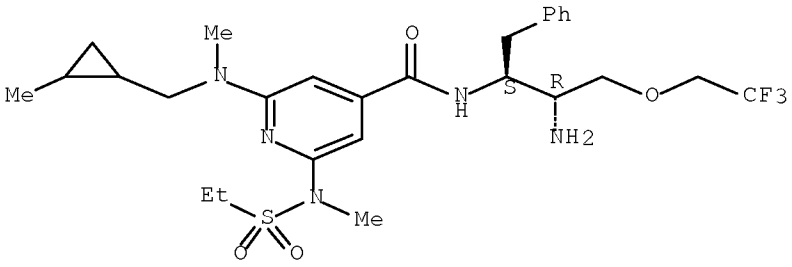
RN 860312-26-1 HCAPLUS
CN 4-Pyridinecarboxamide, N-[(1S,2R)-2-amino-3-methoxy-1-(phenylmethyl)propyl]-2-[methyl[[(1S,2S)-2-methylcyclopropyl]methyl]amino]-6-[methyl(methylsulfonyl)amino]- (CA INDEX NAME)

Absolute stereochemistry.



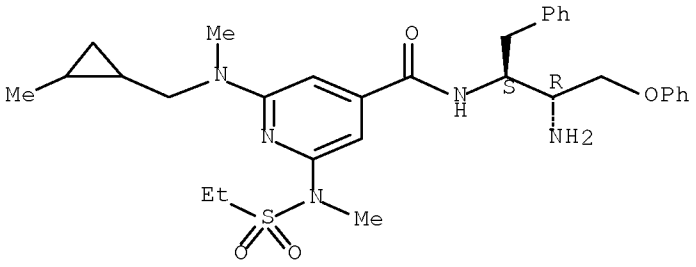
RN 860312-27-2 HCAPLUS
CN 4-Pyridinecarboxamide, N-[(1S,2R)-2-amino-1-(phenylmethyl)-3-(2,2,2-trifluoroethoxy)propyl]-2-[(ethylsulfonyl)methylamino]-6-[methyl[(2-methylcyclopropyl)methyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.



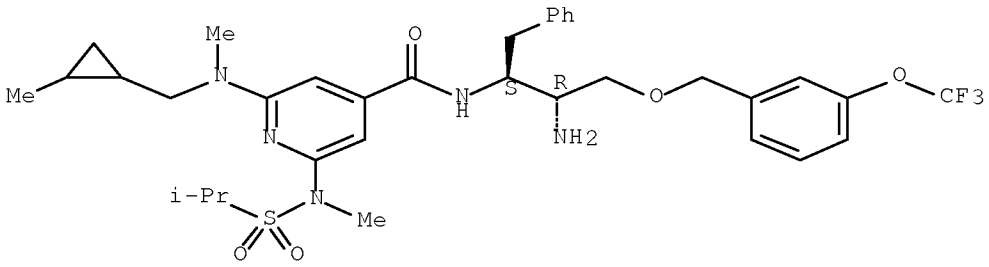
RN 860312-28-3 HCAPLUS
CN 4-Pyridinecarboxamide, N-[(1S,2R)-2-amino-3-phenoxy-1-(phenylmethyl)propyl]-2-[(ethylsulfonyl)methylamino]-6-[methyl[(2-methylcyclopropyl)methyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.



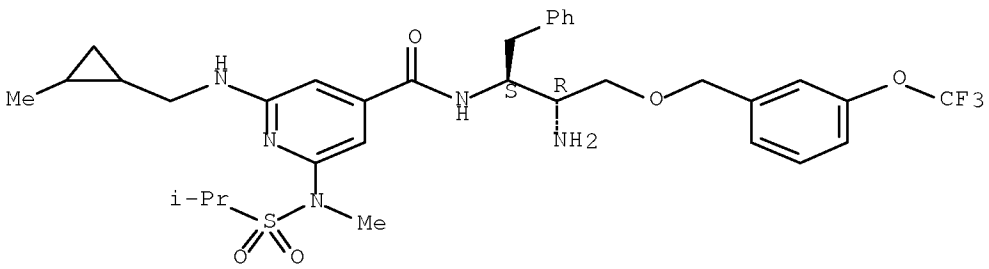
RN 860312-29-4 HCAPLUS
CN 4-Pyridinecarboxamide, N-[(1S,2R)-2-amino-1-(phenylmethyl)-3-[[3-(trifluoromethoxy)phenyl]methoxy]propyl]-2-[methyl[(2-methylcyclopropyl)methyl]amino]-6-[methyl[(1-methylethyl)sulfonyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.



RN 860312-30-7 HCAPLUS
CN 4-Pyridinecarboxamide, N-[(1S,2R)-2-amino-1-(phenylmethyl)-3-[[3-(trifluoromethoxy)phenyl]methoxy]propyl]-2-[[(2-methylcyclopropyl)methyl]amino]-6-[methyl[(1-methylethyl)sulfonyl]amino]- (CA INDEX NAME)

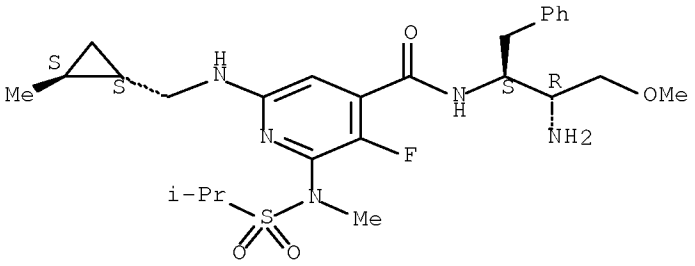
Absolute stereochemistry.



RN 860312-38-5 HCAPLUS
CN 4-Pyridinecarboxamide, N-[(1S,2R)-2-amino-3-methoxy-1-

(phenylmethyl)propyl]-3-fluoro-6-[[[(1S,2S)-2-methylcyclopropyl]methyl]amino]-2-[methyl[(1-methylethyl)sulfonyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.

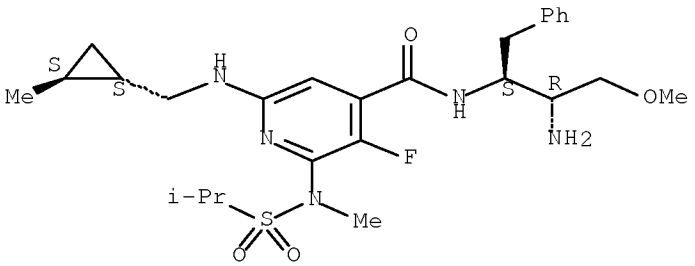


RN 860312-39-6 HCAPLUS
CN 4-Pyridinecarboxamide, N-[(1S,2R)-2-amino-3-methoxy-1-(phenylmethyl)propyl]-3-fluoro-6-[[[(1S,2S)-2-methylcyclopropyl]methyl]amino]-2-[methyl[(1-methylethyl)sulfonyl]amino]-, 2,2,2-trifluoroacetate (1:?) (CA INDEX NAME)

CM 1

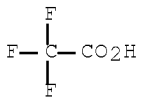
CRN 860312-38-5
CMF C26 H38 F N5 O4 S

Absolute stereochemistry.



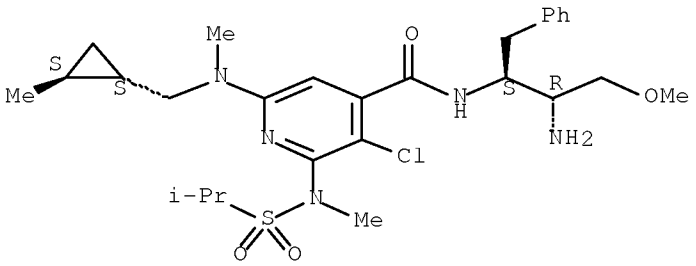
CM 2

CRN 76-05-1
CMF C2 H F3 O2



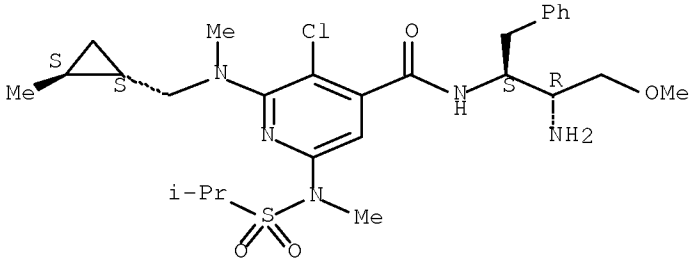
RN 860312-40-9 HCAPLUS
CN 4-Pyridinecarboxamide, N-[(1S,2R)-2-amino-3-methoxy-1-(phenylmethyl)propyl]-3-chloro-6-[methyl[[(1S,2S)-2-methylcyclopropyl]methyl]amino]-2-[methyl[(1-methylethyl)sulfonyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.



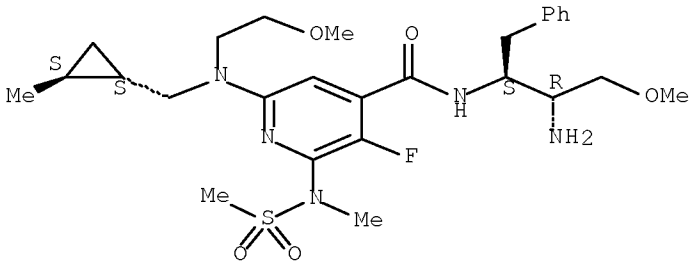
RN 860312-41-0 HCAPLUS
CN 4-Pyridinecarboxamide, N-[(1S,2R)-2-amino-3-methoxy-1-(phenylmethyl)propyl]-3-chloro-2-[methyl[[(1S,2S)-2-methylcyclopropyl]methyl]amino]-6-[methyl[(1-methylethyl)sulfonyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.



RN 860312-42-1 HCAPLUS
CN 4-Pyridinecarboxamide, N-[(1S,2R)-2-amino-3-methoxy-1-(phenylmethyl)propyl]-3-fluoro-6-[(2-methoxyethyl)[[(1S,2S)-2-methylcyclopropyl]methyl]amino]-2-[methyl(methylsulfonyl)amino]- (CA INDEX NAME)

Absolute stereochemistry.



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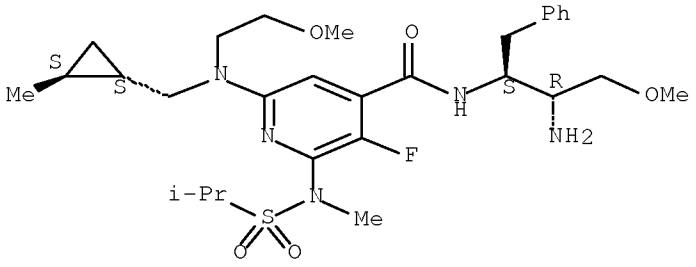
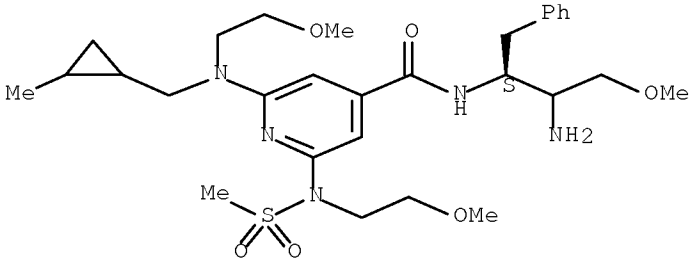
CN 4-Pyridinecarboxamide, N-[(1S,2R)-2-amino-3-methoxy-1-(phenylmethyl)propyl]-3-fluoro-6-[(2-methoxyethyl)[[(1S,2S)-2-methylcyclopropyl]methyl]amino]-2-[methyl[(1-methylethyl)sulfonyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.



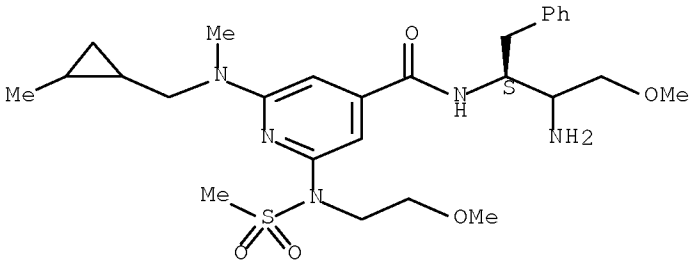
RN 860314-79-0 HCAPLUS
CN 4-Pyridinecarboxamide, N-[(1S)-2-amino-3-methoxy-1-(phenylmethyl)propyl]-2-[(2-methoxyethyl)[(2-methylcyclopropyl)methyl]amino]-6-[(2-methoxyethyl)(methylsulfonyl)amino]- (CA INDEX NAME)

Absolute stereochemistry.



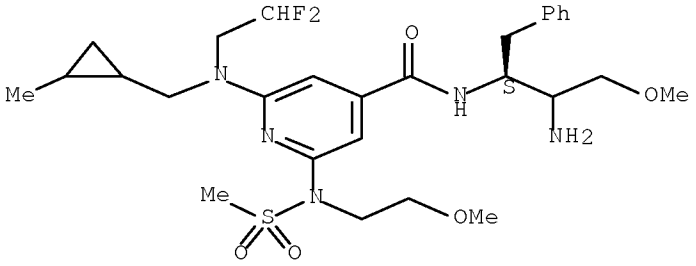
RN 860314-81-4 HCAPLUS
CN 4-Pyridinecarboxamide, N-[(1S)-2-amino-3-methoxy-1-(phenylmethyl)propyl]-2-[(2-methoxyethyl)(methylsulfonyl)amino]-6-[methyl[(2-methylcyclopropyl)methyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.



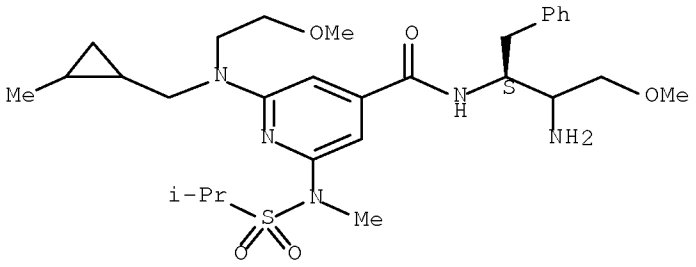
RN 860314-83-6 HCAPLUS
CN 4-Pyridinecarboxamide, N-[(1S)-2-amino-3-methoxy-1-(phenylmethyl)propyl]-2-[(2,2-difluoroethyl)[(2-methylcyclopropyl)methyl]amino]-6-[(2-methoxyethyl)(methylsulfonyl)amino]- (CA INDEX NAME)

Absolute stereochemistry.



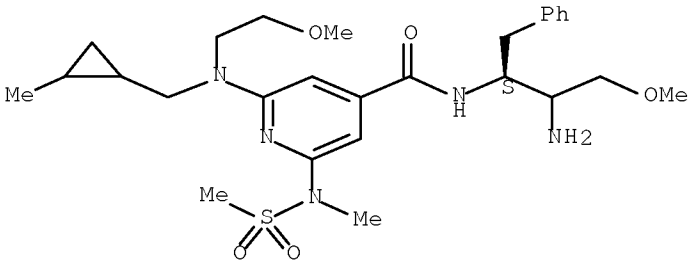
RN 860314-84-7 HCAPLUS
CN 4-Pyridinecarboxamide, N-[(1S)-2-amino-3-methoxy-1-(phenylmethyl)propyl]-2-[(2-methoxyethyl)[(2-methylcyclopropyl)methyl]amino]-6-[methyl[(1-methylethyl)sulfonyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.



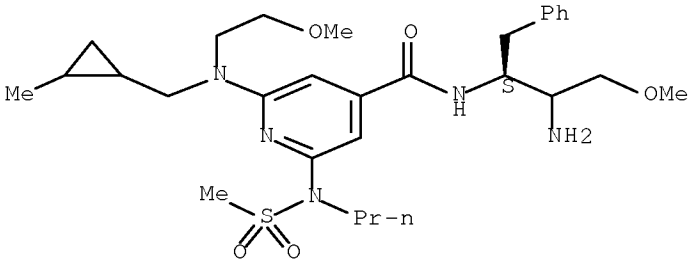
RN 860314-85-8 HCAPLUS
CN 4-Pyridinecarboxamide, N-[(1S)-2-amino-3-methoxy-1-(phenylmethyl)propyl]-2-[(2-methoxyethyl)[(2-methylcyclopropyl)methyl]amino]-6-[methyl(methylsulfonyl)amino]- (CA INDEX NAME)

Absolute stereochemistry.



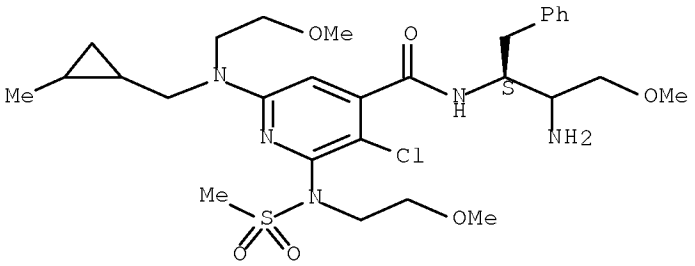
RN 860314-86-9 HCAPLUS
CN 4-Pyridinecarboxamide, N-[(1S)-2-amino-3-methoxy-1-(phenylmethyl)propyl]-2-[(2-methoxyethyl)[(2-methylcyclopropyl)methyl]amino]-6-[(methylsulfonyl)propylamino]- (CA INDEX NAME)

Absolute stereochemistry.



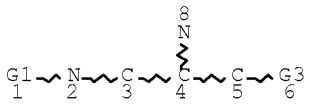
RN 860314-92-7 HCAPLUS
CN 4-Pyridinecarboxamide, N-[(1S)-2-amino-3-methoxy-1-(phenylmethyl)propyl]-3-chloro-6-[(2-methoxyethyl)[(2-methylcyclopropyl)methyl]amino]-2-[(2-methoxyethyl)(methylsulfonyl)amino]- (CA INDEX NAME)

Absolute stereochemistry.



=> => d stat que l23

L1 STR



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DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
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NUMBER OF NODES IS 7

STEREO ATTRIBUTES: NONE
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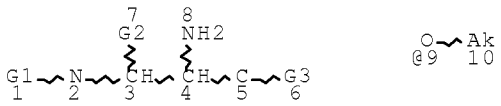

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STEREO ATTRIBUTES: NONE
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L6 113 SEA FILE=HCAPLUS ABB=ON PLU=ON L5 AND PD=<APRIL 09, 2005
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L8 51819 SEA FILE=HCAPLUS ABB=ON PLU=ON ("ALZHEIMER'S DISEASE"/CV OR "MENTAL DISORDER (L) ALZHEIMER'S DISEASE"/CV OR "ALZHEIMER DEMENTIA"/CV OR "ALZHEIMER DISEASE MENTAL DISORDER"/CV OR "ALZHEIMER'S DEMENTIA"/CV OR "ALZHEIMER'S DISEASE MENTAL DISORDER"/CV OR "ALZHEIMER'S SENILE DEMENTIA"/CV OR "ALZHEIMER-TYPE SENILE DEMENTIA"/CV OR "NONFAMILIAL ALZHEIMER'S DISEASE"/CV OR "PRESENILE ALZHEIMER'S DISEASE"/CV OR "PRESENILE ALZHEIMER-TYPE DEMENTIA"/CV) OR "ANTI-ALZHEIMER'S AGENTS"/CV OR ?ALZHEIM?
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                L22


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L23  ANSWER 1 OF 26  HCAPLUS  COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER:         2006:374223  HCAPLUS  Full-text
DOCUMENT NUMBER:          144:412501
TITLE:                    Preparation of 3(5)-acylaminopyrazole derivatives for
                          use as therapeutic agents, particularly antitumor
                          agents
INVENTOR(S):              Pevarello, Paolo; Orsini, Paolo; Traquandi, Gabriella;
                          Varasi, Mario; Fritzen, Edward L.; Warpehoski, Martha
                          A.; Pierce, Betsy S.; Brasca, Maria Grabriella
PATENT ASSIGNEE(S):       Pharmacia Italia S.p.A., Italy; Pharmacia & Upjohn
                          Company LLC
SOURCE:                   U.S., 41 pp., Cont.-in-part of U.S. Ser. No. 372,831,
                          abandoned.
                          CODEN: USXXAM
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   2
PATENT INFORMATION:

     PATENT NO.          KIND   DATE        APPLICATION NO.        DATE
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     US 7034049           B1    20060425    US 2002-48486          20020501
     WO 2001012189        A1    20010222    WO 2000-US6699         20000505
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             MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL,
             TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZW
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CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

US 6218418	B1	20010417	US 2000-667603	20000922
PRIORITY APPLN. INFO.:			US 1999-372831	B2 19990812
			WO 2000-US6699	W 20000505
			US 2000-560400	A1 20000428

OTHER SOURCE(S): MARPAT 144:412501

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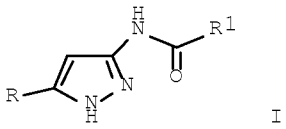

I

AB Compds. (e.g., N-(5-cyclopropyl-1H-pyrazol-3-yl)-2,2-diphenylacetamide) which are 3-amino-pyrazole derivs. represented by formula I (wherein R = C3-C6 cycloalkyl group optionally substituted by a straight or branched C1-C6 alkyl or arylalkyl group; R1 = a straight or branched C1-C6 alkyl, C2-C4 alkenyl, cycloalkyl, cycloalkenyl, heterocyclyl, aryl, arylalkyl, arylcarbonyl, aryloxyalkyl or arylalkenyl group, each of which may be optionally further substituted) are claimed. A process for preparing the 3-aminopyrazole derivs. comprises: (a) reacting RCO2R2 (R2 = alkyl), with MeCN in the presence of a basic agent, to obtain RC(O)CH2CN; (b) reacting RC(O)CH2CN with hydrazine hydrate to obtain an 3-amino-5-R-1H-pyrazole; (c) oxidizing the 3-amino-5-R-1H-pyrazole to obtain the nitro analog; (d) reacting the nitro compound with tert-butoxycarbonyl anhydride (Boc2O) to obtain the N-Boc derivative which was reduced; (e) reacting this amino compound with R1C(O)X (X = OH or a suitable leaving group) to obtain the N1-Boc-protected I; and (g) hydrolyzing this intermediate in an acidic medium to obtain I. The compds. are useful for the treatment of cancer, cell proliferative disorders, Alzheimer's disease, viral infections, auto-immune diseases or neurodegenerative diseases (no data is given). Pharmaceutical compns. containing I are also claimed.

REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 2 OF 26 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:252474 HCAPLUS Full-text

DOCUMENT NUMBER: 140:270632

TITLE: Preparation of ring-containing aminoether carboxamides as β-secretase inhibitors for treating Alzheimer's disease and other diseases characterized by deposition of Aβ-peptide

INVENTOR(S): Beck, James P.; Drowns, Matthew; Warpehoski, Martha A.

PATENT ASSIGNEE(S): Pharmacia & Upjohn, USA

SOURCE: PCT Int. Appl., 122 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004024675	A1	20040325	WO 2003-US28388	20030910

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,

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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2498269	A1	20040325	CA 2003-2498269		20030910
AU 2003273310	A1	20040430	AU 2003-273310		20030910
EP 1537072	A1	20050608	EP 2003-755809		20030910
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BR 2003014180	A	20050809	BR 2003-14180		20030910
JP 2005538177	T	20051215	JP 2004-536446		20030910
MX 2005PA02705	A	20050908	MX 2005-PA2705		20050310
US 20060194966	A1	20060831	US 2006-527294		20060222
PRIORITY APPLN. INFO.:			US 2002-409565P	P	20020910
			WO 2003-US28388	W	20030910

OTHER SOURCE(S): MARPAT 140:270632

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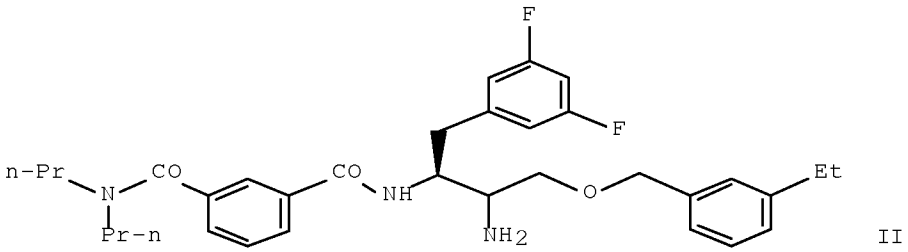

II

AB Disclosed are RnR20NCH(R1)CH(NH2)C(R2)(R3)-X-Rc (I; variables defined below; e.g. II). Compds. disclosed herein are inhibitors of the beta-secretase enzyme (no data) and are therefore useful in the treatment of Alzheimer's disease and other diseases characterized by deposition of A beta peptide in a mammal (no data). An unspecified method of preparation is claimed, a general method is disclosed and no example prepns. are included. For I: X is O, S, NR20, or NR20NR20; R20 is H, C1-6 alkyl or alkenyl, C1-6 haloalkyl or C4-7 cycloalkyl; R1 is -(CH2)1-2-S(O)0-2-(C1-C6 alkyl), C1-C10 alkyl, etc.;. Rc is H, -(CR245R250)0-4-aryl, -(CR245R250)0-4-heteroaryl, etc.; Rn is R'100, -SO2R'100, -(CRR')1-6R'100, -C(O)(CRR')0-6R100, etc.; R2, R3 = H, (un)substituted C1-C6 alkyl or R2, R3 and the C to which they are attached form a carbocycle of 3-7 C atoms, wherein one C atom is optionally replaced by a -O-, -S-, -SO2-, or -NRN-2; addnl. details are given in the claims.

IT 674809-33-7P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)oxy]propyl]-N,N-dipropylisophthalamide 674809-34-8P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)oxy]propyl]-5-methyl-N,N-dipropylisophthalamide 674809-35-9P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)oxy]propyl]-5-bromo-N,N-dipropylisophthalamide 674809-37-1P, N'-[(S)-2-Amino-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)oxy]propyl]-5-cyano-N,N-dipropylisophthalamide 674809-39-3P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)oxy]propyl]-5-

(1,3-oxazol-2-yl)-N,N-dipropylisophthalamide 674809-40-6P,
N'-[(S)-2-Amino-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)oxy]propyl]-N,N-dipropyl-5-(1,3-thiazol-2-yl)isophthalamide 674809-41-7P,
N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)oxy]propyl]-5-ethynyl-N,N-dipropylisophthalamide 674809-43-9P,
N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)oxy]propyl]-5-ethyl-N,N-dipropylisophthalamide 674809-45-1P,
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N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)oxy]propyl]-5-[(dimethylamino)methyl]-N,N-dipropylisophthalamide 674809-48-4P,
N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[(3-ethynylbenzyl)oxy]propyl]-5-(1,3-oxazol-2-yl)-N,N-dipropylisophthalamide 674809-50-8P,
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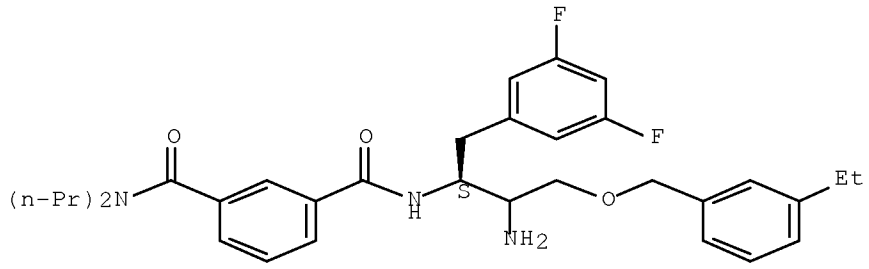
N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[[1-(3-ethynylphenyl)cyclopropyl]oxy]propyl]-5-methyl-N,N-dipropylisophthalamide 674809-63-3P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[[1-[3-(trifluoromethyl)phenyl]cyclopropyl]oxy]propyl]-5-(1,3-oxazol-2-yl)-N,N-dipropylisophthalamide 674809-64-4P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[[1-[3-(trifluoromethyl)phenyl]cyclopropyl]oxy]propyl]-5-methyl-N,N-dipropylisophthalamide 674809-65-5P,
N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[[1-(3-isopropylphenyl)cyclopropyl]oxy]propyl]-5-(1,3-oxazol-2-yl)-N,N-dipropylisophthalamide 674809-67-7P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[[1-(3-isopropylphenyl)cyclopropyl]oxy]propyl]-5-methyl-N,N-dipropylisophthalamide 674809-69-9P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[[1-(3-methoxyphenyl)cyclopropyl]oxy]propyl]-5-(1,3-oxazol-2-yl)-N,N-dipropylisophthalamide 674809-71-3P,
N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[[1-(3-methoxyphenyl)cyclopropyl]oxy]propyl]-5-methyl-N,N-dipropylisophthalamide 674809-72-4P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[[1-(3-ethylphenyl)cyclopropyl]oxy]propyl]-5-(1,3-oxazol-2-yl)-N,N-dipropylisophthalamide 674809-74-6P,
N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[[1-(3-ethylphenyl)cyclopropyl]oxy]propyl]-5-methyl-N,N-dipropylisophthalamide 674809-75-7P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)oxy]propyl]-6-(1,3-oxazol-2-yl)-N,N-dipropylpyridine-2,4-dicarboxamide 674809-77-9P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)oxy]propyl]-6-methyl-N,N-dipropylpyridine-2,4-dicarboxamide 674809-79-1P,
N-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)oxy]propyl]-6-(1,3-oxazol-2-yl)-N',N'-dipropylpyridine-2,4-dicarboxamide

674809-81-5P, N-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)oxy]propyl]-6-methyl-N',N'-dipropylpyridine-2,4-dicarboxamide 674809-82-6P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)oxy]propyl]-N-ethyl-5-(1,3-oxazol-2-yl)-N-propylisophthalamide 674809-84-8P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)oxy]propyl]-N-ethyl-5-methyl-N-propylisophthalamide 674809-85-9P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)oxy]propyl]-N-butyl-N-methyl-5-(1,3-oxazol-2-yl)isophthalamide 674809-87-1P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)oxy]propyl]-N-butyl-N,5-dimethylisophthalamide 674809-88-2P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[(6-ethylpyridin-2-yl)methoxy]propyl]-5-(1,3-oxazol-2-yl)-N,N-dipropylisophthalamide 674809-90-6P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[(6-ethylpyridin-2-yl)methoxy]propyl]-5-methyl-N,N-dipropylisophthalamide 674809-91-7P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[(4-ethylpyridin-2-yl)methoxy]propyl]-5-(1,3-oxazol-2-yl)-N,N-dipropylisophthalamide 674809-93-9P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[(4-ethylpyridin-2-yl)methoxy]propyl]-5-methyl-N,N-dipropylisophthalamide 674809-95-1P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[(4-ethylpyrimidin-2-yl)methoxy]propyl]-5-(1,3-oxazol-2-yl)-N,N-dipropylisophthalamide 674809-96-2P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[(4-ethylpyrimidin-2-yl)methoxy]propyl]-5-methyl-N,N-dipropylisophthalamide 674809-98-4P, N'-[(1S)-2-Amino-3-butoxy-1-(3,5-difluorobenzyl)propyl]-5-(1,3-oxazol-2-yl)-N,N-dipropylisophthalamide 674809-99-5P, N'-[(1S)-2-Amino-3-butoxy-1-(3,5-difluorobenzyl)propyl]-5-methyl-N,N-dipropylisophthalamide 674810-01-6P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-(3-methylbutoxy)propyl]-5-(1,3-oxazol-2-yl)-N,N-dipropylisophthalamide 674810-03-8P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-(3-methylbutoxy)propyl]-5-methyl-N,N-dipropylisophthalamide 674810-04-9P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-propoxypropyl]-5-(1,3-oxazol-2-yl)-N,N-dipropylisophthalamide 674810-05-0P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-propoxypropyl]-5-methyl-N,N-dipropylisophthalamide 674810-07-2P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-isobutoxypropyl]-5-(1,3-oxazol-2-yl)-N,N-dipropylisophthalamide 674810-08-3P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-isobutoxypropyl]-5-methyl-N,N-dipropylisophthalamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of ring-containing aminoether carboxamides as β-secretase inhibitors for treating Alzheimer's disease and other diseases characterized by deposition of Aβ-peptide)

RN 674809-33-7 HCAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[(3-ethylphenyl)methoxy]propyl]-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



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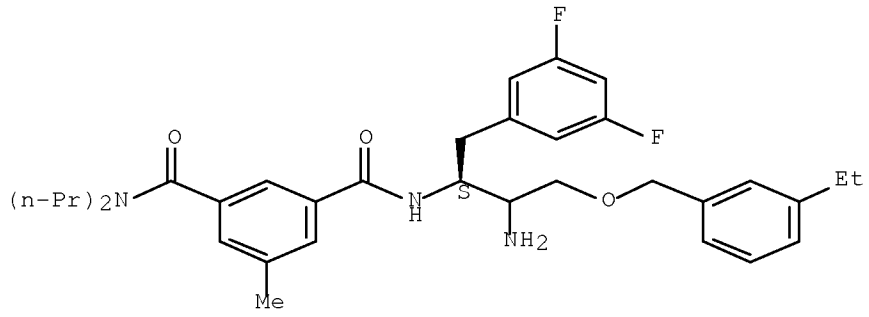
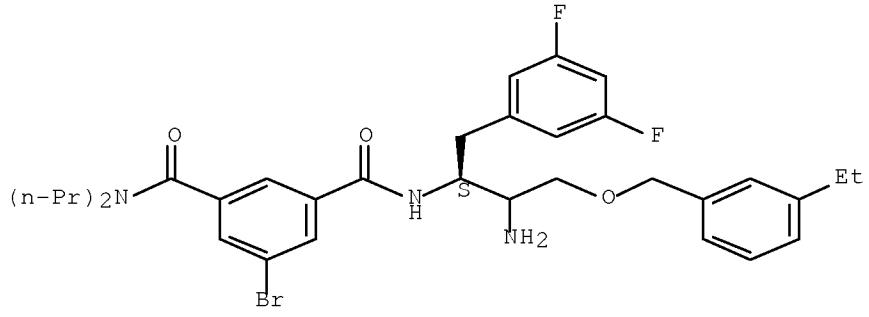
CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[(3-ethylphenyl)methoxy]propyl]-5-methyl-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



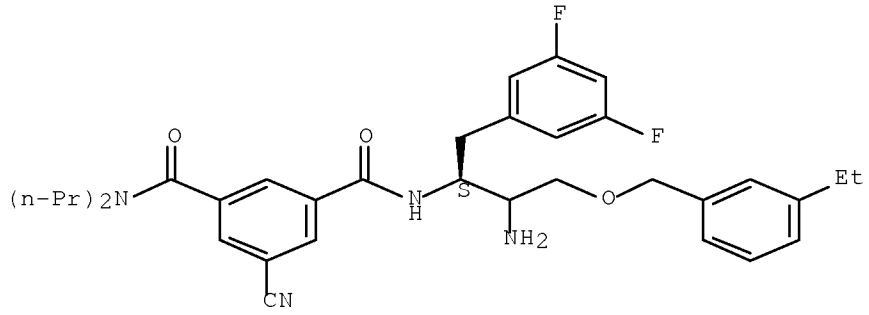
RN 674809-35-9 HCAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[(3-ethylphenyl)methoxy]propyl]-5-bromo-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



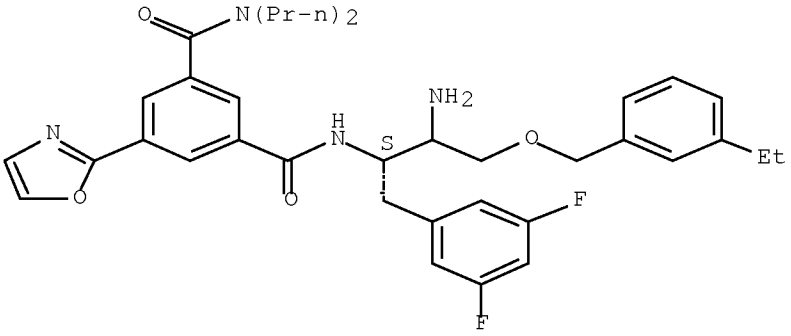
RN 674809-37-1 HCAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[(3-ethylphenyl)methoxy]propyl]-5-cyano-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



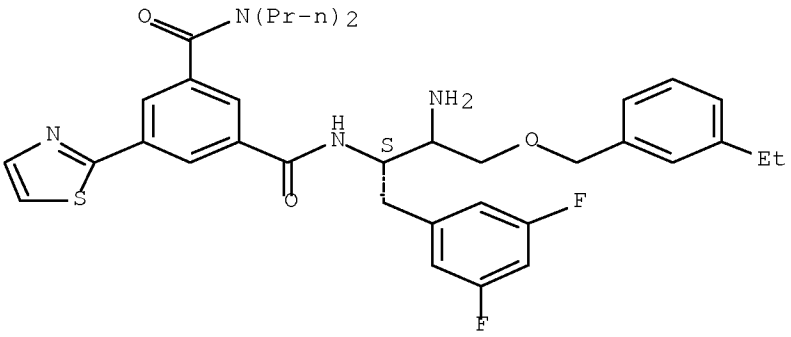
RN 674809-39-3 HCAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[(3-ethylphenyl)methoxy]propyl]-5-(2-oxazolyl)-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



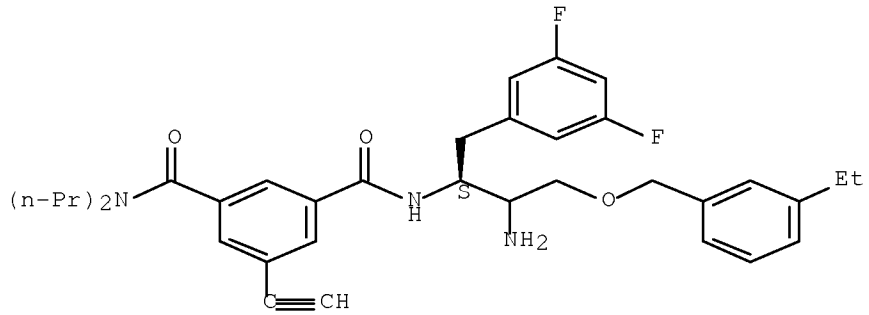
RN 674809-40-6 HCAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[(3-ethylphenyl)methoxy]propyl]-N1,N1-dipropyl-5-(2-thiazolyl)- (CA INDEX NAME)

Absolute stereochemistry.



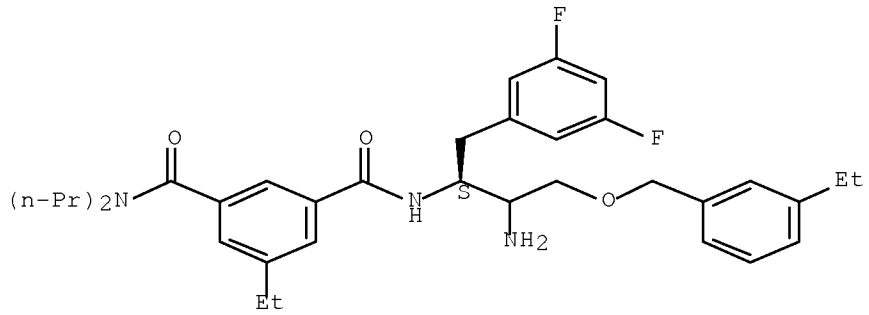
RN 674809-41-7 HCAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[(3-ethylphenyl)methoxy]propyl]-5-ethynyl-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



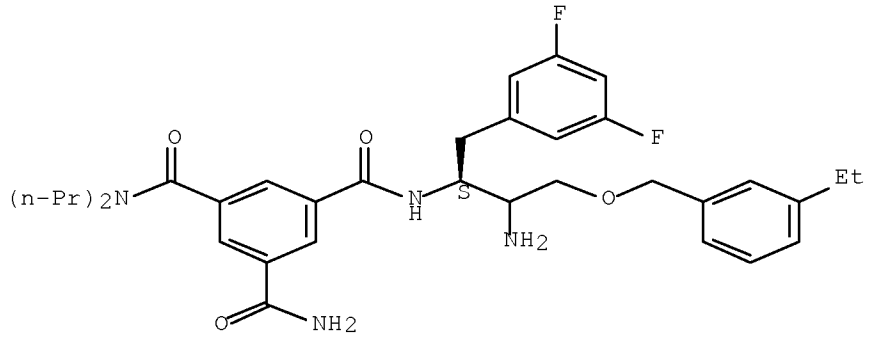
RN 674809-43-9 HCAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[(3-ethylphenyl)methoxy]propyl]-5-ethyl-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



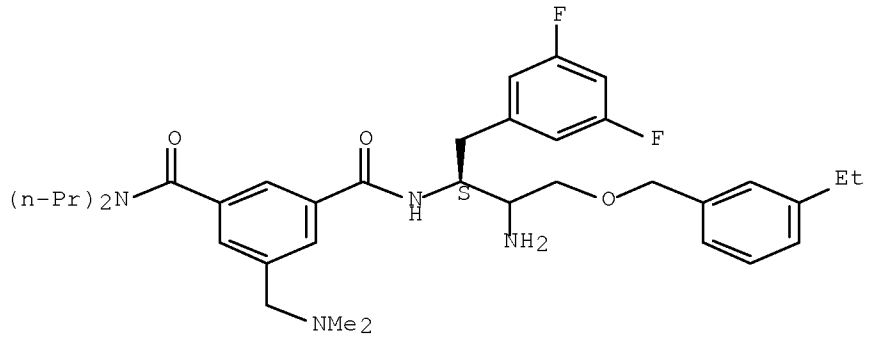
RN 674809-45-1 HCAPLUS
CN 1,3,5-Benzenetricarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[(3-ethylphenyl)methoxy]propyl]-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



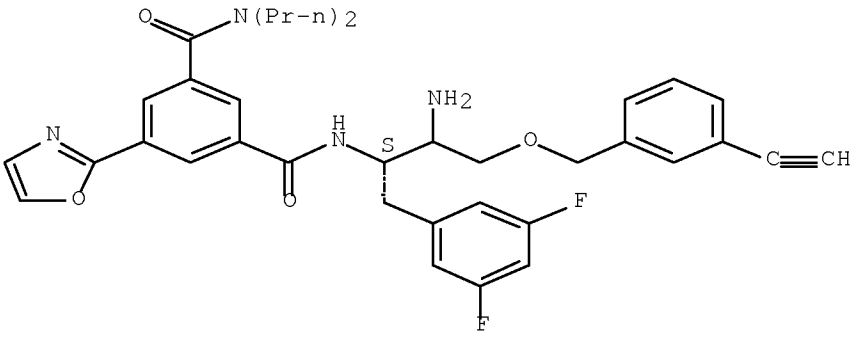
RN 674809-47-3 HCAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[(3-ethylphenyl)methoxy]propyl]-5-[(dimethylamino)methyl]-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



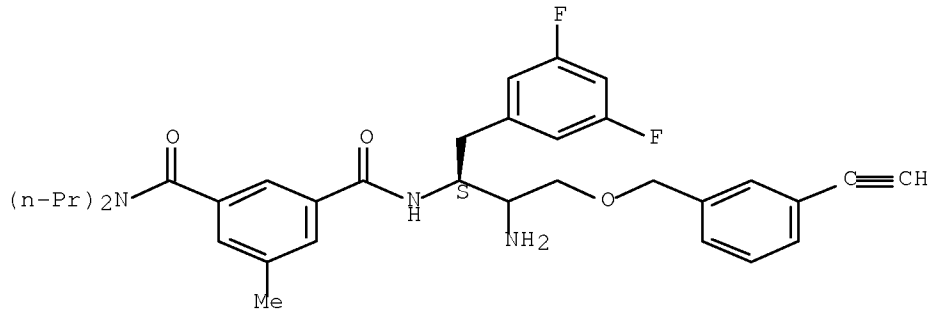
RN 674809-48-4 HCAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[(3-ethynylphenyl)methoxy]propyl]-5-(2-oxazolyl)-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



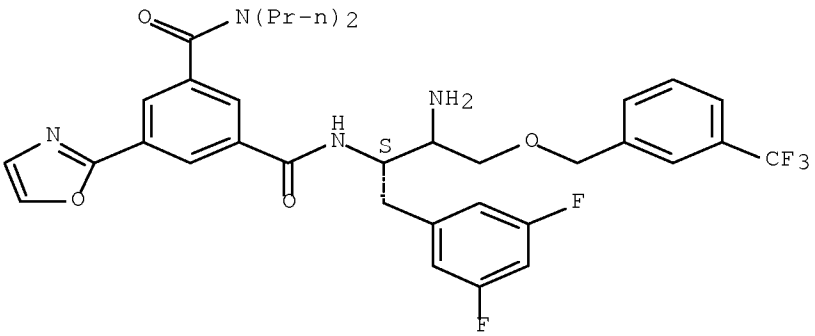
RN 674809-50-8 HCAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[(3-ethynylphenyl)methoxy]propyl]-5-methyl-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



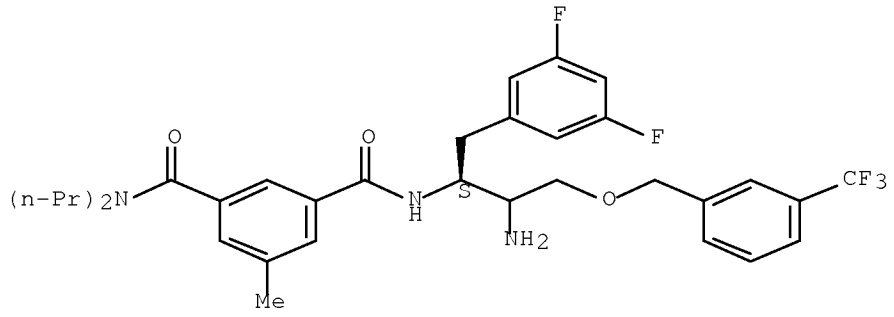
RN 674809-51-9 HCAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[[3-(trifluoromethyl)phenyl]methoxy]propyl]-5-(2-oxazolyl)-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



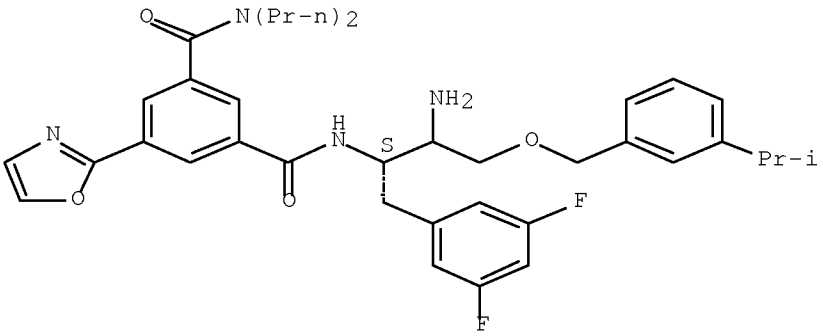
RN 674809-52-0 HCAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[[3-(trifluoromethyl)phenyl]methoxy]propyl]-5-methyl-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



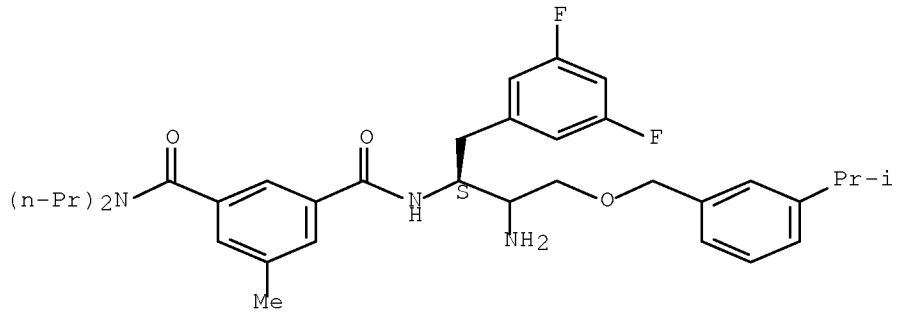
RN 674809-54-2 HCAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[[3-(1-methylethyl)phenyl]methoxy]propyl]-5-(2-oxazolyl)-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



RN 674809-56-4 HCAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[[3-(1-methylethyl)phenyl]methoxy]propyl]-5-methyl-N1,N1-dipropyl- (CA INDEX NAME)

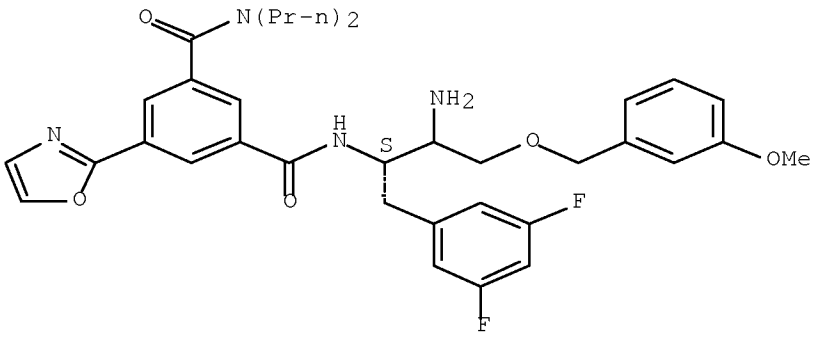
Absolute stereochemistry.



RN 674809-58-6 HCAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-

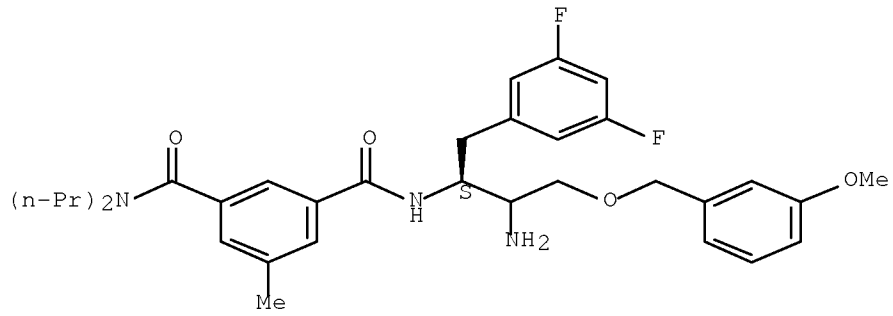
3-[(3-methoxyphenyl)methoxy]propyl]-5-(2-oxazolyl)-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



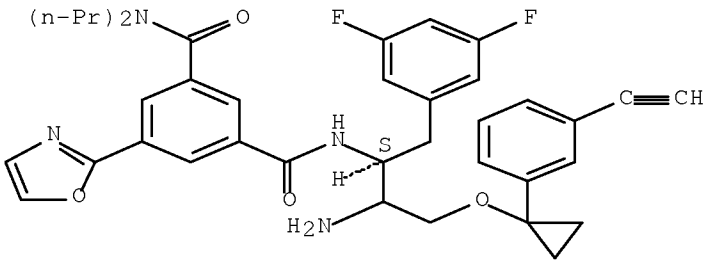
RN 674809-59-7 HCAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[(3-methoxyphenyl)methoxy]propyl]-5-methyl-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



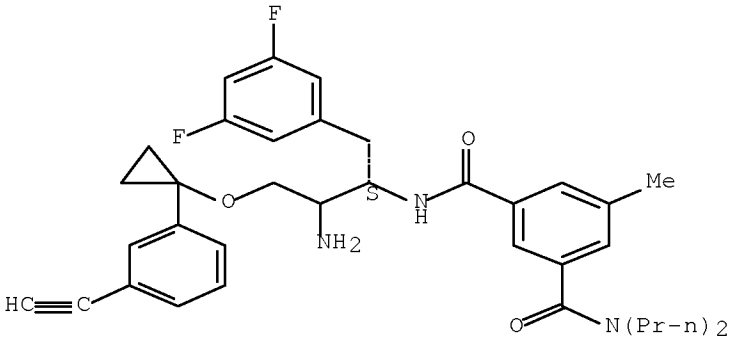
RN 674809-60-0 HCAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[[1-(3-ethynylphenyl)cyclopropyl]oxy]propyl]-5-(2-oxazolyl)-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



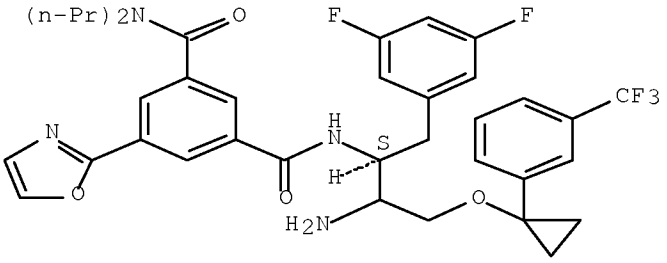
RN 674809-61-1 HCAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[[1-(3-ethynylphenyl)cyclopropyl]oxy]propyl]-5-methyl-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



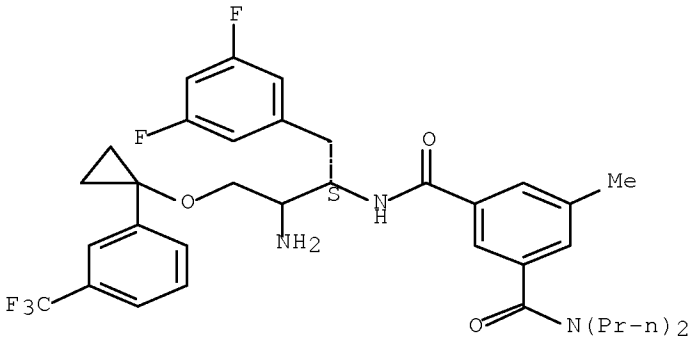
RN 674809-63-3 HCAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[[1-[3-(trifluoromethyl)phenyl]cyclopropyl]oxy]propyl]-5-(2-oxazolyl)-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



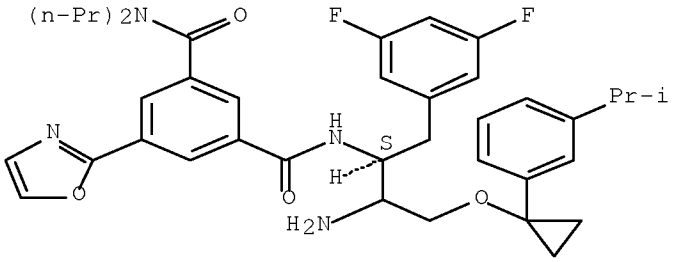
RN 674809-64-4 HCAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[[1-[3-(trifluoromethyl)phenyl]cyclopropyl]oxy]propyl]-5-methyl-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



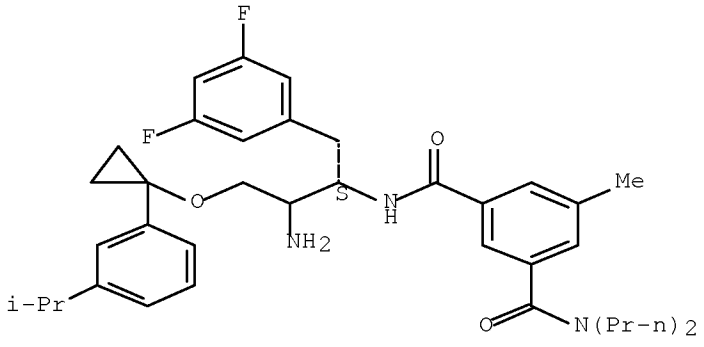
RN 674809-65-5 HCAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[[1-[3-(1-methylethyl)phenyl]cyclopropyl]oxy]propyl]-5-(2-oxazolyl)-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



RN 674809-67-7 HCAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[[1-[3-(1-methylethyl)phenyl]cyclopropyl]oxy]propyl]-5-methyl-N1,N1-dipropyl- (CA INDEX NAME)

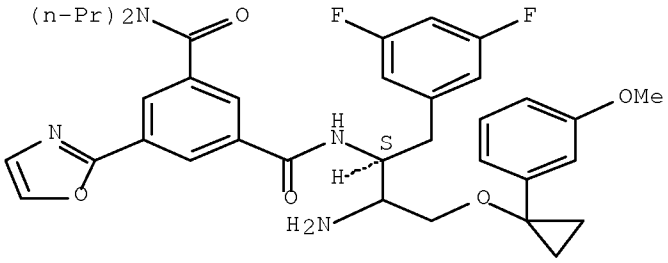
Absolute stereochemistry.



RN 674809-69-9 HCAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-

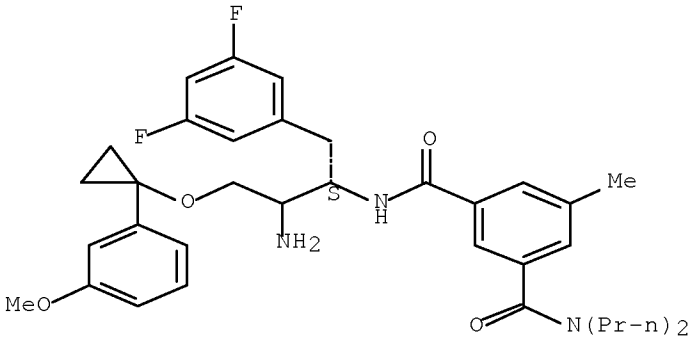
3-[[1-(3-methoxyphenyl)cyclopropyl]oxy]propyl]-5-(2-oxazolyl)-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



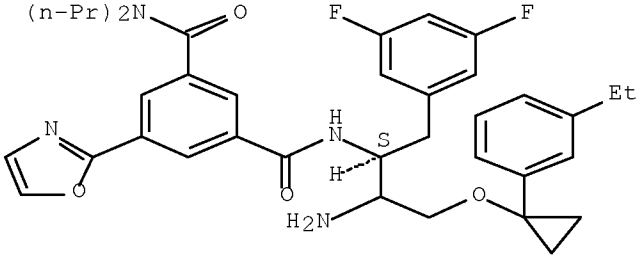
RN 674809-71-3 HCAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[[1-(3-methoxyphenyl)cyclopropyl]oxy]propyl]-5-methyl-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



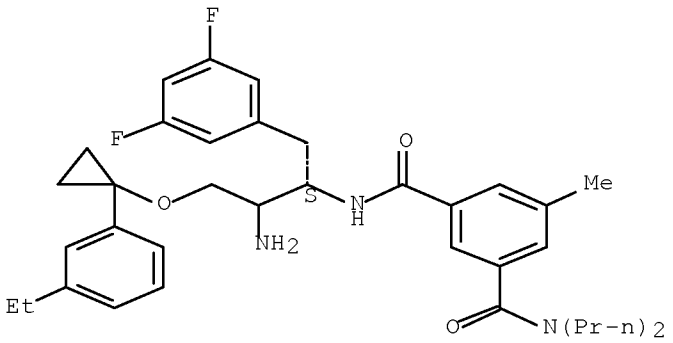
RN 674809-72-4 HCAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[[1-(3-ethylphenyl)cyclopropyl]oxy]propyl]-5-(2-oxazolyl)-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



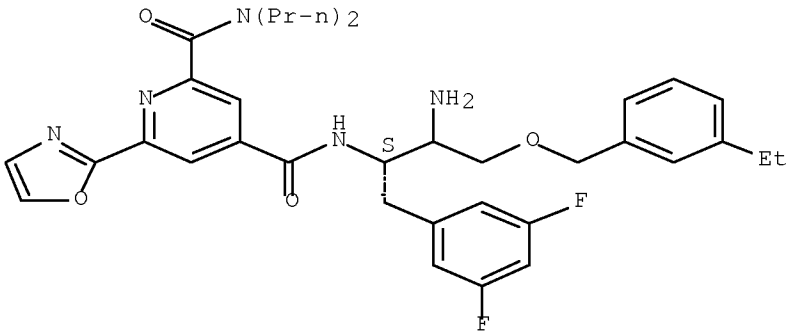
RN 674809-74-6 HCAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[[1-(3-ethylphenyl)cyclopropyl]oxy]propyl]-5-methyl-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



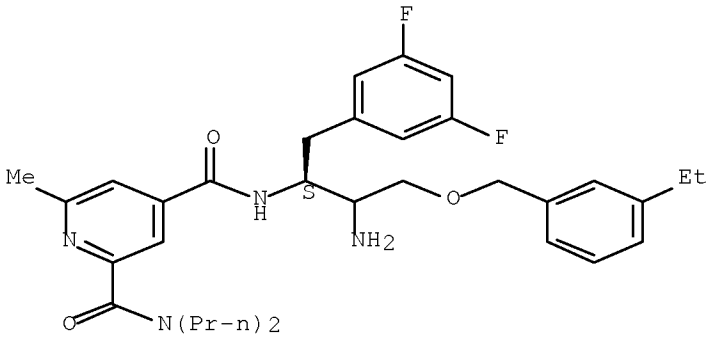
RN 674809-75-7 HCAPLUS
CN 2,4-Pyridinedicarboxamide, N4-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[(3-ethylphenyl)methoxy]propyl]-6-(2-oxazolyl)-N2,N2-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



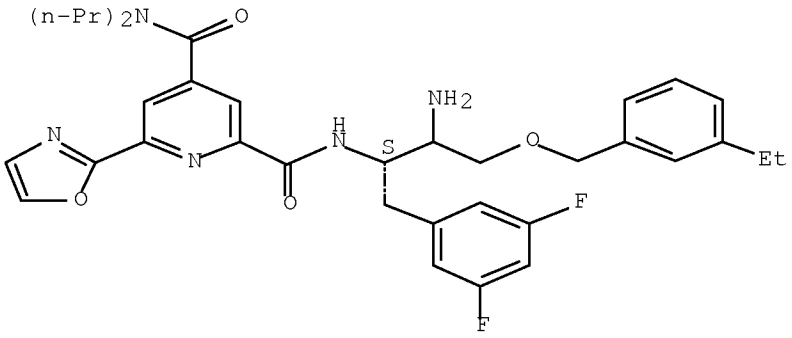
RN 674809-77-9 HCAPLUS
CN 2,4-Pyridinedicarboxamide, N4-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[(3-ethylphenyl)methoxy]propyl]-6-methyl-N2,N2-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



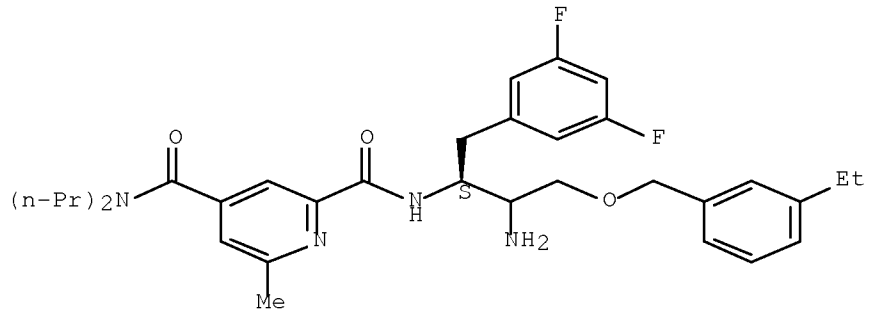
RN 674809-79-1 HCAPLUS
CN 2,4-Pyridinedicarboxamide, N2-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[(3-ethylphenyl)methoxy]propyl]-6-(2-oxazolyl)-N4,N4-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



RN 674809-81-5 HCAPLUS
CN 2,4-Pyridinedicarboxamide, N2-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[(3-ethylphenyl)methoxy]propyl]-6-methyl-N4,N4-dipropyl- (CA INDEX NAME)

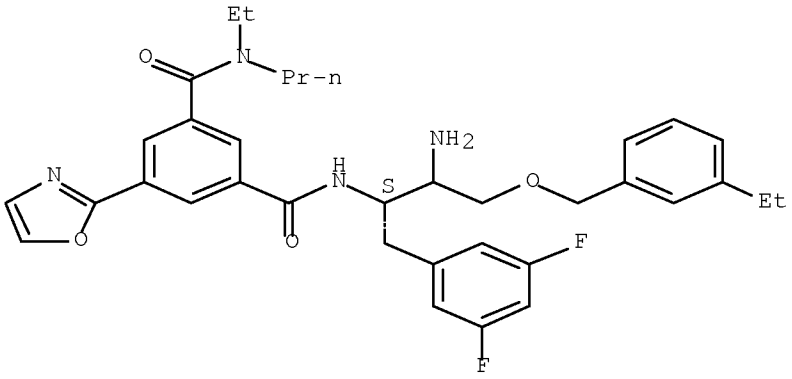
Absolute stereochemistry.



RN 674809-82-6 HCAPLUS

CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[(3-ethylphenyl)methoxy]propyl]-N1-ethyl-5-(2-oxazolyl)-N1-propyl- (CA INDEX NAME)

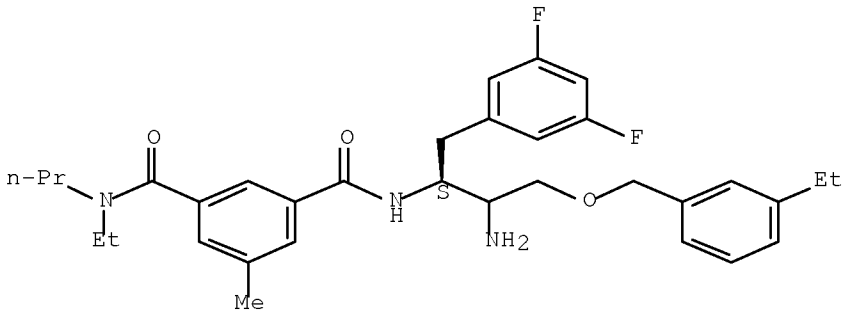
Absolute stereochemistry.



RN 674809-84-8 HCAPLUS

CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[(3-ethylphenyl)methoxy]propyl]-N1-ethyl-5-methyl-N1-propyl- (CA INDEX NAME)

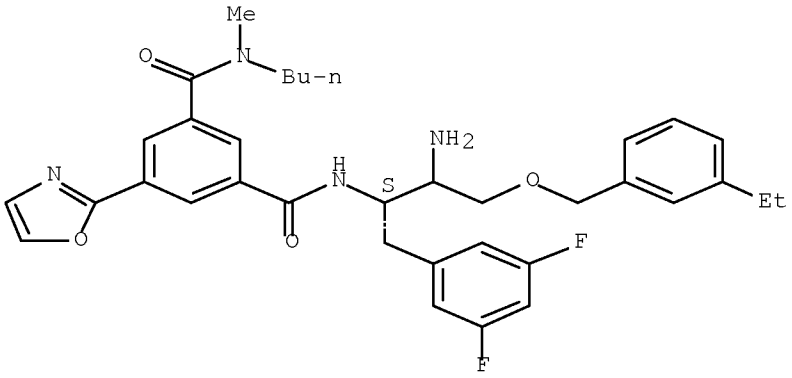
Absolute stereochemistry.



RN 674809-85-9 HCAPLUS

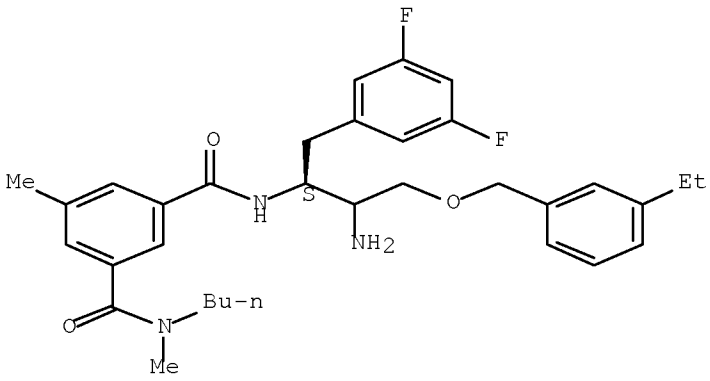
CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[(3-ethylphenyl)methoxy]propyl]-N1-butyl-N1-methyl-5-(2-oxazolyl)- (CA INDEX NAME)

Absolute stereochemistry.



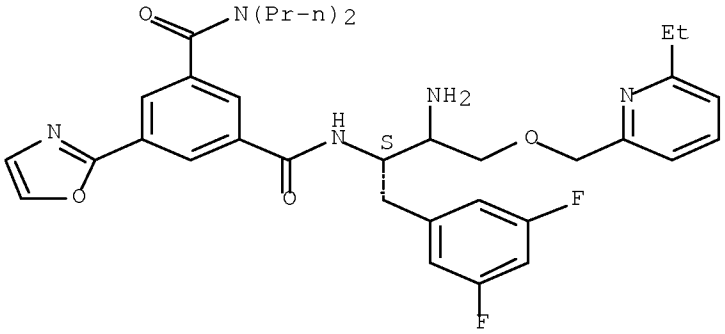
RN 674809-87-1 HCAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[(3-ethylphenyl)methoxy]propyl]-N1-butyl-N1,5-dimethyl- (CA INDEX NAME)

Absolute stereochemistry.



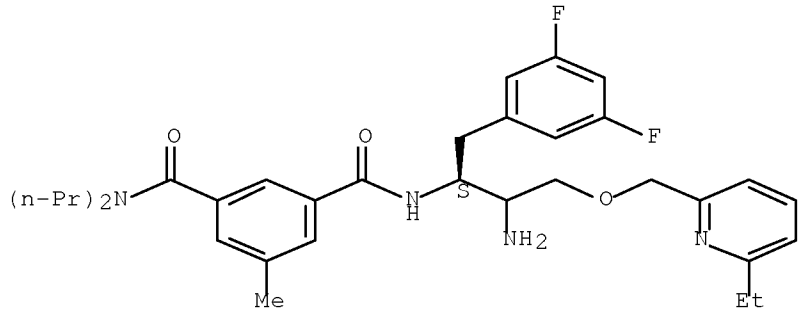
RN 674809-88-2 HCAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[(6-ethyl-2-pyridinyl)methoxy]propyl]-5-(2-oxazolyl)-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



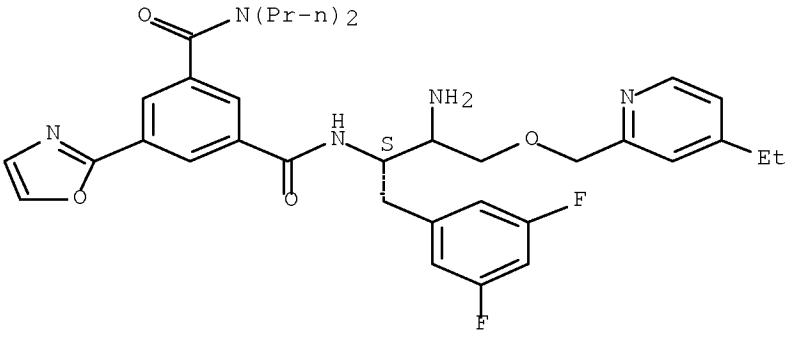
RN 674809-90-6 HCAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[(6-ethyl-2-pyridinyl)methoxy]propyl]-5-methyl-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



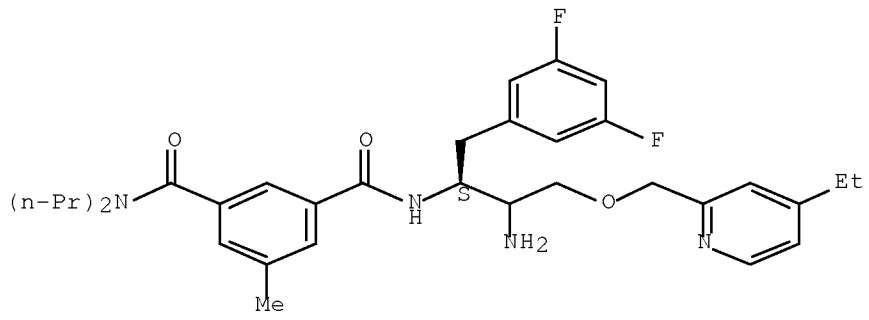
RN 674809-91-7 HCAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[(4-ethyl-2-pyridinyl)methoxy]propyl]-5-(2-oxazolyl)-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



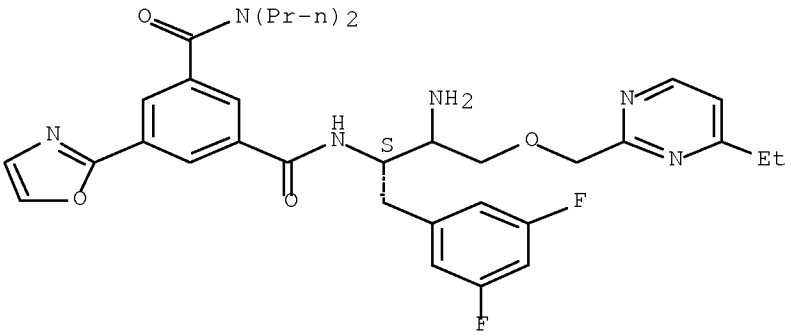
RN 674809-93-9 HCAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[(4-ethyl-2-pyridinyl)methoxy]propyl]-5-methyl-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



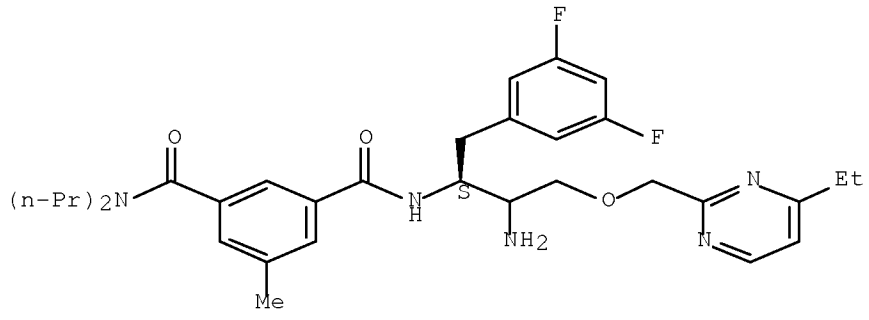
RN 674809-95-1 HCAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[(4-ethyl-2-pyrimidinyl)methoxy]propyl]-5-(2-oxazolyl)-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



RN 674809-96-2 HCAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[(4-ethyl-2-pyrimidinyl)methoxy]propyl]-5-methyl-N1,N1-dipropyl- (CA INDEX NAME)

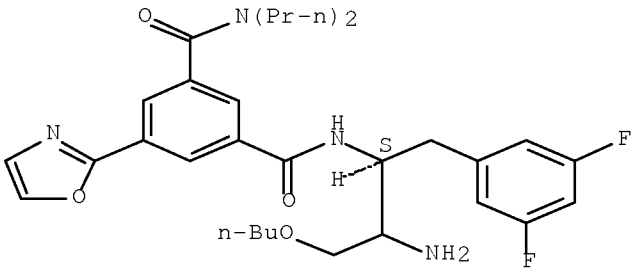
Absolute stereochemistry.



RN 674809-98-4 HCAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-3-butoxy-1-[(3,5-

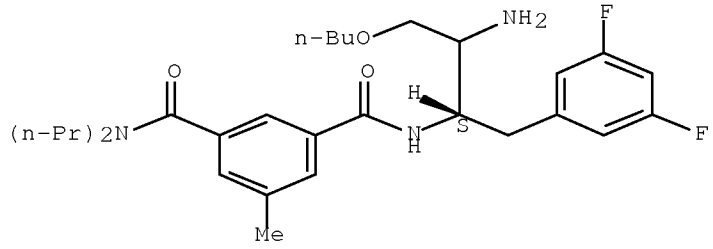
difluorophenyl)methyl]propyl]-5-(2-oxazolyl)-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



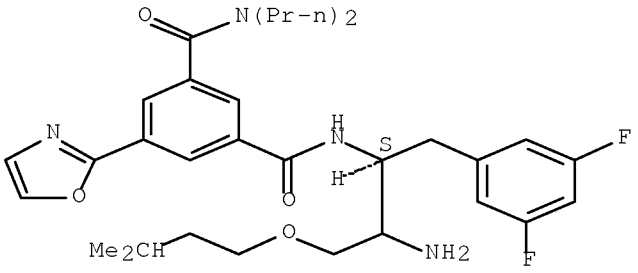
RN 674809-99-5 HCAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-3-butoxy-1-[(3,5-difluorophenyl)methyl]propyl]-5-methyl-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



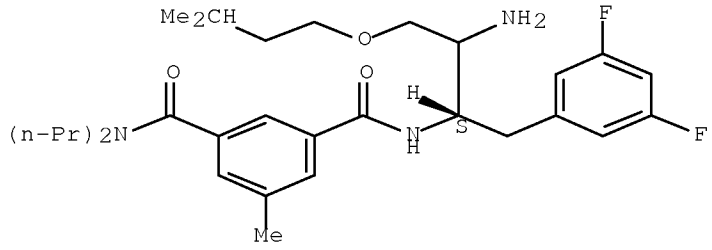
RN 674810-01-6 HCAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-(3-methylbutoxy)propyl]-5-(2-oxazolyl)-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



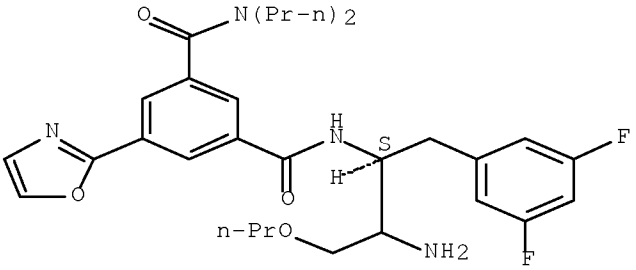
RN 674810-03-8 HCAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-(3-methylbutoxy)propyl]-5-methyl-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



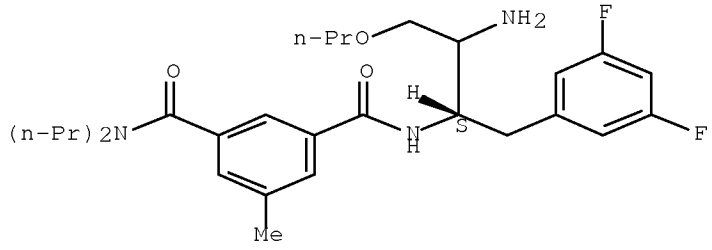
RN 674810-04-9 HCAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-propoxypropyl]-5-(2-oxazolyl)-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



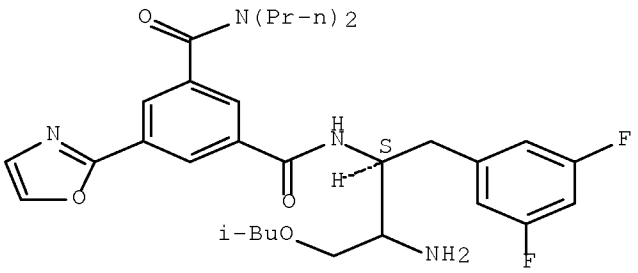
RN 674810-05-0 HCAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-propoxypropyl]-5-methyl-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



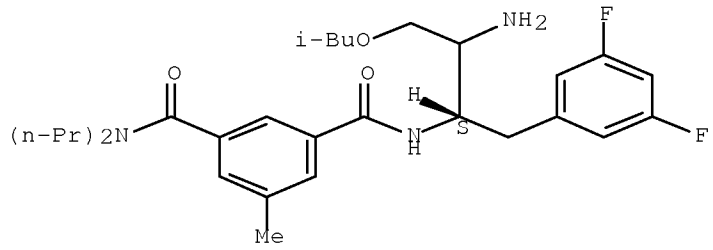
RN 674810-07-2 HCAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-(2-methylpropoxy)propyl]-5-(2-oxazolyl)-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



RN 674810-08-3 HCAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-(2-methylpropoxy)propyl]-5-methyl-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 3 OF 26 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:162467 HCAPLUS Full-text
DOCUMENT NUMBER: 140:217390
TITLE: Preparation of hydroxypropyl benzamides as β-secretase inhibitors for the treatment of Alzheimer's disease
INVENTOR(S): Tucker, John A.; Sherer, Brian A.; Xu, Ying Zi; Brogley, Louis; Pulley, Shon R.; Jacobs, Jon S.; Beck, James P.; John, Varghese
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 66 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

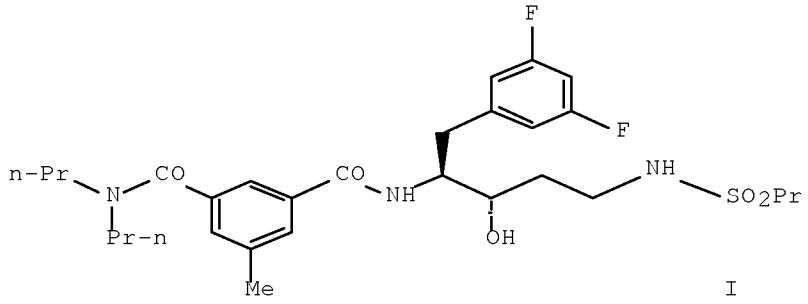
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20040039034	A1	20040226	US 2003-427106	20030430
US 7262208	B2	20070828		
WO 2004058686	A1	20040715	WO 2003-US13462	20030430

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,

LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM,
PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT,
TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2003303141	A1	20040722	AU 2003-303141	20030430
PRIORITY APPLN. INFO.:			US 2002-376895P	P 20020430
			WO 2003-US13462	W 20030430

OTHER SOURCE(S): MARPAT 140:217390
GI



I

AB The present invention relates to hydroxypropyl benzamides, R2C(O)N(R3)CH(YR1)CH(OH)CHR4CHR5N(R3')XR6 (I; variables defined below; e.g. II), useful in treating Alzheimer's disease and similar diseases. These compds. include inhibitors of the beta-secretase enzyme (no data) that are useful in the treatment of Alzheimer's disease and other diseases characterized by deposition of A beta peptide in a mammal. The compds. of the invention are useful in pharmaceutical compns. and methods of treatment to reduce A beta peptide formation. An unspecified method of preparation is claimed and 8 example prepns. and characterization data for another 107 examples of I are included. For example, II was prepared in 8 steps starting with mesylation of tert-Bu [(1S,2S)-3-chloro-1-(3,5- difluorobenzyl)-2-hydroxypropyl]carbamate followed by cyclization to an oxazolidinone, ring opening to an oxirane with N protection, conversion to a nitrile, deprotection of N, amide formation with 5-(dipropylcarbamoyl)-3- methylbenzoic acid, hydrogenation of the cyano functionality and sulfonation of the just-formed amino functionality. Y is absent or is -(CH2)n-, where n = 1, 2, or 3 and where up to 3 hydrogens of -(CH2)n-are optionally replaced with 1-3 substituents. R1 is H, -(CH2)1-2-S(O)0-2-(C1- C6 alkyl), C1-C10alkyl (un)substituted, C2-C6 alkenyl, C2-C6 alkynyl, -C1-C6 alkyl-(C3-C7)cycloalkyl, aryl, heteroaryl, heterocyclyl, -C1-C6 alkylaryl, -C1-C6 alkylheteroaryl, -C1-C6 alkylheterocyclyl, or C3-C7 cycloalkyl; R2 is H, R'100, -(CRR')1-6R'100, -(CRR')0-6R100, -(CRR')1-6-O-R'100, -(CRR')1-6-S-R'100, -(CRR')1-6-C(:O)-R100, -(CRR')1-6-SO2-R100 or-(CRR')1-6-NR100-R'100. R3 and R3' = H, C1-C6 alkyl, -CO2-C1-C6 alkyl, or -CO-O-(CH2)n-Ph where n is 0-2 and Ph is (un)substituted with C1-C6 alkyl; R4 and R5 = H or C1-C6 alkyl (un)substituted with 1-3 substituents = C1-C3 alkyl, halogen, -OH, -SH, -C.tplbond.N, -CF3, C1-C3 alkoxy, and -NRR' where R and R' = -H or C1-C10alkyl; X is absent, -C(O)-, -C(O)NR7-, -C(O)O-, -C(:NZ)NR7-, -SO2-, -C(:NZ)-, or -SO2NR7-; R6 = -

(CR245R250)0-4-aryl, -(CR245R250)0-4- heteroaryl, etc.; addnl. details are given in the claims.
REFERENCE COUNT: 82 THERE ARE 82 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 4 OF 26 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2003:747149 HCAPLUS Full-text
DOCUMENT NUMBER: 139:301143
TITLE: Human β-Secretase (BACE) and BACE Inhibitors
AUTHOR(S): John, Varghese; Beck, James P.; Bienkowski, Michael J.; Sinha, Sukanto; Heinrikson, Robert L.
CORPORATE SOURCE: Elan Pharmaceuticals, South San Francisco, CA, 94080, USA
SOURCE: Journal of Medicinal Chemistry (2003), 46(22), 4625-4630
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English
AB A review. Amyloid β (Aβ) is a neurotoxic and highly aggregatory peptide segment of amyloid precursor protein (APP) that is the principal component of the neuritic plaque found in the brains of Alzheimer's disease (AD) patients. The amyloid hypothesis holds that the neuronal dysfunction and clin. manifestation of AD are a consequence of the longterm deposition and accumulation of 40-42 amino acid long Aβ peptides and that this process leads to the onset and progression of AD. Because of the apparent causal relationship between Aβ and AD, the so-called secretases that produce Aβ have been targeted for development of inhibitors that might serve as therapeutic agents for treatment of this dreaded and ever more prevalent disease. In this review the current understanding of BACE, its role in the formation of neuritic plaques, and the known inhibitors of the enzyme are discussed.
REFERENCE COUNT: 43 THERE ARE 43 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 5 OF 26 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2003:696859 HCAPLUS Full-text
DOCUMENT NUMBER: 139:230480
TITLE: Preparation of substituted amines prodrugs useful in treating Alzheimer's disease
INVENTOR(S): Varghese, John; Jagodzinska, Barbara; Maillard, Michel; Beck, James P.; Tenbrink, Ruth E.; Getman, Daniel
PATENT ASSIGNEE(S): Elan Pharmaceuticals, Inc., USA; Pharmacia & Upjohn
SOURCE: PCT Int. Appl., 483 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003072535	A2	20030904	WO 2003-US7287	20030227
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ,				

UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2477607	A1	20030904	CA 2003-2477607		20030227
AU 2003225730	A1	20030909	AU 2003-225730		20030227
EP 1503980	A2	20050209	EP 2003-743271		20030227
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK					
BR 2003007998	A	20050628	BR 2003-7998		20030227
JP 2005519082	T	20050630	JP 2003-571242		20030227
CN 101090883	A	20071219	CN 2003-809469		20030227
IN 2004KN01229	A	20060714	IN 2004-KN1229		20040823
MX 2004PA08317	A	20050608	MX 2004-PA8317		20040826
ZA 2004006791	A	20060726	ZA 2004-6791		20040826
NO 2004004046	A	20041115	NO 2004-4046		20040924
US 20060106256	A1	20060518	US 2005-505947		20050926
PRIORITY APPLN. INFO.:			US 2002-359953P	P	20020227
			WO 2003-US7287	W	20030227

OTHER SOURCE(S): MARPAT 139:230480

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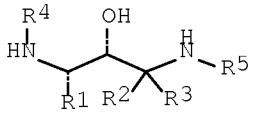
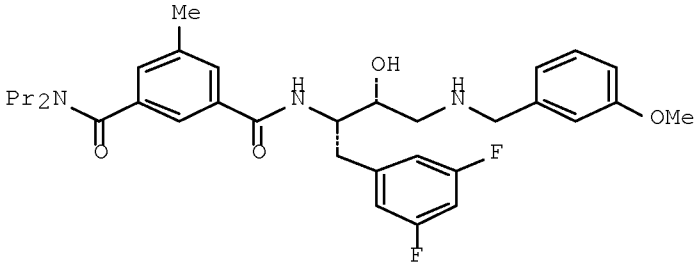


I



II

AB Amines [I; R1 = (un)substituted alkyl, alkenyl, alkynyl, etc.; R2 = H, (un)substituted alkyl, alkenyl, etc.; R3 = H, (un)substituted alkyl, alkenyl, etc.; R4 = XR; X = CO, SO2, a bond, etc.; R = Ph, naphthyl, indanyl, etc.; R5 = (un)substituted alkyl, (CH2)0-3cycloalkyl, etc.; e.g. N1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3- methoxybenzyl)amino]propyl]-5-methyl-N3,N3-dipropylisophthalamide], useful in treating Alzheimer's disease and other similar diseases, were prepared Although the methods of preparation are not claimed, hundreds of example prepns. are included. Thus, reacting (2R,3S)-3-amino-4-(3,5- difluorophenyl)-1-[(3-methoxybenzyl)amino]-2-butanol trifluoroacetate with 5-methyl-N,N-dipropylisophthalamic acid in the presence of Et3N, 1-hydroxybenzotriazole and 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride in DMF afforded (1S,2R)-II (N1-[(1S,2R)-1-(3,5- difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-5-methyl-

N3,N3- dipropylisophthalamide). The compds. I exhibit an IC50 of < 50 μM against β-secretase.

L23 ANSWER 6 OF 26 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2003:551538 HCAPLUS Full-text
DOCUMENT NUMBER: 139:101424
TITLE: Preparation of substituted amino carboxamides for the treatment of Alzheimer's disease
INVENTOR(S): Jagodzinska, Barbara; Warpehoski, Martha A.
PATENT ASSIGNEE(S): Elan Pharmaceuticals, Inc., USA; Pharmacia & Upjohn Company
SOURCE: PCT Int. Appl., 133 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003057721	A2	20030717	WO 2003-US326	20030106
WO 2003057721	A3	20040325		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2472617	A1	20030717	CA 2003-2472617	20030106
AU 2003206413	A1	20030724	AU 2003-206413	20030106
US 20030166580	A1	20030904	US 2003-337075	20030106
US 6962934	B2	20051108		
EP 1458745	A2	20040922	EP 2003-703711	20030106
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2005534614	T	20051117	JP 2003-558035	20030106
BR 2003006724	A	20060411	BR 2003-6724	20030106
MX 2004PA06575	A	20041004	MX 2004-PA6575	20040702

PRIORITY APPLN. INFO.:	US 2002-345316P	P	20020104
	US 2002-350419P	P	20020118
	WO 2003-US326	W	20030106

OTHER SOURCE(S): MARPAT 139:101424
AB The invention relates to compds. R1CONR6CHR2CHR8NR5CHR3CONR4R7 [R1 is H, Q (aryl, heteroaryl, heterocyclyl, alkyl, etc.), -(CRR')1-6-X-Q (X = null, O, S, CO, SO2, NQ; R, R' = alkyl, alkylaryl, alkylheteroaryl); R2, R3 = (un)substituted alk(en)(yn)yl, cycloalkyl, cycloalkyalkyl, (hetero)aryl, heterocyclyl, aryl-, heteroaryl-, heterocyclylalkyl; R4 = H, (hetero)aryl, heterocyclyl, etc., these groups attached to a 1-3 carbon chain, diarylmethyl, (cyclo)alkyl, etc.; R5-R7 = H, CO2R9, where R9 = alkyl, Ph, benzyl, or phenethyl; R8 = H, (un)substituted alkyl] which are useful in treating Alzheimer's disease and similar diseases. These compds. include inhibitors of the β-secretase enzyme that are useful in the treatment of Alzheimer's disease and other diseases characterized by deposition of A beta peptide in a mammal.

Thus, N-[(2S)-3-(3,5- difluorophenyl)-2-[[3-[(dipropylamino)carbonyl]-5-methylbenzoyl]amino]propyl]-L-alanyl-N1-isobutyl-L-valinamide was prepared by coupling of N-[(2S)-2-amino-3-(3,5-difluorophenyl)propyl]-L-alanyl-N1-isobutyl-L-valinamide (preparation given, claimed compound) with 3-[(dipropylamino)carbonyl]-5-methylbenzoic acid.

L23 ANSWER 7 OF 26 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2003:472477 HCAPLUS Full-text
DOCUMENT NUMBER: 139:52753
TITLE: Preparation of substituted hydroxyethylamines as β-secretase inhibitors
INVENTOR(S): Tenbrink, Ruth; Maillard, Michel; Warpehoski, Martha
PATENT ASSIGNEE(S): Elan Pharmaceuticals, Inc., USA; Pharmacia & Upjohn Company
SOURCE: PCT Int. Appl., 306 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003050073	A1	20030619	WO 2002-US39050	20021206
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2469622	A1	20030619	CA 2002-2469622	20021206
AU 2002360508	A1	20030623	AU 2002-360508	20021206
US 20040044072	A1	20040304	US 2002-313849	20021206
US 7312360	B2	20071225		
EP 1453788	A1	20040908	EP 2002-795769	20021206
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
BR 2002014736	A	20041123	BR 2002-14736	20021206
JP 2005511735	T	20050428	JP 2003-551100	20021206
MX 2004PA05428	A	20041206	MX 2004-PA5428	20040604
US 20080096942	A1	20080424	US 2007-962454	20071221

PRIORITY APPLN. INFO.:	US 2001-338452P	P	20011206
	US 2002-313849	A1	20021206
	WO 2002-US39050	W	20021206

OTHER SOURCE(S): MARPAT 139:52753
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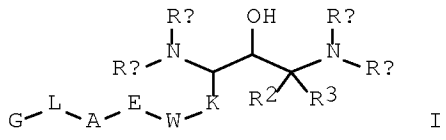

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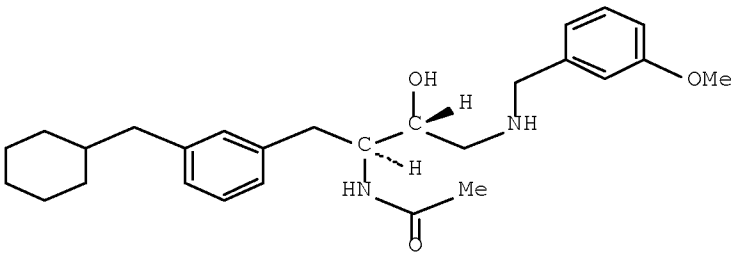

II

AB Title compds. I [E = bond, alkylene; RA = H, benzyloxycarbonyl; RD = H, alkoxycarbonyl; K = (un)substituted alkyl; A = aryl, cycloalkyl, heteroaryl, etc.; W = bond, SO0-2, (un)substituted amino; L = bond, absent, etc.; G = absent, alkyl, cycloalkyl, etc.; R2-3 = H, alkyl, aryl, etc.; RN = Ph naphthyl, tetralinyl, etc.; RC = heteroaryl, etc.] are prepared as β-secretase inhibitors. For instance, N-[(1S,2R)-1-[3- (cyclohexylmethyl)benzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]aceta mide (II) isolated as the HCl salt is prepared in several steps. The key intermediate in the synthesis is derived from the asym. hydrogenation of Me 2-[[(benzyloxy)carbonyl]amino]-3-(2-bromophenyl)acrylate (preparation given) to give the corresponding phenylalanine analog intermediate. I are useful for the treatment of Alzheimer's disease.

REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 8 OF 26 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2003:412801 HCAPLUS Full-text
DOCUMENT NUMBER: 139:245782
TITLE: Preparation of N,N'-substituted-1,3-diamino-2-hydroxypropanes for treating Alzheimer's disease
INVENTOR(S): Varghese, John; Maillard, Michel; Jagodzinska, Barbara; Beck, James P.; Gailunas, Andrea; Fang, Larry; Sealy, Jennifer; Tenbrink, Ruth; Freskos, John; Mickelson, John; Samala, Lakshman; Hom, Roy
PATENT ASSIGNEE(S): Elan Pharmaceuticals, Inc., USA; Pharmacia & Upjohn Company
SOURCE: PCT Int. Appl., 1243 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003040096	A2	20030515	WO 2002-XA36072	20021108
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,				

CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
UA, UG, US, UZ, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,
CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR,
NE, SN, TD, TG

WO 2003040096	A2	20030515	WO 2002-US36072	20021108
WO 2003040096	A3	20040506		

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CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
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KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF,
CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

ZA 2004003578	A	20051010	ZA 2004-3578	20040511
US 20070213316	A1	20070913	US 2006-636903	20061211
AU 2008201593	A1	20080501	AU 2008-201593	20080410
PRIORITY APPLN. INFO.:			US 2001-337122P	P 20011108
			US 2001-344086P	P 20011228
			US 2002-345635P	P 20020103
			WO 2002-US36072	A 20021108
			AU 2002-359376	A3 20021108
			US 2002-291318	A3 20021108

OTHER SOURCE(S): MARPAT 139:245782
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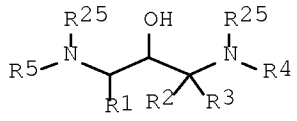

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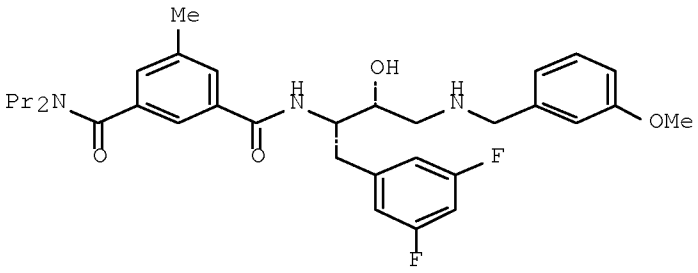

II

AB The title compds. [I; R1 = (un)substituted alkyl, alkenyl, alkynyl, etc.; R2 = H, alkyl, haloalkyl, alkenyl, etc.; R3 = H, alkyl, haloalkyl, alkenyl, etc.;

or R2 and R3 are taken together with the carbon to which they are attached to form a carbocycle of 3-7 carbon atoms, optionally where one carbon atom is replaced by a heteroatom selected from the group consisting of O, S, SO2, (un)substituted NH; R4 = alkyl, haloalkyl, hydroxyalkyl, etc.; R5 = R6X (wherein X = CO, SO2, (un)substituted CH2; R6 = (un)substituted Ph, naphthyl, indanyl, etc.); R25 = H, alkyl, alkoxy, etc.] which have activity as inhibitors of β-secretase and are therefore useful in treating a variety of disorders such as Alzheimer's disease, were prepared E.g., a multi-step synthesis of (1S,2R)-II, starting from (2S)-2-[(tert-butoxycarbonyl)amino]-3-(3,5-difluorophenyl)propanoic acid, was given. The compds. I showed IC50 of < 20 μM in cell free inhibition assay utilizing a synthetic APP substrate. This is a Part 2 of 1-2 series.

L23 ANSWER 9 OF 26 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2003:376819 HCAPLUS Full-text
DOCUMENT NUMBER: 138:385173
TITLE: Preparation of N,N'-substituted-1,3-diamino-2-hydroxypropanes for treating Alzheimer's disease
INVENTOR(S): Varghese, John; Maillard, Michel; Jagodzinska, Barbara; Beck, James P.; Gailunas, Andrea; Fang, Larry; Sealy, Jennifer; Tenbrink, Ruth; Freskos, John; Mickelson, John; Samala, Lakshman; Hom, Roy
PATENT ASSIGNEE(S): Elan Pharmaceuticals, Inc., USA; Pharmacia & Upjohn Company
SOURCE: PCT Int. Appl., 1243 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003040096	A2	20030515	WO 2002-US36072	20021108
WO 2003040096	A3	20040506		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2466284	A1	20030515	CA 2002-2466284	20021108
WO 2003040096	A2	20030515	WO 2002-XA36072	20021108
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AU 2002359376	A1	20030519	AU 2002-359376	20021108
AU 2002359376	B2	20080110		
US 20040171881	A1	20040902	US 2002-291318	20021108
US 7176242	B2	20070213		
EP 1453789	A2	20040908	EP 2002-793909	20021108
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
BR 2002014035	A	20050426	BR 2002-14035	20021108
JP 2005520791	T	20050714	JP 2003-542142	20021108
CN 1759095	A	20060412	CN 2002-826786	20021108
NZ 533107	A	20070427	NZ 2002-533107	20021108
MX 2004PA04428	A	20040910	MX 2004-PA4428	20040507
ZA 2004003578	A	20051010	ZA 2004-3578	20040511
IN 2004KN00627	A	20060224	IN 2004-KN627	20040514
NO 2004002359	A	20040806	NO 2004-2359	20040607
US 20070213316	A1	20070913	US 2006-636903	20061211
AU 2008201593	A1	20080501	AU 2008-201593	20080410
PRIORITY APPLN. INFO.:			US 2001-337122P	P 20011108
			US 2001-344086P	P 20011228
			US 2002-345635P	P 20020103
			AU 2002-359376	A3 20021108
			US 2002-291318	A3 20021108
			WO 2002-US36072	W 20021108

OTHER SOURCE(S): MARPAT 138:385173

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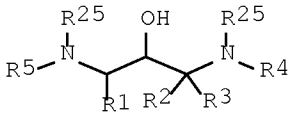

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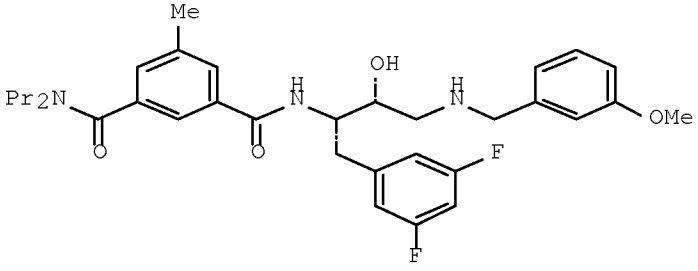

II

AB The title compds. [I; R1 = (un)substituted alkyl, alkenyl, alkynyl, etc.; R2 = H, alkyl, haloalkyl, alkenyl, etc.; R3 = H, alkyl, haloalkyl, alkenyl, etc.; or R2 and R3 are taken together with the carbon to which they are attached to form a carbocycle of 3-7 carbon atoms, optionally where one carbon atom is replaced by a heteroatom selected from the group consisting of O, S, SO2, (un)substituted NH; R4 = alkyl, haloalkyl, hydroxyalkyl, etc.; R5 = R6X (wherein X = CO, SO2, (un)substituted CH2; R6 = (un)substituted Ph, naphthyl, indanyl, etc.); R25 = H, alkyl, alkoxy, etc.] which have activity as inhibitors of β-secretase and are therefore useful in treating a variety of disorders such as Alzheimer's disease, were prepared E.g., a multi-step synthesis of (1S,2R)-II, starting from (2S)-2-[(tert-butoxycarbonyl)amino]-3-

(3,5-difluorophenyl)propanoic acid, was given. The compds. I showed IC50 of < 20 μM in cell free inhibition assay utilizing a synthetic APP substrate. This is a Part 1 of 1-2 series.

L23 ANSWER 10 OF 26 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2003:356246 HCAPLUS Full-text
DOCUMENT NUMBER: 138:353745
TITLE: Preparation of hydroxy substituted indanylamides for the treatment of Alzheimer's disease
INVENTOR(S): Beck, James P.
PATENT ASSIGNEE(S): Elan Pharmaceuticals, Inc., USA; Pharmacia & Upjohn Company
SOURCE: PCT Int. Appl., 180 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2003037325	A1	20030508	WO 2002-US34678		20021029
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
CA 2465316	A1	20030508	CA 2002-2465316		20021029
AU 2002350043	A1	20030512	AU 2002-350043		20021029
EP 1443923	A1	20040811	EP 2002-786576		20021029
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK					
BR 2002013743	A	20041221	BR 2002-13743		20021029
JP 2005535559	T	20051124	JP 2003-539669		20021029
MX 2004PA04079	A	20050705	MX 2004-PA4079		20040429
US 20050038019	A1	20050217	US 2004-494184		20040827
PRIORITY APPLN. INFO.:			US 2001-351152P	P	20011029
			WO 2002-US34678	W	20021029

OTHER SOURCE(S): MARPAT 138:353745
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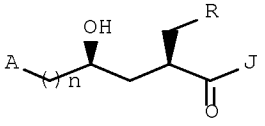

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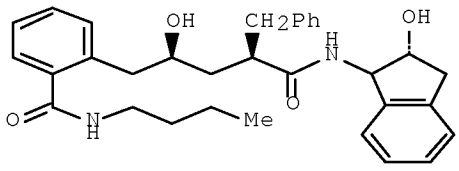

II

AB Title compds. I [A = (un)substituted aryl, mono or bicyclic heterocycle; n = 1-6; R = (un)substituted aryl, alkyl, alkoxy, helo, cycloalkyl; J = hydroxy-amino-indanyl, etc.] are prepared For instance, (-)-cis-1-aminoindan-2-ol is acylated with 3-phenylpropionyl chloride (Et3N) and the intermediate treated with PPTS and 2-methoxypropene to give the corresponding acetonide. This acetonide is alkylated with (S)-glycidyl tosylate to give the corresponding epoxide. The epoxide is reacted with the di-lithium anion of N-(tert-butyl)benzamide (THF, -70°) and subsequently deprotected (IPA, HCl) to give II. I are useful for treating Alzheimer's disease, and other diseases and/or inhibiting β-secretase.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 11 OF 26 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2003:5780 HCAPLUS Full-text
DOCUMENT NUMBER: 138:73021
TITLE: Preparation and use of aza-bicyclononanes for the treatment of Alzheimer's disease
INVENTOR(S): Beck, James P.
PATENT ASSIGNEE(S): Elan Pharmaceuticals, Inc., USA; Pharmacia & Upjohn Company
SOURCE: PCT Int. Appl., 116 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2003000261	A1	20030103	WO 2002-US20054		20020625
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
CA 2451664	A1	20030103	CA 2002-2451664		20020625
AU 2002345863	A1	20030108	AU 2002-345863		20020625
EP 1401439	A1	20040331	EP 2002-744604		20020625
EP 1401439	B1	20060419			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
BR 2002010683	A	20040720	BR 2002-10683		20020625
JP 2005500310	T	20050106	JP 2003-506906		20020625
AT 323489	T	20060515	AT 2002-744604		20020625
MX 2004PA00139	A	20040603	MX 2004-PA139		20040107
US 20040254213	A1	20041216	US 2004-482098		20040723
PRIORITY APPLN. INFO.:			US 2001-300671P	P	20010625
			WO 2002-US20054	W	20020625

OTHER SOURCE(S): MARPAT 138:73021
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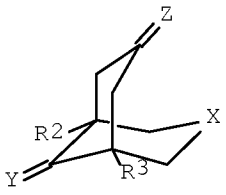

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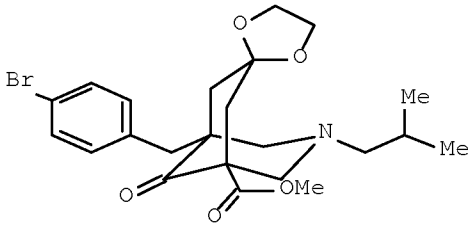

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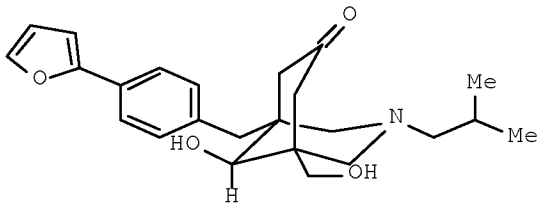

III

AB Title compds. I [X = O, NH, NR4, S; Y, Z = :O, H/OH, etc.; R1 = H, alkyl, cycloalkyl, aryl, etc.; R2 = alkyl, aryl, etc.; R3 = alkyl, alkylamino, etc.; R4 = alkyl, cycloalkyl, aryl, etc.] are prepared For instance, 2-(carbomethoxy)-4-ethylenedioxycyclohexanone was alkylated with 4-bromobenzyl bromide, the resulting product equilibrated with NaOMe/THF and the resulting keto-ester treated with CH2O, HOAc, MeOH, H2NCH2CH(CH3)2 to afford II. II was subjected to the following sequence: i. EtOH, NaBH4, 0°; ii. THF, LiEt3BH, 0°; iii. acetone, HCl; iv. DMF, PdCl2(PPh3)2, (furan-2-yl)Sn(n-Bu)3 to give III as a foam. I are useful for treating Alzheimer's disease, inhibiting beta-secretase enzyme and inhibiting deposition of A beta peptide.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 12 OF 26 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2002:964352 HCAPLUS Full-text
DOCUMENT NUMBER: 138:24960
TITLE: Macrocycles useful in the treatment of alzheimer's disease
INVENTOR(S): Pulley, Shon R.; Beck, James P.; Tenbrink, Ruth E.
PATENT ASSIGNEE(S): Elan Pharmaceuticals, Inc., USA; Pharmacia & Upjohn Company
SOURCE: PCT Int. Appl., 164 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002100856	A1	20021219	WO 2002-US19076	20020612

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,

LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2450202	A1	20021219	CA 2002-2450202	20020612
AU 2002348687	A1	20021223	AU 2002-348687	20020612
US 20030236199	A1	20031225	US 2002-171182	20020612
US 6969709	B2	20051129		
EP 1404671	A1	20040407	EP 2002-752056	20020612
EP 1404671	B1	20060412		

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

BR 2002010392	A	20041013	BR 2002-10392	20020612
JP 2004534064	T	20041111	JP 2003-503623	20020612
AT 323084	T	20060415	AT 2002-752056	20020612
ES 2261699	T3	20061116	ES 2002-752056	20020612
MX 2003PA11466	A	20040701	MX 2003-PA11466	20031210
US 20060040910	A1	20060223	US 2005-218879	20050902

PRIORITY APPLN. INFO.:	US 2001-297546P	P	20010612
	US 2001-333083P	P	20011119
	US 2002-171182	A3	20020612
	WO 2002-US19076	W	20020612

OTHER SOURCE(S): MARPAT 138:24960

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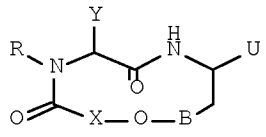

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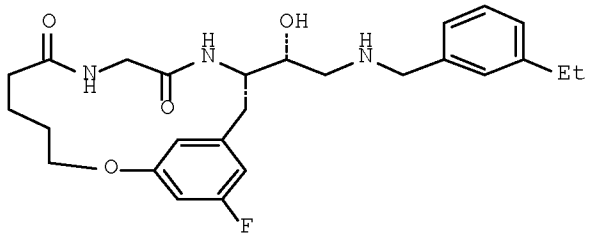

II

AB Macrocycles I [U is (un)substituted 1,3-dihydroxypropyl, 1-hydroxy-2-aminoethyl, oxiranyl, or 2-oxo-1,3-dioxolan-4-yl; R is H or alkyl; B is (un)substituted alkylene or alkenylene or rings of defined structure; X is any group defined for B or CH2CONHCHRa, where Ra is an amino acid side chain; Y is H, (cyclo)alkyl, an amino acid side chain, etc.] or their pharmaceutically-acceptable salts were prepared for treating Alzheimer's and similar diseases characterized by the deposition of Aβ peptide in a mammal. Thus, macrocycle II was prepared by a multistep sequence starting with reaction of 3-amino-4-[3-(benzyloxy)-5- fluorophenyl]butane-1,2-diol with N-(benzyloxycarbonyl)glycine N-succinimidyl ester.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 13 OF 26 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2002:964186 HCAPLUS Full-text
DOCUMENT NUMBER: 138:24959
TITLE: Preparation of macrocycles useful in the treatment of Alzheimer's disease
INVENTOR(S): Pulley, Shon R.; Beck, James P.; Tenbrink, Ruth E.; Jacobs, Jon S.
PATENT ASSIGNEE(S): Elan Pharmaceuticals, Inc., USA; Pharmacia & Upjohn Company
SOURCE: PCT Int. Appl., 173 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2002100399	A1	20021219	WO 2002-US18719		20020612
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
CA 2450167	A1	20021219	CA 2002-2450167		20020612
AU 2002315098	A1	20021223	AU 2002-315098		20020612
EP 1395257	A1	20040310	EP 2002-742038		20020612
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
BR 2002010391	A	20040615	BR 2002-10391		20020612
JP 2005505506	T	20050224	JP 2003-503220		20020612
US 20030236240	A1	20031225	US 2002-170331		20020613
US 7067507	B2	20060627			
MX 2003PA11442	A	20040701	MX 2003-PA11442		20031210
US 20060003978	A1	20060105	US 2005-208382		20050819
PRIORITY APPLN. INFO.:			US 2001-297505P	P	20010612
			US 2001-333082P	P	20011119
			WO 2002-US18719	W	20020612
			US 2002-170331	A3	20020613

OTHER SOURCE(S): MARPAT 138:24959
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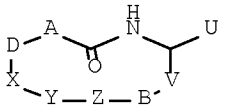

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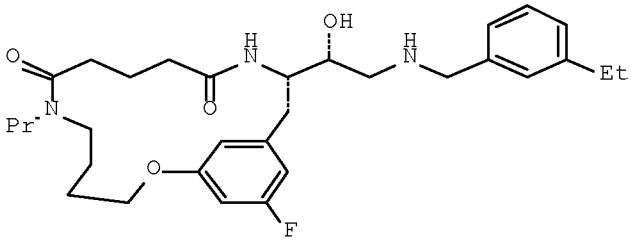

II

AB Macrocycles I [U is (un)substituted 1,3-dihydroxypropyl, 1-hydroxy-2-aminoethyl, oxiranyl, or 2-oxo-1,3-dioxolan-4-yl; V is (CH2)0-6; A, B, Y are (un)substituted alkylene or alkenylene or rings of defined structure; D is CH2, CO, or SO2; X is absent, O, or an imino group; Z is absent, O, S, an imino group, CO, O2C, CO2, NHCO, or CONH] were prepared for treating Alzheimer's and similar diseases characterized by the deposition of Aβ peptide in a mammal. Thus, macrocycle II was prepared by a multistep sequence involving reaction of 1-(allyloxy)-5- fluorobenzene with 2-(2,2-dimethyl[1,3]dioxolan-4-yl)aziridine-1- carboxylic acid tert-Bu ester.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 14 OF 26 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2002:31410 HCAPLUS Full-text
DOCUMENT NUMBER: 136:102193
TITLE: Preparation of disubstituted amines for treating Alzheimer's disease
INVENTOR(S): Beck, James P.; Gailunas, Andrea; Hom, Roy; Jagodzinska, Barbara; John, Varghese; Maillaird, Michel
PATENT ASSIGNEE(S): Elan Pharmaceuticals, Inc., USA; Pharmacia & Upjohn Company
SOURCE: PCT Int. Appl., 286 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002002520	A2	20020110	WO 2001-US21000	20010702
WO 2002002520	A3	20020829		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,

LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT,
RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ,
VN, YU, ZA, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AM, AZ, BY, KG,
KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR,
IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN,
GW, ML, MR, NE, SN, TD, TG

US 20020143177	A1	20021003	US 2001-895843	20010629
US 6846813	B2	20050125		
EP 1586556	A2	20051019	EP 2005-8935	20010629
EP 1586556	A3	20051221		
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EP 1666452	A2	20060607	EP 2005-27957	20010629
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AU 2001073132	A	20020114	AU 2001-73132	20010702
US 20050203096	A1	20050915	US 2005-42695	20050125
US 20060211860	A1	20060921	US 2006-370073	20060307
US 20070213407	A1	20070913	US 2006-529749	20060928

PRIORITY APPLN. INFO.:

US 2000-215323P	P	20000630
US 2001-895843	A	20010629
EP 2001-950719	A3	20010629
EP 2001-952352	A3	20010629
US 2001-896139	A1	20010629
US 2001-896874	A3	20010629
WO 2001-US21000	W	20010702

OTHER SOURCE(S): MARPAT 136:102193

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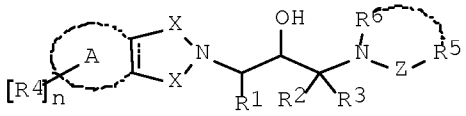

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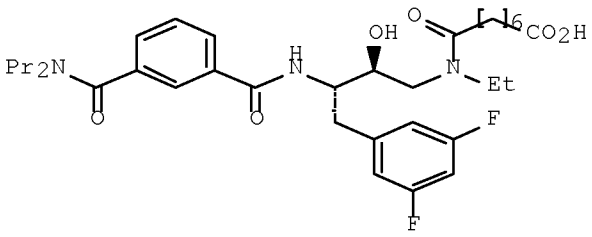

II

AB The title compds. [I; R1 = (un)substituted alkyl, alkenyl, alkynyl, etc.; R2 = H, (un)substituted alkyl, alkenyl, etc.; R3 = H, (un)substituted alkyl, alkenyl, etc.; X = CO, CH2, (CH2)2, CH2CO; A = absent, Ph, cyclohexyl, etc.; R4 = (un)substituted alkyl, OH, NO2, etc.; n = 0-3; Z = CO, SO, SO2, a bond, etc.; R5 = (un)substituted alkyl, (CH2)0-3cycloalkyl, etc.; R6 = H, alkyl, alkenyl, etc.; or N(R6)ZR5 may cyclize to form (un)substituted 5-8 membered heterocyclic ring or fused rings], β-secretase inhibitors which are useful in

treating Alzheimer's disease and other similar diseases, were prepared E.g., a multi-step synthesis of (2S,3S)-II, was given. The compds. I exhibited IC50 of < 50 μM against β-secretase.

L23 ANSWER 15 OF 26 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2002:31408 HCAPLUS Full-text
DOCUMENT NUMBER: 136:102192
TITLE: Preparation of disubstituted amines for treating Alzheimer's disease
INVENTOR(S): Beck, James P.; Gailunas, Andrea; Hom, Roy; Jagodzinska, Barbara; John, Varghese; Maillaird, Michel
PATENT ASSIGNEE(S): Elan Pharmaceuticals, Inc., USA; Pharmacia & Upjohn Company
SOURCE: PCT Int. Appl., 286 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002002518	A2	20020110	WO 2001-US20856	20010629
WO 2002002518	A3	20020808		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 2001073094	A	20020114	AU 2001-73094	20010629
US 20020016320	A1	20020207	US 2001-896874	20010629
US 7034182	B2	20060425		
US 20030096864	A1	20030522	US 2001-895871	20010629
EP 1586556	A2	20051019	EP 2005-8935	20010629
EP 1586556	A3	20051221		
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ES 2248356	T3	20060316	ES 2001-950719	20010629
ES 2252257	T3	20060516	ES 2001-952352	20010629
EP 1666452	A2	20060607	EP 2005-27957	20010629
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ZA 2002009991	A	20040503	ZA 2002-9991	20021210
ZA 2003000327	A	20040325	ZA 2003-327	20030113
US 20060211860	A1	20060921	US 2006-370073	20060307
US 20070213407	A1	20070913	US 2006-529749	20060928

PRIORITY APPLN. INFO.:		
US 2000-215323P	P	20000630
EP 2001-950719	A3	20010629
EP 2001-952352	A3	20010629
US 2001-896139	A1	20010629
US 2001-896874	A3	20010629
WO 2001-US20856	W	20010629

OTHER SOURCE(S): MARPAT 136:102192
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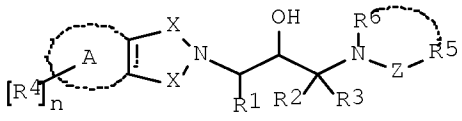

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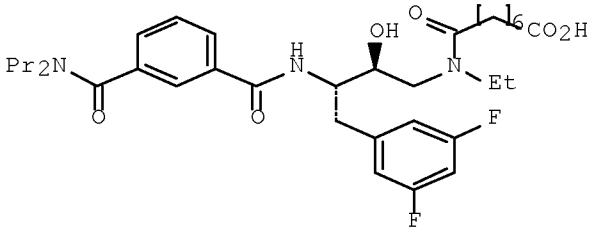

II

AB The title compds. [I; R1 = (un)substituted alkyl, alkenyl, alkynyl, etc.; R2 = H, (un)substituted alkyl, alkenyl, etc.; R3 = H, (un)substituted alkyl, alkenyl, etc.; X = CO, CH2, (CH2)2, CH2CO; A = absent, Ph, cyclohexyl, etc.; R4 = (un)substituted alkyl, OH, NO2, etc.; n = 0-3; Z = CO, SO, SO2, a bond, etc.; R5 = (un)substituted alkyl, (CH2)0-3cycloalkyl, etc.; R6 = H, alkyl, alkenyl, etc.; or N(R6)ZR5 may cyclize to form (un)substituted 5-8 membered heterocyclic ring or fused rings], β-secretase inhibitors which are useful in treating Alzheimer's disease and other similar diseases, were prepared E.g., a multi-step synthesis of (2S,3S)-II, was given. The compds. I exhibited IC50 of < 50 μM against β-secretase.

L23 ANSWER 16 OF 26 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2002:31402 HCAPLUS Full-text
DOCUMENT NUMBER: 136:102190
TITLE: Preparation of substituted amines to treat Alzheimer's disease
INVENTOR(S): Maillaird, Michel; Hom, Court; Gailunas, Andrea; Jagodzinska, Barbara; Fang, Lawrence Y.; John, Varghese; Freskos, John N.; Pulley, Shon R.; Beck, James P.; Tenbrink, Ruth E.
PATENT ASSIGNEE(S): Elan Pharmaceuticals, Inc., USA; Pharmacia & Upjohn Company
SOURCE: PCT Int. Appl., 651 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002002512	A2	20020110	WO 2001-US21012	20010629

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     WO 2002002512        A3    20030821
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT,
             RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ,
             VN, YU, ZA, ZW
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             IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN,
             GW, ML, MR, NE, SN, TD, TG
     CA 2410651           A1    20020110    CA 2001-2410651        20010629
     AU 2001073137        A     20020114    AU 2001-73137          20010629
     US 20020128255       A1    20020912    US 2001-896139         20010629
     US 7214715           B2    20070508
     BR 2001012000        A     20030603    BR 2001-12000          20010629
     EP 1353898           A2    20031022    EP 2001-952378         20010629
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
     JP 2004502669        T     20040129    JP 2002-507769         20010629
     HU 2003003037        A2    20040301    HU 2003-3037           20010629
     HU 2003003037        A3    20060228
     EE 200200716         A     20040816    EE 2002-716            20010629
     NZ 522899            A     20050624    NZ 2001-522899         20010629
     EP 1586556           A2    20051019    EP 2005-8935           20010629
     EP 1586556           A3    20051221
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
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     EP 1666452           A2    20060607    EP 2005-27957          20010629
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
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     NZ 538542            A     20060929    NZ 2001-538542         20010629
     NZ 547529            A     20080430    NZ 2001-547529         20010629
     MX 2002PA12608       A     20030514    MX 2002-PA12608        20021217
     NO 2002006199        A     20030221    NO 2002-6199           20021223
     IN 2002KN01591       A     20040717    IN 2002-KN1591         20021228
     US 20060211860       A1    20060921    US 2006-370073         20060307
     US 20070213407       A1    20070913    US 2006-529749         20060928
PRIORITY APPLN. INFO.:                       US 2000-215323P     P  20000630
                                             US 2000-252736P     P  20001122
                                             US 2000-255956P     P  20001215
                                             US 2001-268497P     P  20010213
                                             US 2001-279779P     P  20010329
                                             US 2001-295589P     P  20010604
                                             EP 2001-950719      A3 20010629
                                             EP 2001-952352      A3 20010629
                                             US 2001-896139      A1 20010629
                                             US 2001-896874      A3 20010629
                                             WO 2001-US21012     W  20010629
OTHER SOURCE(S):         MARPAT 136:102190
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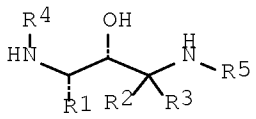

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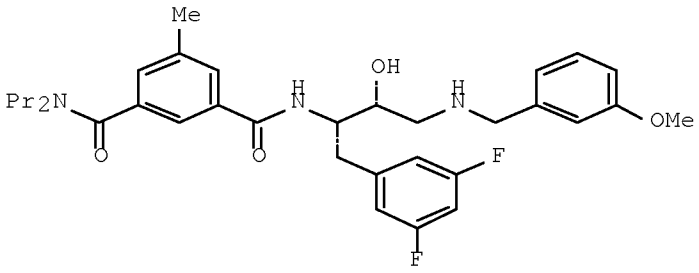

II

AB The title compds. [I; R1 = (un)substituted alkyl, alkenyl, alkynyl, etc.; R2 = H, (un)substituted alkyl, alkenyl, etc.; R3 = H, (un)substituted alkyl, alkenyl, etc.; R4 = XR; X = CO, SO2, a bond, etc.; R = Ph, naphthyl, indanyl, etc.; R5 = (un)substituted alkyl, (CH2)0-3cycloalkyl, etc.], useful in treating Alzheimer's disease and other similar diseases, were prepared Thus, reacting (2R,3S)-3-amino-4-(3,5- difluorophenyl)-1-[(3-methoxybenzyl)amino]-2-butanol trifluoroacetate with 5-methyl-N,N-dipropylisophthalamic acid in the presence of Et3N, 1-hydroxybenzotriazole and 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride in DMF afforded (1S,2R)-II. The compds. I exhibit an IC50 of < 50 μM against beta-secretase.

L23 ANSWER 17 OF 26 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2001:137023 HCAPLUS Full-text
DOCUMENT NUMBER: 134:178552
TITLE: 3(5)-Acylaminopyrazole derivatives, process for their preparation and their use as antitumor agents
INVENTOR(S): Pevarello, Paolo; Orsini, Paolo; Traquandi, Gabriella; Varasi, Mario; Fritzen, Edward L.; Warpehoski, Martha A.; Pierce, Betsy S.; Brasca, Maria Grabriella
PATENT ASSIGNEE(S): Pharmacia & Upjohn S.p.A., Italy; Pharmacia & Upjohn Company
SOURCE: PCT Int. Appl., 123 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001012189	A1	20010222	WO 2000-US6699	20000505
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE,				

	DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2383555		A1	20010222	CA 2000-2383555	20000505
AU 2000049714		A	20010313	AU 2000-49714	20000505
EP 1202733		A1	20020508	EP 2000-931906	20000505
EP 1202733		B1	20051005		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
BR 2000013143		A	20020611	BR 2000-13143	20000505
JP 2003507329		T	20030225	JP 2001-516535	20000505
EE 200200065		A	20030415	EE 2002-65	20000505
HU 2002003542		A2	20030528	HU 2002-3542	20000505
HU 2002003542		A3	20030728		
NZ 517237		A	20040227	NZ 2000-517237	20000505
AT 305782		T	20051015	AT 2000-931906	20000505
ES 2249270		T3	20060401	ES 2000-931906	20000505
US 6218418		B1	20010417	US 2000-667603	20000922
NO 2002000684		A	20020403	NO 2002-684	20020211
HR 2002000128		A1	20030430	HR 2002-128	20020212
MX 2002PA01498		A	20030721	MX 2002-PA1498	20020212
ZA 2002001511		A	20030311	ZA 2002-1511	20020222
BG 106480		A	20020930	BG 2002-106480	20020305
US 7034049		B1	20060425	US 2002-48486	20020501
PRIORITY APPLN. INFO.:				US 1999-372831 A	19990812
				US 2000-560400 A1	20000428
				WO 2000-US6699 W	20000505

OTHER SOURCE(S): MARPAT 134:178552

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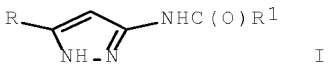

I

AB Compds. which are 3-acylaminopyrazole derivs. (I; e.g. N-(5-cyclopropyl-1H-pyrazol-3-yl)-2,2-diphenylacetamide) wherein R is C3-C6 cycloalkyl group optionally substituted by a straight or branched C1-C6 alkyl or arylalkyl group; R1 is a straight or branched C1-C6 alkyl, C2-C4 alkenyl, cycloalkyl, cycloalkenyl, heterocyclyl, aryl, arylalkyl, arylcarbonyl, aryloxyalkyl or arylalkenyl group, each of which may be optionally further substituted as indicated in the description; or a pharmaceutically acceptable salt thereof, processes for their preparation and their therapeutic uses. The compds. are useful for the treatment of cancer, cell proliferative disorders, Alzheimer's disease, viral infections, auto-immune diseases or neurodegenerative diseases, but no quant. test results are presented. The cancer is selected from carcinoma, squamous cell carcinoma, hematopoietic tumors of myeloid or lymphoid lineage, tumors of mesenchymal origin, tumors of the central and peripheral nervous system, melanoma, seminoma, teratocarcinoma, osteosarcoma, xeroderma pigmentosum, keratoacanthoma, thyroid follicular cancer and Kaposi's sarcoma. The cell proliferative disorder is selected from benign prostate hyperplasia, familial adenomatosis polyposis, neuro-fibromatosis, psoriasis, vascular smooth cell proliferation associated with atherosclerosis, pulmonary fibrosis, arthritis glomerulonephritis and post-surgical stenosis and restenosis. The method of treatment provides tumor angiogenesis and metastasis inhibition, cell cycle inhibition or cdk/cyclin dependent inhibition, and treatment or prevention of radiotherapy-induced or

chemotherapy-induced alopecia. A process for preparing the 3-aminopyrazole derivative or the pharmaceutically acceptable salt thereof, comprising: (a) reacting RCO2R2 (R2 = alkyl), with MeCN in the presence of a basic agent, to obtain RC(O)CH2CN; (b) reacting RC(O)CH2CN with hydrazine hydrate to obtain an 3-amino-5-R-1H-pyrazole; (c) oxidizing the 3-amino-5-R-1H-pyrazole to obtain the nitro analog; (d) reacting the nitro compound with tert-butoxycarbonyl anhydride (Boc2O) to obtain the N-Boc derivative; (e) reducing this BOC derivative to obtain the amino analog; (f) reacting this amino compound with R1C(O)X (X = OH or a suitable leaving group) to obtain the N1-Boc-protected I; and (g) hydrolyzing this intermediate in an acidic medium to obtain I. Other methods of preparation are also claimed.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 18 OF 26 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2001:137022 HCAPLUS Full-text
DOCUMENT NUMBER: 134:193431
TITLE: 3(5)-Ureidopyrazole derivatives, processes for their preparation and their therapeutic uses including antitumor agents
INVENTOR(S): Pevarello, Paolo; Orsini, Paolo; Traquandi, Gabriella; Varasi, Mario; Fritzen, Edward L.; Warpehoski, Martha A.; Pierce, Betsy S.
PATENT ASSIGNEE(S): Pharmacia & Upjohn S.p.A., Italy; Pharmacia & Upjohn Company
SOURCE: PCT Int. Appl., 54 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001012188	A1	20010222	WO 2000-US17878	20000811
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6387900	B1	20020514	US 1999-372833	19990812
CA 2380786	A1	20010222	CA 2000-2380786	20000811
AU 2000067470	A	20010313	AU 2000-67470	20000811
EP 1202734	A1	20020508	EP 2000-955241	20000811
EP 1202734	B1	20070502		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
BR 2000013277	A	20020618	BR 2000-13277	20000811
JP 2003507328	T	20030225	JP 2001-516534	20000811
HU 2003001857	A2	20030929	HU 2003-1857	20000811
NZ 517238	A	20040130	NZ 2000-517238	20000811
AT 361070	T	20070515	AT 2000-955241	20000811
ES 2284518	T3	20071116	ES 2000-955241	20000811
ZA 2002001118	A	20030310	ZA 2002-1118	20020208

NO 2002000687	A	20020403	NO 2002-687		20020211
MX 2002PA01497	A	20030721	MX 2002-PA1497		20020212
PRIORITY APPLN. INFO.:			US 1999-372833	A	19990812
			WO 2000-US17878	W	20000811

OTHER SOURCE(S): MARPAT 134:193431

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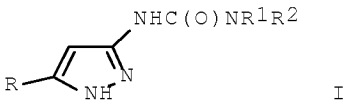

I

AB Compds. which are 3(5)-ureidopyrazole derivs. (I; e.g. N-(5-cyclopropyl-1H-pyrazol-3-yl)-N'-[2-(1-piperidinyl)ethyl]urea) or a pharmaceutically acceptable salt thereof, processes for their preparation and their use as antitumor agents are claimed. In I: R = C1-C6 alkyl, aryl or arylalkyl group, which is optionally substituted with ≥1 OH, halogen, nitro, cyano, oxo, carboxy, amino, alkylamino, dialkylamino, alkylcarbonylamino, alkoxycarbonylamino, alkoxycarbonylalkylamino, aminocarbonylalkylamino, N-alkyl-N-carbonylamino, N-cycloalkyl-N- alkylaminoalkyl, aminoalkyl, aminocarbonyl, alkyl, cycloalkyl, alkylthio, alkoxy, alkylcarbonyl, alkylsulfonyl, alkylsulfonylamino, aminosulfonyl, alkoxycarbonyl, aryl, arylalkyl, aryloxy, arylthio, arylsulfonyl, arylamino, arylcarbonyl, N-alkylpiperazinyl, 4-morpholinyl, perfluorinated C1-C4 alkyl, C2-C4 alkenyl, C2-C4 alkynyl, C2-C4 aminoalkynyl or C2-C4 hydroxyalkynyl substituents. R1 = -(CH2)n-R3. N = 0-4. R3 = H, OH, amino, cycloalkyl, aryl and heterocyclyl, which is optionally substituted with ≥1 OH, halogen, nitro, cyano, oxo, carboxy, amino, alkylamino, dialkylamino, alkylcarbonylamino, alkoxycarbonylamino, alkoxycarbonylalkylamino, aminocarbonylalkylamino, N-alkyl-N- carbonylamino, N-cycloalkyl-N-alkylaminoalkyl, aminoalkyl, aminocarbonyl, alkyl, cycloalkyl, alkylthio, alkoxy, alkylcarbonyl, alkylsulfonyl, alkylsulfonylamino, aminosulfonyl, alkoxycarbonyl, aryl, arylalkyl, aryloxy, arylthio, arylsulfonyl, arylamino, arylcarbonyl, N-alkylpiperazinyl, 4-morpholinyl, perfluorinated C1-C4 alkyl, C2-C4 alkenyl, C2-C4 alkynyl, C2-C4 aminoalkynyl or C2-C4 hydroxyalkynyl substituents. R2 = H, or R2 and R1, together with the N atom to which they are bonded, form a heterocyclyl or heteroaryl group, which is optionally substituted with ≥1 OH, halogen, nitro, cyano, oxo, carboxy, amino, alkylamino, dialkylamino, alkylcarbonylamino, alkoxycarbonylamino, alkoxycarbonylalkylamino, aminocarbonylalkylamino, N-alkyl-N-carbonylamino, N-cycloalkyl-N-alkylaminoalkyl, aminoalkyl, aminocarbonyl, alkyl, cycloalkyl, alkylthio, alkoxy, alkylcarbonyl, alkylsulfonyl, alkylsulfonylamino, aminosulfonyl, alkoxycarbonyl, aryl, arylalkyl, aryloxy, arylthio, arylsulfonyl, arylamino, arylcarbonyl, N-alkylpiperazinyl, 4-morpholinyl, perfluorinated C1-C4 alkyl, C2-C4 alkenyl, C2-C4 alkynyl, C2-C4 aminoalkynyl or C2-C4 hydroxyalkynyl substituents. When n is 0 and R2 is H, R is a C3-C6 cycloalkyl group optionally substituted with a straight or branched C1-C6 alkyl group. The compds. are useful for the treatment of cancer, cell proliferative disorders, Alzheimer's disease, viral infections, auto-immune diseases or neurodegenerative diseases, but no quant. test results are presented. The cancer is selected from carcinoma, squamous cell carcinoma, hematopoietic tumors of myeloid or lymphoid lineage, tumors of mesenchymal origin, tumors of the central and peripheral nervous system, melanoma, seminoma,

teratocarcinoma, osteosarcoma, xeroderma pigmentosum, keratoacanthoma, thyroid follicular cancer and Kaposi's sarcoma. The cell proliferative disorder is selected from benign prostate hyperplasia, familial adenomatosis polyposis, neuro-fibromatosis, psoriasis, vascular smooth cell proliferation associated with atherosclerosis, pulmonary fibrosis, arthritis glomerulonephritis and post-surgical stenosis and restenosis. The method of treatment provides tumor angiogenesis and metastasis inhibition, cell cycle inhibition or cdk/cyclin dependent inhibition, and treatment or prevention of radiotherapy-induced or chemotherapy-induced alopecia. A process for preparing I comprises : (a) reacting a 3-amino-5-R-1H-pyrazole with a R1NCO to produce a 1-R1NHC(O)-3-R1NHC(O)NH-5-R-1H-pyrazole and (b) selectively hydrolyzing this intermediate in a basic medium to produce I. Another method comprises (c) reacting a 1-tert-butoxycarbonyl-3-amino-5-R-1H-pyrazole with 4-nitrophenyl chloroformate, or a polymer supported form of 4-nitrophenyl chloroformate, to produce a 1-tert-butoxycarbonyl-3-(4- nitrophenoxycarbonylamino)-5-R-1H-pyrazole, or a polymer supported form; (d) reacting this intermediate with a R1R2NH to produce a 1-tert-butoxycarbonyl-3-(R1R2NC(O)NH)-5-R-1H-pyrazole; (e) hydrolyzing this compound in acidic medium to produce I; and, optionally, converting the 3-ureidopyrazole derivative into another derivative, and/or into a salt thereof.

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 19 OF 26 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2000:589999 HCAPLUS Full-text
DOCUMENT NUMBER: 133:177185
TITLE: Preparation of 1-N-alkyl-N-arylpyrimidinamines as CRF inhibitors
INVENTOR(S): Aldrich, Paul Edward; Arvanitis, Argyrios Georgios; Bakthavatchalam, Rajagopal; Beck, James Peter; Cheeseman, Robert Scott; Chorvat, Robert John; Gilligan, Paul Joseph; Hodge, Carl Nicholas; Wasserman, Zelda Rakowitz
PATENT ASSIGNEE(S): Dupont Pharmaceuticals Company, USA
SOURCE: U.S., 96 pp., Cont.-in-part of U.S. Ser. No. 315,660, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 6107301	A	20000822	US 1997-906349		19970805
CA 2174080	A1	19950420	CA 1994-2174080		19941006
HU 74464	A2	19961230	HU 1996-932		19941006
CN 1142817	A	19970212	CN 1994-194465		19941006
ZA 9407921	A	19960411	ZA 1994-7921		19941011
US 6342503	B1	20020129	US 1998-4150		19980107
PRIORITY APPLN. INFO.:			US 1993-134209	B2	19931012
			US 1994-297274	B2	19940826
			US 1994-315660	B2	19940929

OTHER SOURCE(S): MARPAT 133:177185
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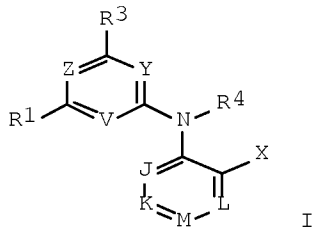

I

AB The title compds. [I; Y = CR29; R1 = alkyl, alkenyl, alkynyl, etc.; R3 = aryl, haloalkyl, (un)substituted NH2, etc.; J, K, L = CH, CX1; M = CR5; V = N; Z = N; R4 = H, halo, halomethyl, etc.; R4 is taken together with R29 to form a 5-membered ring and is N; X = Cl, Br, I, etc.; X1 = H, Cl, Br, etc.; R5 = halo, alkyl, haloalkyl, etc.] and their pharmaceutically acceptable salts, useful in the treatment of affective disorders, anxiety, depression, post-traumatic stress disorders, eating disorders, supranuclear palsy, irritable bowel syndrome, immune suppression, Alzheimer's disease, gastrointestinal diseases, anorexia nervosa, drug and alc. withdrawal symptoms, drug addiction, inflammatory disorders, or fertility problems, were prepared and formulated. E.g., a 3-step synthesis of I [Y = V = N; Z = CH; J, K, L = CH; M = C(Me); X = Br; R1, R3, R4 = Me] which showed Ki of 501-2000 nM against CRF receptor binding, was given.

REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 20 OF 26 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2000:34860 HCAPLUS Full-text
DOCUMENT NUMBER: 132:93319
TITLE: Preparation of novel benzimidazoles as corticotropin release factor antagonists.
INVENTOR(S): Beck, James P.; Curry, Matthew A.
PATENT ASSIGNEE(S): Du Pont Pharmaceuticals Company, USA
SOURCE: PCT Int. Appl., 106 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000001675	A1	20000113	WO 1999-US14933	19990701
W: AU, BR, CA, CN, CZ, EE, HU, IL, IN, JP, KR, LT, LV, MX, NO, NZ, PL, RO, SG, SI, SK, UA, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 6124463	A	20000926	US 1999-333161	19990614
CA 2335779	A1	20000113	CA 1999-2335779	19990701
AU 9948519	A	20000124	AU 1999-48519	19990701
EP 1091941	A1	20010418	EP 1999-932151	19990701
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				

PRIORITY APPLN. INFO.: US 1998-91575P P 19980702
WO 1999-US14933 W 19990701
OTHER SOURCE(S): MARPAT 132:93319

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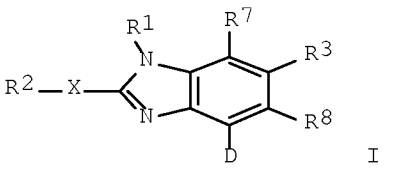

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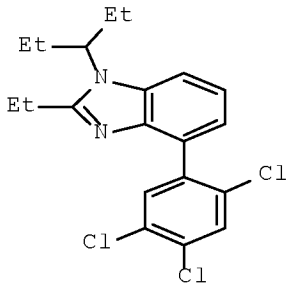

II

AB The invention describes novel benzimidazoles I [D = (un)substituted aryl or heteroaryl; X = CHR9, NR10, O, S(O)0-2; R1 = (un)substituted alk(en/yn)yl, cycloalkyl, alkoxyalkyl, alkylsulfonyl, etc.; R2 = (un)substituted alk(en/yn)yl, cycloalkyl, cyano, CF3, C2F5; R3, R7, R8 = H, Br, Cl, F, I, cyano, alkyl, alkoxy, alkyl-S(O)0-2, (di)(alkyl)amino, (un)substituted Ph, etc.; R9, R10 = H, alkyl, cycloalkyl(alkyl); with provisos] and their pharmaceutically acceptable salt forms. The compds. are useful (no data) as antagonists of corticotropin releasing factor (CRF). Claimed uses include a variety of conditions, notably depression, affective disorders, and/or anxiety. A list of over 1000 possible compds. is given, and approx. 25 compds. are claimed per se. In the single synthetic example, title compound II was assembled in 7 steps from 2,4,5-trichlorophenylboronic acid, Me 2-bromo-5-nitrobenzoate, 3-pentanone, and tri-Et orthopropionate.

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 21 OF 26 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1999:659391 HCAPLUS Full-text
DOCUMENT NUMBER: 131:286529
TITLE: Thiazolo[4,5-d]pyrimidines and -pyridines as corticotropin releasing factor (CRF) antagonists
INVENTOR(S): Beck, James Peter
PATENT ASSIGNEE(S): Du Pont Pharmaceuticals Company, USA
SOURCE: PCT Int. Appl., 57 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9951608	A1	19991014	WO 1999-US6825	19990329
W: AU, BR, CA, CN, CZ, EE, HU, IL, IN, JP, KR, LT, LV, MX, NO, NZ, PL, RO, SG, SI, SK, UA, VN, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2326884	A1	19991014	CA 1999-2326884	19990329
AU 9932136	A	19991025	AU 1999-32136	19990329
EP 1068212	A1	20010117	EP 1999-914247	19990329
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE,				

	SI, LT, LV, FI, RO				
JP 2002510695	T	20020409	JP 2000-542329		19990329
US 6107294	A	20000822	US 1999-283373		19990331
PRIORITY APPLN. INFO.:			US 1998-80537P	P	19980403
			WO 1999-US6825	W	19990329

OTHER SOURCE(S): MARPAT 131:286529

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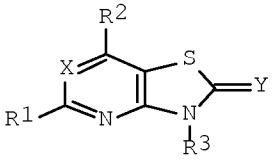

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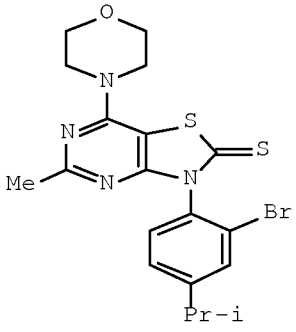

II

AB The invention describes novel thiazolo[4,5-d]pyrimidines and -pyridines I, and pharmaceutically acceptable salts thereof [wherein X = CR4 or N; Y = S, O, or NR5; R1 = H, C1-4 alkyl, C2-4 alkenyl, C2-4 alkynyl, Cl, F, Br, iodo, CN, C1-5 haloalkyl, (un)substituted NH2, OH, or SH; R2 = (un)substituted alkyl, NH2, OH, or Ph; R3 = (un)substituted Ph, pyridyl, pyrimidyl, naphthyl, triazinyl, furanyl, quinolinyl, isoquinolinyl, thienyl, thiazolyl, pyrrolyl, oxazolyl, benzofuranyl, benzothienyl, benzothiazolyl, isoxazolyl, and pyrazolyl; R4 = H, C1-4 alkyl, and C1-4 haloalkyl, halo, NH2, NH(C1-4 alkyl), N(C1-4 alkyl)2, cyano, OH or derivs.; R5 = alkanoyl, alkoxycarbonyl]. The compds. are corticotropin releasing factor (CRF) antagonists, and are thus useful in the treatment of a variety of medical conditions, notably depression, affective disorders, and anxiety (no data). The synthesis of fifteen representative examples is described, and a table of approx. 300 possible compds. is given. For instance, the example compound II was prepared in 5 steps: (1) conversion of 2-bromo-4-isopropylaniline to the corresponding isothiocyanate using thiophosgene (87%); (2) cyclization of the isothiocyanate with cyanoacetamide in the presence of elemental S to give a thiazolothione (78%); (3) cyclization of the latter with Ac2O to form a fused pyrimidinone nucleus; (4) conversion of the latter ketone to a chloride (85%); and (5) condensation of the chloride with morpholine (45%).

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 22 OF 26 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1999:166619 HCAPLUS Full-text

DOCUMENT NUMBER: 130:223271

TITLE: Preparation of nitrogen-substituted imidazo[4,5-c]pyrazoles as corticotropin releasing hormone antagonists

INVENTOR(S): Beck, James P.; Gilligan, Paul J.

PATENT ASSIGNEE(S): Du Pont Pharmaceuticals Company, USA

SOURCE: PCT Int. Appl., 137 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9910350	A1	19990304	WO 1998-US17049	19980818
W: AU, BR, CA, CN, CZ, EE, HU, IL, JP, KR, LT, LV, MX, NO, NZ, PL, RO, SG, SI, SK, UA, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9890215	A	19990316	AU 1998-90215	19980818
EP 937081	A1	19990825	EP 1998-942084	19980818
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LV, FI, RO				
ZA 9807475	A	20000221	ZA 1998-7475	19980819
US 6174912	B1	20010116	US 1998-138460	19980821
PRIORITY APPLN. INFO.:			US 1997-56735P P	19970822
			WO 1998-US17049 W	19980818

OTHER SOURCE(S): MARPAT 130:223271
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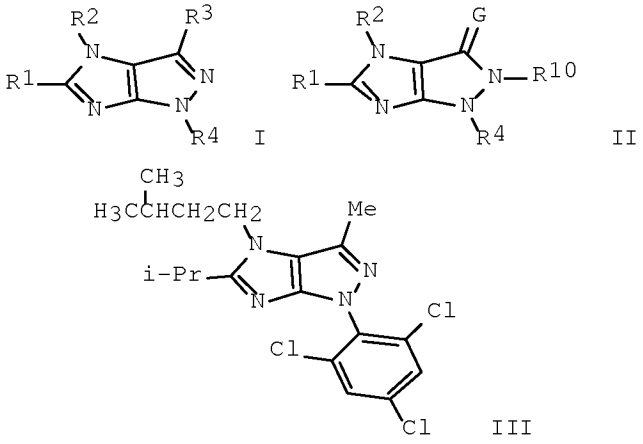

AB Corticotropin releasing factor (CRF) antagonists (no data) I and II [R1 = H, alk(en/yn)yl, haloalkyl, cycloalkyl(alkyl), alkoxy, (hetero)aryl, heterocyclyl; R2 = (un)substituted alk(en/yn)yl, cycloalkyl(alkyl), (hetero)aryl, (hetero)aralkyl, (hetero)cycloalkyl, etc.; R3 = H, alk(en/yn)yl, haloalkyl, cycloalkyl, cyano, OH, (hetero)aryl, (un)substituted amino, etc.; R4 = (un)substituted Ph, pyridyl, pyrimidyl, triazinyl, furanyl, naphthyl, (iso)quinolinyl, thienyl, thiazolyl, imidazolyl, etc.; R10 = H, (halo)alkyl, alkoxyalkyl, cycloalkyl, (hetero)aryl, (hetero)aralkyl, etc.; G not defined] are disclosed. Also disclosed is their use in treating psychiatric disorders and neurol. diseases, including major depression, anxiety-related disorders, post-traumatic stress disorder, supranuclear palsy, and feeding disorders, as well as treatment of immunol., cardiovascular or cardiac-related diseases, and colonic hypersensitivity associated with psychopathol. disturbance and stress. A list of 471 invention compds. is given, with phys. data for approx. 240 of them., and syntheses for several examples. Thus, β-aminocrotononitrile was

cyclized with 2,4,6- trichlorophenylhydrazine to give 5-amino-3-methyl-1-(2,4,6- trichlorophenyl)pyrazole, followed by N-acylation with isobutyric anhydride, reduction of the resultant isobutyryl group to iso-Bu using BH3.THF, introduction of a 4-nitroso group using isoamyl nitrite, cyclization to give an imidazopyrazole in refluxing pyridine, and N-alkylation using NaH and 1-bromo-3-methylbutane in DMF, to yield title compound III.

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 23 OF 26 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1999:48722 HCAPLUS Full-text
DOCUMENT NUMBER: 130:110276
TITLE: Preparation of imidazopyrimidines and imidazopyridines for the treatment of neurological disorders
INVENTOR(S): Wilde, Richard G.; Bakthavatchalam, Rajagopal; Beck, James P.; Arvanitis, Argyrios G.
PATENT ASSIGNEE(S): Du Pont Pharmaceuticals Company, USA
SOURCE: PCT Int. Appl., 325 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9901454	A1	19990114	WO 1998-US13913	19980702
W: AU, BR, CA, CN, CZ, EE, HU, IL, JP, KR, LT, LV, MX, NO, NZ, PL, RO, SG, SI, SK, UA, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2294117	A1	19990114	CA 1998-2294117	19980702
AU 9881819	A	19990125	AU 1998-81819	19980702
AU 746706	B2	20020502		
ZA 9805818	A	20000110	ZA 1998-5818	19980702
EP 994877	A1	20000426	EP 1998-931795	19980702
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
EE 9900607	A	20000815	EE 1999-607	19980702
EE 4280	B1	20040415		
BR 9810508	A	20000905	BR 1998-10508	19980702
US 6143743	A	20001107	US 1998-109877	19980702
HU 2001000179	A2	20011128	HU 2001-179	19980702
HU 2001000179	A3	20021228		
JP 2002507996	T	20020312	JP 1999-507440	19980702
RU 2201929	C2	20030410	RU 2000-102649	19980702
TW 589309	B	20040601	TW 1998-87110857	19980702
US 6362180	B1	20020326	US 1998-208778	19981210
MX 9911669	A	20000531	MX 1999-11669	19991214
NO 9906483	A	20000302	NO 1999-6483	19991227
NO 316119	B1	20031215		
US 20030114468	A1	20030619	US 2001-53475	20011107
US 6642230	B2	20031104		

PRIORITY APPLN. INFO.:		
US 1997-51628P	P	19970703
US 1998-80665P	P	19980403
US 1998-109877	A1	19980702
WO 1998-US13913	W	19980702
US 1998-208778	A3	19981210

OTHER SOURCE(S): MARPAT 130:110276
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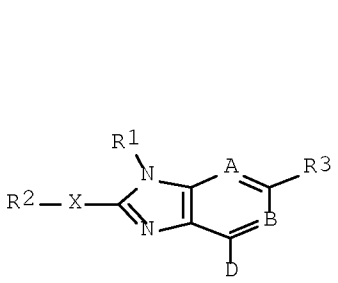

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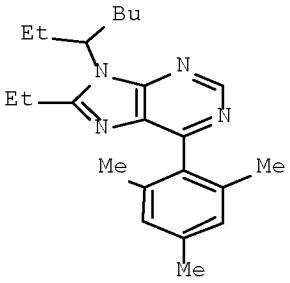

II

AB The title compds. [I; A = N, CR7; B = N, CR8; at least one of A and B = N; D = aryl or heteroaryl attached through an unsatd. carbon atom; X = CHR9, NR10, O, S(O)n, a bond; n = 0-2; R1 = C1-10 alkyl, C2-10 alkenyl, C2-10 alkynyl, etc.; R2 = C1-4 alkyl, C3-8 cycloalkyl, C2-4 alkenyl, etc.; R3, R7, R8 = H, halo, CN, etc.; R9, R10 = H, C1-4 alkyl, C3-6 cycloalkyl, etc.], corticotropin releasing factor (CRF) antagonists (no data) useful in treating psychiatric disorders and neurol. diseases, anxiety-related disorders, post-traumatic stress disorder, supranuclear palsy and feeding disorders as well as treatment of immunol., cardiovascular or heart-related diseases and colonic hypersensitivity associated with psychopathol. disturbance and stress in mammals, were prepared and formulated. Thus, a 6-step synthesis of purine II, starting with 5-amino-4,5-dichloropyrimidine and benzylamine, is given. Compds. I are effective at 0.01-10 mg/kg/day.

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 24 OF 26 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1999:48709 HCAPLUS Full-text
DOCUMENT NUMBER: 130:125084
TITLE: Aryl- and arylamino-substituted heterocycles as corticotropin releasing hormone (CRF) antagonists
INVENTOR(S): Cocuzza, Anthony J.; Hobbs, Frank W.; Beck, James P.; Gilligan, Paul J.
PATENT ASSIGNEE(S): Du Pont Pharmaceuticals Company, USA
SOURCE: PCT Int. Appl., 86 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9901439	A1	19990114	WO 1998-US13840	19980702
W: AU, BR, CA, CN, CZ, EE, HU, IL, JP, KR, LT, LV, MX, NO, NZ, PL, RO, SG, SI, SK, UA, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2296014	A1	19990114	CA 1998-2296014	19980702
AU 9881810	A	19990125	AU 1998-81810	19980702
EP 994860	A1	20000426	EP 1998-931783	19980702

R: CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO

US 6103737	A	20000815	US 1998-109395		19980702
JP 2002510322	T	20020402	JP 1999-507408		19980702
PRIORITY APPLN. INFO.:			US 1997-51745P	P	19970703
			WO 1998-US13840	W	19980702

OTHER SOURCE(S): MARPAT 130:125084

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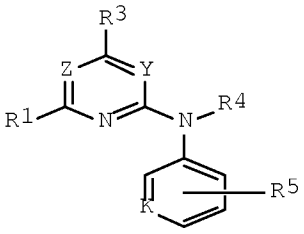

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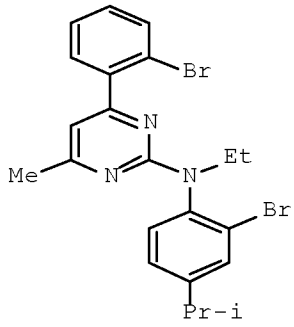

II

AB Corticotropin releasing factor (CRF) antagonists I and their stereoisomers and pharmaceutically acceptable salts are disclosed [wherein Y = CR2 or N; Z = CH or N; K = CR5 or N; R1 = alk(en/yn)yl, Cl, F, cyano, CF3; R2R4 = E-F where E and F = CR9 and/or CR9'; or R2R4 = A:D where A and D = CH, CR10, or N, provided that A:D is oriented to form imidazole but not pyrazole; or R2R4 = A-D where A = NR9 and D = CO, oriented to form an imidazolone; R3 = Ph, naphthyl, pyridinyl, or pyrimidinyl, all substituted by R8; R4 = (un)substituted alkyl, allyl, or propargyl; R5 = 1-4 of alk(en/yn)yl, cycloalkyl, halo, NO2, cyano, NR6R7, OR7, COR7, C(:NOR9)R7, SOnR7, etc.; or 2 R5 moieties may form CR9R9'CR9R9'O, CR9:CR9'O, etc.; R6, R7 = H or (un)substituted alkyl, cycloalkyl, (CH2)mPh or (CH2)m-heteroaryl; R8 = alk(en/yn)yl, cycloalkyl, Ph, heteroaryl, halo, NO2, cyano, NR6R7, OR7, etc., with provisos; R9, R9' = H, alkyl; n = 0-2; m = 0-6]. Also disclosed is their use in treating psychiatric disorders and neurol. diseases, anxiety-related disorders, post-traumatic stress disorder, supranuclear palsy and feeding disorders, as well as treatment of immunol., cardiovascular or heart-related diseases, and colonic hypersensitivity associated with psychopathol. disturbance and stress in mammals. For example, condensation of 2-BrC6H4COCH3 with MeC(OMe)2NMe2 gave 2-BrC6H4COCH:MeNMe2, which underwent cyclocondensation with (2-bromo-4-isopropylphenyl)guanidine-HCl, followed by N-alkylation of the resultant aminopyrimidine with EtI and NaH in DMSO, to give title compound II. Some I were active (no data) in an assay for inhibition of CRF-stimulated adenylate cyclase activity.

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 25 OF 26 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1997:655429 HCAPLUS Full-text

DOCUMENT NUMBER: 127:331497

ORIGINAL REFERENCE NO.: 127:65105a,65108a

TITLE: Preparation of arylamino fused pyridines and pyrimidines as CRF antagonists

INVENTOR(S): Bakthavatchalam, Rajagopal; Arvanitis, Argyrios Georgios; Beck, James Peter; Cain, Gary Avonn; Chorvat, Robert John; Gilligan, Paul Joseph; Olson, Richard Eric

PATENT ASSIGNEE(S): Du Pont Merck Pharmaceutical Company, USA; Bakthavatchalam, Rajagopal; Arvanitis, Argyrios Georgios; Beck, James Peter; Cain, Gary Avonn; Chorvat, Robert John; Gilligan, Paul Joseph; Olson, Richard Eric

SOURCE: PCT Int. Appl., 163 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 9735539	A2	19971002	WO 1997-US4852		19970325
WO 9735539	A3	19990514			
W: AM, AU, AZ, BR, BY, CA, CN, CZ, EE, HU, IL, JP, KG, KR, KZ, LT, LV, MD, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, UA, US, VN					
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE					
US 6107300	A	20000822	US 1997-823029		19970321
ZA 9702497	A	19980925	ZA 1997-2497		19970324
CA 2250241	A1	19970325	CA 1997-2250241		19970325
AU 9725458	A	19971017	AU 1997-25458		19970325
EP 935601	A2	19990818	EP 1997-916991		19970325
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI					
CN 1230184	A	19990929	CN 1997-194897		19970325
HU 9902340	A2	19991129	HU 1999-2340		19970325
HU 9902340	A3	20010228			
NZ 331874	A	20000327	NZ 1997-331874		19970325
BR 9708261	A	20011204	BR 1997-8261		19970325
JP 2002515032	T	20020521	JP 1997-534577		19970325
LT 4533	B	19990825	LT 1998-133		19980921
NO 9804418	A	19981103	NO 1998-4418		19980922
MX 9807759	A	20000630	MX 1998-7759		19980923
KR 2000005037	A	20000125	KR 1998-707661		19980926
LV 12262	B	19991020	LV 1998-195		19981030
US 6448261	B1	20020910	US 2000-525619		20000314
PRIORITY APPLN. INFO.:			US 1996-14157P	P	19960327
			US 1996-646612	A	19960508
			US 1996-30536P	P	19961031
			US 1997-39124P	P	19970225
			US 1997-823029	A3	19970321
			WO 1997-US4852	W	19970325

OTHER SOURCE(S): MARPAT 127:331497

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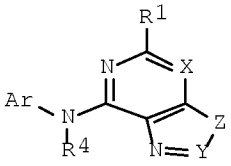

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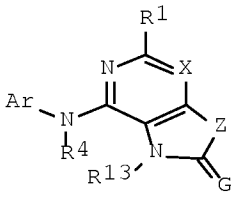

II

AB The title compds. [I or II; X = N, CR1; Y = N, CR2; Z = NR3, O, S(O)n; G = O, S; Ar = Ph, naphthyl, pyridyl, etc.; R1 = H, C1-4 alkyl, C2-4 alkenyl, etc.; R2 = H, C1-4 alkyl, C1-6 cycloalkyl, etc.; R3 = H, C1-10 alkyl, C2-10 alkenyl, etc.; R4 = H, C1-4 alkyl, allyl, etc.; R13 = C1-4 alkyl, C1-4 haloalkyl, C2-8 alkoxyalkyl, etc.; n = 0-2], useful in treating affective disorder, anxiety, depression, irritable bowel syndrome, post-traumatic stress disorder, supranuclear palsy, immune suppression, Alzheimer's disease, gastrointestinal disease, anorexia nervosa or other eating disorder, drug or alc. withdrawal symptoms, drug addiction, inflammatory disorder, or fertility problem, were prepared and formulated. Thus, treatment of 5-amino-4-(2-bromo-4-isopropylphenyl)amino-6-chloro-2- methylpyrimidine with NaNO2 in the presence of 50% aqueous AcOH in CH2Cl2 followed by alkylation of the resulting 3-[2-bromo-4-(1- methylethyl)phenyl]-7-chloro-5-methyl-3H-1,2,3-triazolo[4,5-d]pyrimidine with PrNH2 in CH2Cl2, and rearrangement of 3-[2-bromo-4-(1-methylethyl)phenyl]-5-methyl-N-propyl-3H-1,2,3-triazolo[4,5-d]pyrimidin-7-amine in the presence of NaH in DMF afforded I [X = Y = N; Z = NPr; R1 = Me; R4 = H; Ar = 2-Br-4-iPrC6H3]. Compds. I are effective at 0.01-10 mg/kg/day.

L23 ANSWER 26 OF 26 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1997:650337 HCAPLUS Full-text
DOCUMENT NUMBER: 127:318976
ORIGINAL REFERENCE NO.: 127:62517a,62520a
TITLE: Preparation of aryloxy- and arylthio-fused pyridines and pyrimidines as CRF receptor antagonists
INVENTOR(S): Rajagopalan, Parthasarathi; Chorvat, Robert John; Bakthavatchalam, Rajagopal; Beck, James Peter; Gilligan, Paul Joseph; Olson, Richard Eric
PATENT ASSIGNEE(S): Du Pont Merck Pharmaceutical Company, USA
SOURCE: PCT Int. Appl., 51 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9735846	A1	19971002	WO 1997-US4828	19970325
W: AU, CA, JP, MX, NZ				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
ZA 9701896	A	19980907	ZA 1997-1896	19970305
US 6124300	A	20000926	US 1997-822257	19970320
CA 2249598	A1	19971002	CA 1997-2249598	19970325
AU 9725453	A	19971017	AU 1997-25453	19970325
AU 725254	B2	20001012		
EP 901476	A1	19990317	EP 1997-916985	19970325

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE

NZ 331647	A	20000327	NZ 1997-331647		19970325
JP 2000507552	T	20000620	JP 1997-534562		19970325
MX 9807869	A	20000531	MX 1998-7869		19980925
US 6258809	B1	20010710	US 2000-518354		20000303
PRIORITY APPLN. INFO.:			US 1996-14090P	P	19960326
			US 1996-646611	A	19960508
			US 1997-822257	A3	19970320
			WO 1997-US4828	W	19970325

OTHER SOURCE(S): MARPAT 127:318976

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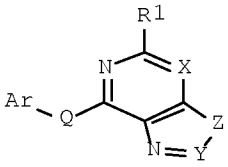

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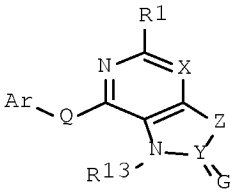

II

AB The title compds. [I or II; X = N, CR1; Y = N, CR2; Z = NR3, O, S(O)n; G = O, S; Q = O, S(O)n; Ar = Ph, naphthyl, pyridyl, etc.; R1 = H, C1-4 alkyl, C2-4 alkenyl, etc.; R2 = H, C1-4 alkyl, C1-6 cycloalkyl, etc.; R3 = H, C1-10 alkyl; C2-10 alkenyl, etc.; R13 = C1-4 alkyl, C1-4 haloalkyl, aryl, etc.; n = 0-2], useful in treating affective disorder, anxiety, depression, irritable bowel syndrome, post-traumatic stress disorder, supranuclear palsy, immune suppression, Alzheimer's disease, gastrointestinal disease, anorexia nervosa or other eating disorder, drug or alc. withdrawal symptoms, drug addiction, inflammatory disorder, or fertility problem in mammal, were prepared and formulated. Thus, treatment of 2,4,6-trimethylphenol with NaOMe in MeOH followed by reaction of the resulting salt with 7-chloro-3-(1-ethylpropyl)-5-methyl-3H-1,2,3- triazolo[4,5-d]pyrimidine in MeCN afforded I [X = Y = N; Z = NCHEt2; R1 = Me; Q = O; Ar = 2,4,6-Me3C6H2]. Compds. I or II are effective at 0.01-10 mg/kg/day.

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     FILE 'REGISTRY' ENTERED AT 12:10:15 ON 25 JUL 2008
L1             STR
L2       751060 SEA SSS FUL L1
L3             STR
L4          469 SEA SUB=L2 SSS FUL L3

     FILE 'HCAPLUS' ENTERED AT 12:20:37 ON 25 JUL 2008
L5          138 SEA ABB=ON  PLU=ON  L4
L6          113 SEA ABB=ON  PLU=ON  L5 AND PD=<APRIL 09, 2005
L7           31 SEA ABB=ON  PLU=ON  L6 AND PATENT/DT
L8        51819 SEA ABB=ON  PLU=ON  ("ALZHEIMER'S DISEASE"/CV OR "MENTAL
                DISORDER (L) ALZHEIMER'S DISEASE"/CV OR "ALZHEIMER DEMENTIA"/CV
                 OR "ALZHEIMER DISEASE MENTAL DISORDER"/CV OR "ALZHEIMER'S
                DEMENTIA"/CV OR "ALZHEIMER'S DISEASE MENTAL DISORDER"/CV OR
                "ALZHEIMER'S SENILE DEMENTIA"/CV OR "ALZHEIMER-TYPE SENILE
                DEMENTIA"/CV OR "NONFAMILIAL ALZHEIMER'S DISEASE"/CV OR
                "PRESENILE ALZHEIMER'S DISEASE"/CV OR "PRESENILE ALZHEIMER-TYPE
                 DEMENTIA"/CV) OR "ANTI-ALZHEIMER'S AGENTS"/CV OR ?ALZHEIM?
L9            3 SEA ABB=ON  PLU=ON  L6 AND L8
L10          31 SEA ABB=ON  PLU=ON  L7 OR L9
                D STAT QUE L10
                D IBIB ABS HITSTR L10 1-31
L12           2 SEA ABB=ON  PLU=ON  (L6 AND (?MEDIC? OR ?THERAP? OR ?DRUG? OR
                ?PHARMA? OR ?NEURO? OR ?MENTAL? OR ?DEMENTIA? OR ?SENIL?)) NOT
                L10
                D STAT QUE L12
                D IBIB ABS HITSTR L12 1-2
L13           2 SEA ABB=ON  PLU=ON  (L5(L)(?MEDIC? OR ?THERAP? OR ?DRUG? OR
                ?PHARMA? OR ?NEURO? OR ?MENTAL? OR ?DEMENTIA? OR ?SENIL?)) NOT
                (L10 OR L12)
                D STAT QUE L13
                D IBIB ABS HITSTR L13 1-2
L14           3 SEA ABB=ON  PLU=ON  (L5 AND L8) NOT (L10 OR L12 OR L13)
                D STAT QUE L14
                D IBIB ABS HITSTR L14 1-3
L15         306 SEA ABB=ON  PLU=ON  "BECK JAMES"/AU OR ("BECK JAMES P"/AU OR
                "BECK JAMES PETER"/AU) OR BECK J/AU OR BECK J P?/AU
L16          29 SEA ABB=ON  PLU=ON  "DOWNS MATTHEW T"/AU OR DOWNS M/AU OR
                DOWNS M ?/AU
L17          35 SEA ABB=ON  PLU=ON  ("WARPEHOSKI M"/AU OR "WARPEHOSKI M A"/AU
                OR "WARPEHOSKI MARTHA"/AU OR "WARPEHOSKI MARTHA A"/AU OR
                "WARPEHOSKI MARTHA ANN"/AU)
L18           1 SEA ABB=ON  PLU=ON  L15 AND (L16 OR L17)
L19           0 SEA ABB=ON  PLU=ON  L16 AND L17
L20           1 SEA ABB=ON  PLU=ON  (L15 OR L16 OR L17) AND L5
L21           0 SEA ABB=ON  PLU=ON  (L18 OR L19 OR L20) NOT (L10 OR L12 OR L13
                OR L14)
L22          25 SEA ABB=ON  PLU=ON  ((L15 OR L16 OR L17) AND L8) NOT (L10 OR
                L12 OR L13 OR L14)
L23          26 SEA ABB=ON  PLU=ON  L18 OR L19 OR L20 OR L21 OR L22
                D STAT QUE L23
                D IBIB ABS HITSTR L23 1-26


     FILE HOME
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FILE REGISTRY
Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 24 JUL 2008 HIGHEST RN 1035921-65-3
DICTIONARY FILE UPDATES: 24 JUL 2008 HIGHEST RN 1035921-65-3

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http://www.cas.org/support/stngen/stndoc/properties.html

FILE HCAPLUS

FILE COVERS 1907 - 25 Jul 2008 VOL 149 ISS 5
FILE LAST UPDATED: 24 Jul 2008 (20080724/ED)

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